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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 3	MAR 16	CASREACT coverage extended
NEWS 4	MAR 20	MARPAT now updated daily
NEWS 5	MAR 22	LWPI reloaded
NEWS 6	MAR 30	RDISCLOSURE reloaded with enhancements
NEWS 7	APR 02	JICST-EPLUS removed from database clusters and STN
NEWS 8	APR 30	GENBANK reloaded and enhanced with Genome Project ID field
NEWS 9	APR 30	CHEMCATS enhanced with 1.2 million new records
NEWS 10	APR 30	CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 11	APR 30	INPADOC replaced by INPADOCDB on STN
NEWS 12	MAY 01	New CAS web site launched
NEWS 13	MAY 08	CA/CAplus Indian patent publication number format defined
NEWS 14	MAY 14	RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS 15	MAY 21	BIOSIS reloaded and enhanced with archival data
NEWS 16	MAY 21	TOXCENTER enhanced with BIOSIS reload
NEWS 17	MAY 21	CA/CAplus enhanced with additional kind codes for German patents
NEWS 18	MAY 22	CA/CAplus enhanced with IPC reclassification in Japanese patents
NEWS 19	JUN 27	CA/CAplus enhanced with pre-1967 CAS Registry Numbers
NEWS 20	JUN 29	STN Viewer now available
NEWS 21	JUN 29	STN Express, Version 8.2, now available
NEWS 22	JUL 02	LEMBASE coverage updated
NEWS 23	JUL 02	LMEDLINE coverage updated
NEWS 24	JUL 02	SCISEARCH enhanced with complete author names
NEWS 25	JUL 02	CHEMCATS accession numbers revised
NEWS 26	JUL 02	CA/CAplus enhanced with utility model patents from China

NEWS EXPRESS 29 JUNE 2007: CURRENT WINDOWS VERSION IS V8.2,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 05 JULY 2007.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

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FILE 'HOME' ENTERED AT 14:54:17 ON 09 JUL 2007

=> file medline biosis lifesci scisearch
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 0.21 0.21

FILE 'MEDLINE' ENTERED AT 14:54:49 ON 09 JUL 2007

FILE 'BIOSIS' ENTERED AT 14:54:49 ON 09 JUL 2007

FILE 'LIFESCI' ENTERED AT 14:54:49 ON 09 JUL 2007

FILE 'SCISEARCH' ENTERED AT 14:54:49 ON 09 JUL 2007

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L1 10255 (SEVERIN?)/AU

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L2 6 L1 AND 1973

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L2 ANSWER 1 OF 6 MEDLINE on STN
ACCESSION NUMBER: 87279359 MEDLINE
DOCUMENT NUMBER: PubMed ID: 3610771
TITLE: Nodular granulomatous episclerokeratitis in dogs: 19 cases (**1973**-1985).
AUTHOR: Paulsen M E; Lavach J D; Snyder S P; **Severin G A**; Eichenbaum J D
SOURCE: Journal of the American Veterinary Medical Association, (1987 Jun 15) Vol. 190, No. 12, pp. 1581-7.
Journal code: 7503067. ISSN: 0003-1488.
PUB. COUNTRY: United States
DOCUMENT TYPE: (CASE REPORTS)
Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 198709
ENTRY DATE: Entered STN: 5 Mar 1990
Last Updated on STN: 5 Mar 1990
Entered Medline: 4 Sep 1987

AB We examined the age and breed prevalence and the response to treatment of 19 dogs with nodular granulomatous episclerokeratitis. Biopsy specimens were evaluated to determine the histologic characteristics of the lesions. In these dogs, this disorder was an idiopathic, bilateral disease characterized histologically by the presence of chronic granulomatous inflammation and reticulin fiber formation. The onset of clinical signs developed predominantly in young to middle-aged Collies, with a slow progression and benign clinical course. With treatment, the condition rarely threatened vision and was controlled easily with azathioprine (2 mg/kg) and/or corticosteroid. The dose of immunosuppressive drug was tapered to allow for minimal systemic effects and continued remission of clinical signs. The response to treatment was highly variable.

L2 ANSWER 2 OF 6 MEDLINE on STN
ACCESSION NUMBER: 82023360 MEDLINE
DOCUMENT NUMBER: PubMed ID: 7283976
TITLE: Phosphorylase kinase phosphorylation of skeletal-muscle troponin T.
AUTHOR: Risnik V V; Dobrovolskii A B; Gusev N B; **Severin S E**
SOURCE: The Biochemical journal, (1980 Dec 1) Vol. 191, No. 3, pp. 851-4.
Journal code: 2984726R. ISSN: 0264-6021.
PUB. COUNTRY: ENGLAND: United Kingdom
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 198111
ENTRY DATE: Entered STN: 16 Mar 1990
Last Updated on STN: 16 Mar 1990
Entered Medline: 19 Nov 1981

AB Rabbit skeletal-muscle troponin T was phosphorylated by a standard preparation of phosphorylase kinase [Cohen (**1973**) Eur. J. Biochem. 34, 1--14] and by fractions obtained after chromatography of phosphorylase kinase on phosphocellulose. The original preparation of phosphorylase kinase phosphorylated at least two sites, one of which was serine-1. The second and probably the third sites were presumably located in the peptide flanked by amino-acid residues 147 and 161 of troponin T. Fractions of phosphorylase kinase was adsorbed on phosphocellulose phosphorylated only the second site. Tightly adsorbed fractions possessed high troponin T kinase and phosvitin kinase activities and phosphorylated only serine-1 of troponin T. The results suggest that standard preparations of phosphorylase kinase are contaminated by troponin T kinase, which can phosphorylate serine-1 of troponin T.

L2 ANSWER 3 OF 6 MEDLINE on STN
ACCESSION NUMBER: 80170198 MEDLINE
DOCUMENT NUMBER: PubMed ID: 543016
TITLE: Feasibility of different combinations of chemotherapy (6 MOPP) plus radiotherapy in Hodgkin's disease.
AUTHOR: Volterrani F; Zucali R; Sigurta D; **Severini A;** Santoro A
SOURCE: Tumori, (1979 Dec 31) Vol. 65, No. 6, pp. 729-41.
Journal code: 0111356. ISSN: 0300-8916.
PUB. COUNTRY: Italy
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 198006
ENTRY DATE: Entered STN: 15 Mar 1990
Last Updated on STN: 6 Feb 1998
Entered Medline: 16 Jun 1980

AB During a preliminary clinical experience (**1973**-1977) we experimented three different sequences in associating 6 MOPP cycles (CT) with radiotherapy (RT) for the treatment of stage II and III Hodgkin's disease. A total of 55 consecutive previously untreated patients can be estimated to contribute in defining feasibility, immediate results and toxicity of the combined treatment. In this group of patients RT preceded CT in 20 cases (RT-6 MOPP), the opposite sequence (6 MOPP-RT) was preferred in 16 cases, whilst a split-course CT fitting in the RT (3 MOPP-RT-3 MOPP) was employed in 19 cases. Except for the sequence used with respect to irradiation, the CT was carried out in all the cases according to the classical scheme proposed by De Vita et al. (11). RT was effected with 60Co-teletherapy and a wide field or segmental sequential fields, having variable extension depending on the stage ("extended nodal

irradiation" for stage II and III cases with lymph node involvement not below L3; "total nodal irradiation" for the remaining cases in stage III). The programmed doses were 45.0 Gy to the involved areas and 40.0 Gy to the clinically uninvolved regions for the RT-6 MOPP and 6 MOPP-RT groups. Doses of 35.0/30.0 Gy were planned for the 3 MOPP-RT-3 MOPP group. The three different groups are not homogeneous with regard to certain important clinical and pathological characteristics; in fact, a higher quota of stage III patients, with systemic symptoms and spleen positivity is present in the 6 MOPP-RT and 3 MOPP-RT-3 MOPP groups. The combined treatment has achieved a complete clinical remission in 18/20 patients in the RT-6 MOPP group (90.0%), in 12/16 patients of the 6 MOPP-RT group (75.0%), and in 17/19 cases in the 3 MOPP-RT-3 MOPP "sandwich" combination (89.5%). The average overall duration of the treatment was 48 weeks for the sandwich combination, 50 weeks for the RT-6 MOPP group, and 56 weeks for the 6 MOPP-RT association. As regards the sandwich combination, both CT and RT took a reasonable length of time to complete. On the contrary, both the medical treatment and irradiation required an excessively long time and were not well tolerated when preceded by either RT or CT in full doses. In particular, myelosuppression was less acute and prolonged in the 3 MOPP-RT-3 MOPP group, whereas the actual doses of CT and RT were higher than those which can be reached with respect to other groups. Three preliminary cycles of CT considerably reduce the target volumes and complications arising from RT. The first CT time gave an objective response greater than 50% in 9/9 cases of the 3 MOPP-RT-3-MOPP group with mediastinal involvement. In this group, rather considerable pulmonary complications were observed in 3/9 patients (33.3%) with respect to the 40% found for the 6 MOPP-RT group (2/5 cases) and the 67.7% for the RT-6 MOPP group (6/9 cases).

L2 ANSWER 4 OF 6 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN
ACCESSION NUMBER: 1987:342655 BIOSIS
DOCUMENT NUMBER: PREV198784051598; BA84:51598
TITLE: NODULAR GRANULOMATOUS EPISCLEROKERATITIS IN DOGS 19 CASES **1973**-1985.
AUTHOR(S): PAULSEN M E [Reprint author]; LAVACH J D; SNYDER S P; **SEVERIN G A**; EICHENBAUM J D
CORPORATE SOURCE: DEP CLINICAL SCI, COLL VET MED, COLO STATE UNIV, FORT COLLINS, COLO 80523, USA
SOURCE: Journal of the American Veterinary Medical Association, (1987) Vol. 190, No. 12, pp. 1581-1587.
CODEN: JAVMA4. ISSN: 0003-1488.
DOCUMENT TYPE: Article
FILE SEGMENT: BA
LANGUAGE: ENGLISH
ENTRY DATE: Entered STN: 8 Aug 1987
Last Updated on STN: 8 Aug 1987

AB We examined the age and breed prevalence and the response to treatment of 19 dogs with nodular granulomatous episclerokeratitis. Biopsy specimens were evaluated to determine the histologic characteristics of the lesions. In these dogs, this disease was an idiopathic, bilateral disease characterized histologically by the presence of chronic granulomatous inflammation and reticulum fiber formation. The onset of clinical signs developed predominantly in young to middle-aged Collies, with a slow progression and benign clinical course. With treatment, the condition rarely threatened vision and was controlled easily with azathioprine (2 mg/kg) and/or corticosteroid. The dose of immunosuppressive drug was tapered to allow for minimal systemic effects and continued remission of clinical signs. The response to treatment was highly variable.

L2 ANSWER 5 OF 6 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN
ACCESSION NUMBER: 1980:191261 BIOSIS
DOCUMENT NUMBER: PREV198069066257; BA69:66257

TITLE: FEASIBILITY OF DIFFERENT COMBINATIONS OF CHEMO THERAPY 6 MECHLORETHAMINE VINCRISTINE PROCARBAZINE PREDNISONE CYCLES PLUS RADIO THERAPY IN HODGKINS DISEASE.
AUTHOR(S): VOLTERRANI F [Reprint author]; ZUCALI R; SIGURTA D; **SEVERINI A**; SANTORO A
CORPORATE SOURCE: IST NAZ TUMORI, VIA G VENEZIAN 1, 20133 MILANO, ITALY
SOURCE: Tumori, (1979) Vol. 65, No. 6, pp. 729-742.
CODEN: TUMOAB. ISSN: 0300-8916.
DOCUMENT TYPE: Article
FILE SEGMENT: BA
LANGUAGE: ENGLISH

AB During a preliminary clinical experience (**1973**-1977), 3 different regimens of 6 MOPP [6 mechlorethamine, vincristine, procarbazine, prednisone] cycles (CT) with radiotherapy (RT) were tested for the treatment of stage II and III Hodgkin's disease. Consecutive previously untreated patients [55] can be estimated to contribute in defining feasibility, immediate results and toxicity of the combined treatment. In this group of patients RT preceded CT in 20 cases (RT-6 MOPP), the opposite sequence (6 MOPP-RT) was preferred in 16 cases, while a split-course CT preceding and following the RT (3 MOPP-RT-3 MOPP) was employed in 19 cases. Except for this last sequence, the CT was carried out in all the cases according to the classical scheme proposed by De Vita et al. RT was effected with 60Co-teletherapy and a wide field or segmental sequential fields, having variable extension depending on the stage (extended nodal irradiation for stage II and III cases with lymph node involvement not below L 3; total nodal irradiation for the remaining cases in stage III). The programmed doses were 45.0 Gy to the involved areas and 40.0 Gy to the clinically uninvolved regions for the RT-6 MOPP and 6 MOPP-RT groups. Doses of 35.0/30.0 Gy were planned for the 3 MOPP-RT-3 MOPP group. The 3 groups are not homogeneous regarding certain important clinical and pathological characteristics; a higher quota of stage III patients, with systemic symptoms and spleen positivity is present in the 6 MOPP-RT and 3 MOPP-RT-3 MOPP groups. The combined treatment has achieved a complete clinical remission in 18/20 patients in the RT-6 MOPP group (90.0%), in 12/16 patients of the 6 MOPP-RT group (75.0%) and in 17/19 cases in the 3 MOPP-RT-3 MOPP sandwich combination (89.5%). The average overall duration of the treatment was 48 wk for the sandwich combination, 50 wk for the RT-6 MOPP group and 56 weeks for the 6 MOPP-RT association. As regards the sandwich combination, CT and RT took a reasonable length of time to complete. The medical treatment and irradiation required an excessively long time and were not well tolerated when preceded by RT or CT in full doses. Myelosuppression was less acute and prolonged in the 3 MOPP-RT-3 MOPP group, whereas the actual doses of CT and RT were higher than those which can be reached regarding other groups. Three preliminary cycles of CT considerably reduce the target volumes and complications arising from RT. The 1st CT time gave an objective response ≥ 50% in 9/9 cases of the 3 MOPP-RT-3 MOPP group with mediastinal involvement. In this group, considerable pulmonary complications were observed in 3/9 patients (33.3%) with respect to the 40% found for the 6 MOPP-RT group (2/5 cases) and the 67.7% for the RT-6 MOPP group (6/9 cases).

L2 ANSWER 6 OF 6 SCISEARCH COPYRIGHT (c) 2007 The Thomson Corporation on STN
ACCESSION NUMBER: 1987:363765 SCISEARCH
THE GENUINE ARTICLE: H7893
TITLE: NODULAR GRANULOMATOUS EPISCLEROKERATITIS IN DOGS - 19 CASES (**1973**-1985)
AUTHOR: PAULSEN M E (Reprint); LAVACH J D; SNYDER S P; **SEVERIN G A**; EICHENBAUM J D
CORPORATE SOURCE: COLORADO STATE UNIV, DEPT CLIN SCI, FT COLLINS, CO 80523 (Reprint); COLORADO STATE UNIV, DEPT PATHOL, FT COLLINS,

CO 80523
COUNTRY OF AUTHOR: USA
SOURCE: JOURNAL OF THE AMERICAN VETERINARY MEDICAL ASSOCIATION, (15 JUN 1987) Vol. 190, No. 12, pp. 1581-1587. ISSN: 0003-1488.
PUBLISHER: AMER VETERINARY MEDICAL ASSOC, 1931 N MEACHAM RD SUITE 100, SCHAUMBURG, IL 60173-4360.
DOCUMENT TYPE: Article; Journal
FILE SEGMENT: AGRI
LANGUAGE: English
REFERENCE COUNT: 27
ENTRY DATE: Entered STN: 1994
Last Updated on STN: 1994

=> s (1973 and 38 and 583)/so
L3 8 (1973 AND 38 AND 583)/SO

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PROCESSING COMPLETED FOR L3
L4 5 DUP REM L3 (3 DUPLICATES REMOVED)

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L4 ANSWER 1 OF 5 MEDLINE on STN DUPLICATE 1
ACCESSION NUMBER: 73183865 MEDLINE
DOCUMENT NUMBER: PubMed ID: 4706754
TITLE: Anesthetic index--a new approach.
AUTHOR: Wolfson B; Kielar C M; Lake C; Hetrick W D; Siker E S
SOURCE: Anesthesiology, **(1973 Jun) Vol. 38, No. 6, pp. 583-6.**
Journal code: 1300217. ISSN: 0003-3022.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Abridged Index Medicus Journals; Priority Journals
ENTRY MONTH: 197307
ENTRY DATE: Entered STN: 10 Mar 1990
Last Updated on STN: 10 Mar 1990
Entered Medline: 24 Jul 1973

L4 ANSWER 2 OF 5 MEDLINE on STN DUPLICATE 2
ACCESSION NUMBER: 74109277 MEDLINE
DOCUMENT NUMBER: PubMed ID: 4780953
TITLE: [Pentose phosphate synthesis in cardiac muscle and the role of erythrose-4-phosphate in the process].
Izuchenie biosinteza pentozofosfatov v myshtse serdtsa i roli eritrozo-4-fosfata v etom protsesse.
AUTHOR: Severin S E; Stepanova N G
SOURCE: Biokhimii a (Moscow, Russia), **(1973 May-Jun) Vol. 38, No. 3, pp. 583-8.**
Journal code: 0372667. ISSN: 0320-9725.
PUB. COUNTRY: USSR
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: Russian
FILE SEGMENT: Priority Journals
ENTRY MONTH: 197404
ENTRY DATE: Entered STN: 10 Mar 1990
Last Updated on STN: 3 Feb 1997
Entered Medline: 29 Apr 1974

L4 ANSWER 3 OF 5 MEDLINE on STN DUPLICATE 3

ACCESSION NUMBER: 73132064 MEDLINE
DOCUMENT NUMBER: PubMed ID: 4632108
TITLE: Social responses to abnormal infant monkeys.
AUTHOR: Berkson G
SOURCE: American journal of physical anthropology, **(1973 Mar) Vol. 38, No. 2, pp. 583-6.**
Journal code: 0400654. ISSN: 0002-9483.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 197305
ENTRY DATE: Entered STN: 10 Mar 1990
Last Updated on STN: 10 Mar 1990
Entered Medline: 2 May 1973

L4 ANSWER 4 OF 5 MEDLINE on STN
ACCESSION NUMBER: 74062284 MEDLINE
DOCUMENT NUMBER: PubMed ID: 4765125
TITLE: [Application of findings of computers for the purpose of pharmacotherapy in gynaecology and obstetrics (author's transl)].
Vyuziti poznatku vypocetni techniky pro ucely farmakoterapie v gynekologii a porodnictvi.
AUTHOR: Nyklicek O; Lochman J
SOURCE: Ceskoslovenska gynekologie, **(1973 Sep) Vol. 38, No. 8, pp. 583-4.**
Journal code: 0042671. ISSN: 0374-6852.
PUB. COUNTRY: Czechoslovakia
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: Czech
FILE SEGMENT: Priority Journals
ENTRY MONTH: 197402
ENTRY DATE: Entered STN: 10 Mar 1990
Last Updated on STN: 10 Mar 1990
Entered Medline: 22 Feb 1974

L4 ANSWER 5 OF 5 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN
ACCESSION NUMBER: 1974:149177 BIOSIS
DOCUMENT NUMBER: PREV197457048877; BA57:48877
TITLE: MICROWAVE FINISH DRYING OF POTATO CHIPS.
AUTHOR(S): PORTER V L; NELSON A I; STEINBERG M P; WEI L S
SOURCE: Journal of Food Science, (**1973**) Vol. **38**, No. 4, pp. **583**-585.
CODEN: JFDSAZ. ISSN: 0022-1147.
DOCUMENT TYPE: Article
FILE SEGMENT: BA
LANGUAGE: Unavailable

=> d ibib abs 2

L4 ANSWER 2 OF 5 MEDLINE on STN DUPLICATE 2
ACCESSION NUMBER: 74109277 MEDLINE
DOCUMENT NUMBER: PubMed ID: 4780953
TITLE: [Pentose phosphate synthesis in cardiac muscle and the role of erythrose-4-phosphate in the process].
Izuchenie biosinteza pentozofosfatov v myshtse serdtsa i roli eritrozo-4-fosfata v etom protsesse.
AUTHOR: Severin S E; Stepanova N G
SOURCE: Biokhimii a (Moscow, Russia), **(1973 May-Jun) Vol. 38, No. 3, pp. 583-8.**

Journal code: 0372667. ISSN: 0320-9725.
PUB. COUNTRY: USSR
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: Russian
FILE SEGMENT: Priority Journals
ENTRY MONTH: 197404
ENTRY DATE: Entered STN: 10 Mar 1990
Last Updated on STN: 3 Feb 1997
Entered Medline: 29 Apr 1974

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L5 700 (SEVERIN, S?)/AU

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L6 8 L5 AND (CARDIAC(W) MUSCLE)/TI

=> d ibib abs tot

L6 ANSWER 1 OF 8 MEDLINE on STN
ACCESSION NUMBER: 80224302 MEDLINE
DOCUMENT NUMBER: PubMed ID: 6446451
TITLE: [Pentose phosphate biosynthesis in **cardiac muscle** (source of erythrose-4-phosphate formation)].
Biosintez pentozofosfatov v serdechnoi myshtse (istochnik obrazovaniia eritrozo-4-fosfata).
AUTHOR: Stepanova N G; **Severin S E**
SOURCE: Doklady Akademii nauk SSSR, (1980) Vol. 251, No. 5, pp. 1271-4.
Journal code: 7505465. ISSN: 0002-3264.
PUB. COUNTRY: USSR
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: Russian
FILE SEGMENT: Priority Journals
ENTRY MONTH: 198009
ENTRY DATE: Entered STN: 15 Mar 1990
Last Updated on STN: 6 Feb 1998
Entered Medline: 28 Sep 1980

L6 ANSWER 2 OF 8 MEDLINE on STN
ACCESSION NUMBER: 74109277 MEDLINE
DOCUMENT NUMBER: PubMed ID: 4780953
TITLE: [Pentose phosphate synthesis in **cardiac muscle** and the role of erythrose-4-phosphate in the process].
Izuchenie biosinteza pentozofosfatov v myshtse serdtsa i roli eritrozo-4-fosfata v etom protsesse.
AUTHOR: **Severin S E**; Stepanova N G
SOURCE: Biokhimii a (Moscow, Russia), (1973 May-Jun) Vol. 38, No. 3, pp. 583-8.
Journal code: 0372667. ISSN: 0320-9725.
PUB. COUNTRY: USSR
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: Russian
FILE SEGMENT: Priority Journals
ENTRY MONTH: 197404
ENTRY DATE: Entered STN: 10 Mar 1990
Last Updated on STN: 3 Feb 1997
Entered Medline: 29 Apr 1974

L6 ANSWER 3 OF 8 MEDLINE on STN

ACCESSION NUMBER: 53022986 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12998561
TITLE: [Effect of carnosine on phosphorylation in the **cardiac muscle**].
Vliiani karnozina na protsessy fosforilirovaniia v serdechnoi myshtse.
AUTHOR: **SEVERIN S E**; MILOVIDOVA M K; BEKINA R M
SOURCE: Doklady Akademii nauk SSSR, (1952 Oct 11) Vol. 86, No. 5, pp. 1001-4.
Journal code: 7505465. ISSN: 0002-3264.
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: UNSPECIFIED
FILE SEGMENT: OLDMEDLINE; NONMEDLINE
OTHER SOURCE: CLML5323-23106-10-106-356
ENTRY MONTH: 200305
ENTRY DATE: Entered STN: Feb 2004
Last Updated on STN: Feb 2004
Entered Medline: 1 May 2003

L6 ANSWER 4 OF 8 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN
ACCESSION NUMBER: 1981:66650 BIOSIS
DOCUMENT NUMBER: PREV198121001646; BR21:1646
TITLE: BIOSYNTHESIS OF PENTOSE PHOSPHATES IN THE **CARDIAC MUSCLE** SOURCE OF FORMATION OF ERYTHROSE 4 PHOSPHATES.
AUTHOR(S): STEPANOVA N G [Reprint author]; **SEVERIN S E**
CORPORATE SOURCE: LAB ENZYMOL, ACAD MED SCI USSR, MOSCOW, USSR
SOURCE: Doklady Biochemistry, (1980) Vol. 251, No. 1-6, pp. 158-161.
CODEN: DBIOAM. ISSN: 0012-4958.
DOCUMENT TYPE: Article
FILE SEGMENT: BR
LANGUAGE: ENGLISH

L6 ANSWER 5 OF 8 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN
ACCESSION NUMBER: 1973:182916 BIOSIS
DOCUMENT NUMBER: PREV197356012881; BA56:12881
TITLE: PHOTO INACTIVATION OF MAGNESIUM ACTIVATED ATPASE AND SODIUM PLUS POTASSIUM ACTIVATED ATPASE IN CYTOPLASMIC MEMBRANES OF RAT **CARDIAC MUSCLE.**
AUTHOR(S): POPOVA I A; **SEVERIN S E**
SOURCE: Voprosy Meditsinskoi Khimii, (1971) Vol. 17, No. 6, pp. 575-578.
CODEN: VMDKAM. ISSN: 0042-8809.
DOCUMENT TYPE: Article
FILE SEGMENT: BA
LANGUAGE: Unavailable

L6 ANSWER 6 OF 8 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN
ACCESSION NUMBER: 1972:76165 BIOSIS
DOCUMENT NUMBER: PREV197208076165; BR08:76165
TITLE: ISOLATION PURIFICATION AND PROPERTIES OF NAD KINASE FROM THE **CARDIAC MUSCLE.**
AUTHOR(S): **SEVERIN S E**; TELEPNEVA V I; TSEITLIN L A
SOURCE: Biochemistry (Moscow), (1970) Vol. 35, No. 2 PART 2, pp. 272-277.
CODEN: BIORAK. ISSN: 0006-2979.
DOCUMENT TYPE: Article
FILE SEGMENT: BR
LANGUAGE: Unavailable

L6 ANSWER 7 OF 8 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN

ACCESSION NUMBER: 1971:201829 BIOSIS
DOCUMENT NUMBER: PREV197152111829; BA52:111829
TITLE: BIOSYNTHESIS OF THIAMINE PYRO PHOSPHATE BY THE **CARDIAC MUSCLE** IN NORMAL CONDITIONS AND DURING MYO CARDITIS.
AUTHOR(S): **SEVERIN S E**; TSEITLIN L A; BOIKO S S
SOURCE: Voprosy Meditsinskoi Khimii, (1971) Vol. 17, No. 1, pp. 33-37.
CODEN: VMDKAM. ISSN: 0042-8809.
DOCUMENT TYPE: Article
FILE SEGMENT: BA
LANGUAGE: Unavailable

L6 ANSWER 8 OF 8 SCISEARCH COPYRIGHT (c) 2007 The Thomson Corporation on STN
ACCESSION NUMBER: 1980:292958 SCISEARCH
THE GENUINE ARTICLE: JX613
TITLE: BIOSYNTHESIS OF PENTOSE PHOSPHATES IN THE **CARDIAC -MUSCLE** (A SOURCE OF THE FORMATION OF ERYTHROSE-4-PHOSPHATE)
AUTHOR: STEPANOVA N G (Reprint); **SEVERIN S E**
CORPORATE SOURCE: ACAD MED SCI USSR, ENZYMOL LAB, MOSCOW 109801, USSR (Reprint)
COUNTRY OF AUTHOR: USSR
SOURCE: DOKLADY AKADEMII NAUK SSSR, (1980) Vol. 251, No. 5, pp. 1271-1274.
ISSN: 0002-3264.
PUBLISHER: MEZHDUNARODNAYA KNIGA, 39 DIMITROVA UL., 113095 MOSCOW, RUSSIA.
DOCUMENT TYPE: Article; Journal
FILE SEGMENT: PHYS
LANGUAGE: Russian
REFERENCE COUNT: 15
ENTRY DATE: Entered STN: 1994
Last Updated on STN: 1994

=> file hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	36.88	37.09

FILE 'HCAPLUS' ENTERED AT 14:59:06 ON 09 JUL 2007

FILE COVERS 1907 - 9 Jul 2007 VOL 147 ISS 3
FILE LAST UPDATED: 8 Jul 2007 (20070708/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s (1973 and 38 and 583)/so
        343653 1973/SO
        304223 38/SO
         17031 583/SO
L7           2 (1973 AND 38 AND 583)/SO

=> d ibib abs tot

L7   ANSWER 1 OF 2  HCAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:        1973:524092  HCAPLUS
DOCUMENT NUMBER:         79:124092
TITLE:                   Pentose phosphate biosynthesis in heart muscle and the
                         role of erythrose 4-phosphate in this process
AUTHOR(S):               Severin, S. E.; Stepanova, N. G.
CORPORATE SOURCE:        Inst. Pharmacol., Moscow, USSR
SOURCE:                  Biokhimiya (Moscow) (1973), 38(3),
                         583-8
                         CODEN: BIOHAO; ISSN: 0320-9725
DOCUMENT TYPE:           Journal
LANGUAGE:                Russian
AB   Dihydroxyacetone phosphate and erythrose 4-phosphate were precursors for
     sedoheptulose 1,7-diphosphate in the soluble fraction of the heart muscle
     homogenate.  Erythrose 4-phosphate was apparently a regulator of
     carbohydrate metabolism in heart muscle.

L7   ANSWER 2 OF 2  HCAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:        1973:124805  HCAPLUS
DOCUMENT NUMBER:         78:124805
TITLE:                   Steroids.  CL.  Bromo and cyano derivatives of
                         5α-cholestan-3β-ol
AUTHOR(S):               Kurek, A.; Kohout, L.; Fajkos, J.; Sorm, F.
CORPORATE SOURCE:        Chem. Ustav, Cesk. Akad. Ved., Prague, Czech.
SOURCE:                  Collection of Czechoslovak Chemical Communications (
                         1973), 38(2), 583-91
                         CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE:           Journal
LANGUAGE:                English
GI   For diagram(s), see printed CA Issue.
AB   A-Bromo-5α-cholestan-3β -ol (I) and 7β-bromo-
     5α-cholestan-3β-ol (II) were prepared from 3β-acetoxy-
     5α-cholestane-7α-carboxylic acid (III) or 3β-acetoxy-
     5α-cholestane-7β-carboxylic acid (IV) by converting the acid to
     Ag salt in EtOH solution, refluxing the salt with Br2 in CCl4 (the
     Hunsdiecker reaction), separating the products on a silica gel column, and
     saponifying the obtained V and VI with alc. KOH.  Alternatively, V and VI were
     prepared by treating 3β-acetoxy-7α hydroxy-5α-cholestane or
     3β-acetoxy-7β-hydroxy-5α-cholestane with cholestane.
     3β-Acetoxy-5α-cholestane-6β-carboxylic acid gave only
     3β-acetoxy- 6α-bromo-5α-cholestane.  To prepare the
     7-substituted nitriles, 3β-acetoxy-5α-cholestan-7-one was
     converted to 3β-acetoxy-7cyano-5α-cholestan-7-ol which was
     refluxed with POcl3 in pyridine to yield 3β-acetoxy-7-chloro-7-cyano-
     5α- cholestane, and 3β-acetoxy-7-cyano-5α-cholest-6-ene.
     To prepare a 6-substituted nitrile, 3β-acetoxy-5α-cholestan-6-one
     was converted with KCN and AcOH to 3β-acetoxy-6-cyano-5α-
     cholestan-6- ol which was dehydrated with POCl3 and the resulting
     3β-acetoxy-6- cyanocholest-5-ene (VII) hydrogenated over Pd/CaCO3 in
     EtOH to yield 3β-cyano 5α-cholestan.  The 6β-configuration
```

of the CN group of VII was confirmed by NMR measurements.

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=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       8.26      45.35

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                      -1.56      -1.56

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 NEWS  2  DEC 01  ChemPort single article sales feature unavailable
 NEWS  3  JAN 06  The retention policy for unread STNmail messages
                  will change in 2009 for STN-Columbus and STN-Tokyo
 NEWS  4  JAN 07  WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
                  Classification Data
 NEWS  5  FEB 02  Simultaneous left and right truncation (SLART) added
                  for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
 NEWS  6  FEB 02  GENBANK enhanced with SET PLURALS and SET SPELLING
 NEWS  7  FEB 06  Patent sequence location (PSL) data added to USGENE
 NEWS  8  FEB 10  COMPENDEX reloaded and enhanced
 NEWS  9  FEB 11  WTEXTILES reloaded and enhanced
 NEWS 10  FEB 19  New patent-examiner citations in 300,000 CA/CAplus
                  patent records provide insights into related prior
                  art
 NEWS 11  FEB 19  Increase the precision of your patent queries -- use
                  terms from the IPC Thesaurus, Version 2009.01
 NEWS 12  FEB 23  Several formats for image display and print options
                  discontinued in USPATFULL and USPAT2
 NEWS 13  FEB 23  MEDLINE now offers more precise author group fields
                  and 2009 MeSH terms
 NEWS 14  FEB 23  TOXCENTER updates mirror those of MEDLINE - more
                  precise author group fields and 2009 MeSH terms
 NEWS 15  FEB 23  Three million new patent records blast AEROSPACE into
                  STN patent clusters
 NEWS 16  FEB 25  USGENE enhanced with patent family and legal status
                  display data from INPADOCDB
 NEWS 17  MAR 06  INPADOCDB and INPAFAMDB enhanced with new display
                  formats
 NEWS 18  MAR 11  EPFULL backfile enhanced with additional full-text
                  applications and grants
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 NEWS 19  MAR 11  ESBIOBASE reloaded and enhanced
 NEWS 20  MAR 20  CAS databases on STN enhanced with new super role
                  for nanomaterial substances
 NEWS 21  MAR 23  CA/CAplus enhanced with more than 250,000 patent
                  equivalents from China
 NEWS 22  MAR 30  IMSPATENTS reloaded and enhanced
 NEWS 23  APR 03  CAS coverage of exemplified prophetic substances
                  enhanced
 NEWS 24  APR 07  STN is raising the limits on saved answers

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FULL ESTIMATED COST                                       0.22       0.22

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=> s (Danishefsky, S?)/au
L1          977 (DANISHEFSKY, S?)/AU

=> s Gb3 or (GB(w)3)
L2         1153 GB3 OR (GB(W) 3)

=> s l1 and l2
L3            3 L1 AND L2

=> dup rem l3
PROCESSING COMPLETED FOR L3
L4            2 DUP REM L3 (1 DUPLICATE REMOVED)

=> d ibib abs tot

L4   ANSWER 1 OF 2     MEDLINE on STN                    DUPLICATE 1
ACCESSION NUMBER:   2009214494     IN-PROCESS
DOCUMENT NUMBER:    PubMed ID: 19253940
TITLE:              Biologics through chemistry: total synthesis of a proposed
```

dual-acting vaccine targeting ovarian cancer by orchestration of oligosaccharide and polypeptide domains.
AUTHOR: Zhu Jianglong; Wan Qian; Ragupathi Govind; George Constantine M; Livingston Philip O; **Danishefsky Samuel J**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, 1275 YorkAVenue, New York, New York 10065, USA.
CONTRACT NUMBER: CA28824 (United States NCI NIH HHS)
P01CA052477 (United States NCI NIH HHS)
SOURCE: Journal of the American Chemical Society, (2009 Mar 25) Vol. 131, No. 11, pp. 4151-8.
Journal code: 7503056. E-ISSN: 1520-5126.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, N.I.H., EXTRAMURAL)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
LANGUAGE: English
FILE SEGMENT: NONMEDLINE; IN-PROCESS; NONINDEXED; Priority Journals
ENTRY DATE: Entered STN: 19 Mar 2009
Last Updated on STN: 20 Mar 2009

AB Carbohydrate and peptide-based antitumor vaccine constructs featuring clusters of both tumor associated carbohydrate antigens and mucin-like peptide epitopes have been designed, synthesized, and studied. The mucin-based epitopes are included to act, potentially, as T-cell epitopes in order to provoke a strong immune response. Hopefully the vaccine will simulate cell surface architecture, thereby provoking levels of immunity against cancer cell types displaying such characteristics. With this central idea in mind, we designed a new vaccine type against ovarian cancer. Following advances in glycohistology, our design is based on clusters of **Gb**(**3**) antigen and also incorporates a MUC5AC peptide epitope. The vaccine is among the most complex targeted constructs to be assembled by chemical synthesis to date. The strategy for the synthesis employed a **Gb**(**3**)-MUC5AC thioester cassette as a key building block. Syntheses of both nonconjugate and KLH-conjugated vaccines constructs have been accomplished.

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:943702 CAPLUS
DOCUMENT NUMBER: 143:387288
TITLE: Olefin cross-metathesis: A powerful tool for constructing vaccines composed of multi-meric antigens
AUTHOR(S): Wan, Qian; Cho, Young Shin; Lambert, Tristan H.; **Danishefsky, Samuel J.**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, New York, New York and Department of Chemistry, Columbia University, New York, NY, USA
SOURCE: Journal of Carbohydrate Chemistry (2005), 24(4-6), 425-440
CODEN: JCACDM; ISSN: 0732-8303
PUBLISHER: Taylor & Francis, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:387288

AB The preparation of biol. pertinent glycosylamino acids from O-pentenyl glycosides is described. The procedure involves sequential cross-metathesis reactions followed by hydrogenation. The generality and value of this procedure have been demonstrated by the preparation of peracetylated **Gb3**, GM2, and fucosyl GM1 glycosylamino acids, which are of potentially large value in the preparation of future anticancer vaccines.

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REFERENCE COUNT:          46    THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT


=> log h
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                    14.00      14.22

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CA SUBSCRIBER PRICE                                    -0.82      -0.82

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FILE 'CAPLUS' ENTERED AT 11:43:05 ON 15 APR 2009
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FULL ESTIMATED COST                                    14.00      14.22

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                    -0.82      -0.82

=> d his

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     FILE 'MEDLINE, CAPLUS' ENTERED AT 11:32:12 ON 15 APR 2009
L1           977 S (DANISHEFSKY, S?)/AU
L2          1153 S GB3 OR (GB(W)3)
L3             3 S L1 AND L2
L4             2 DUP REM L3 (1 DUPLICATE REMOVED)

=> s l1 and (leX or (le(w)x))
L5             3 L1 AND (LEX OR (LE(W) X))

=> dup rem l5
PROCESSING COMPLETED FOR L5
L6             3 DUP REM L5 (0 DUPLICATES REMOVED)

=> d ibib abs tot

L6   ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2004:222029  CAPLUS
TITLE:                    Thesis of selected library of LEY and KH- analogs
```

AUTHOR(S): Spassova, Maria; Bornmann, William G.; Ragupathi, G.; Sukenick, G.; Livingston, P.; **Danishefsky, S.**
CORPORATE SOURCE: Preparative Organic Chemistry Laboratory, Memorial Sloan Kettering Cancer Center, New York, NY, 10021, USA
SOURCE: Abstracts of Papers, 227th ACS National Meeting, Anaheim, CA, United States, March 28-April 1, 2004 (2004), CARB-057. American Chemical Society: Washington, D. C.
CODEN: 69FGKM
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English
AB This study is part of a broad ongoing program at MSKCC of vaccines based on tumor associated antigens KH-1, Globo H, LeY , GM2, mucine antigens MUC1, Tn, and sTn. The antigens are the most widely expressed surface antigens in breast, prostate and ovarian cancer. It was previously reported the optimal approach for induction of antibodies against ganglioside and carbohydrate antigens in mice and patients with different cancers, using individual KLH±constructs and quite recently preclin. trials with multivalent KLH- conjugate vaccine. The antigens used for the vaccine constructs have very limited natural source availability and to overcome this problem, efficient total syntheses have been earlier developed for most of the carbohydrate epitopes: KH-1, Globo H, LeY etc. Taking advantage of the existing synthetic methodol. we report a combinatorial approach to selected library of KH-1 and LeY analogs. The motivation for this study came from recent data about strong immunogenic properties of KH-1. Antibodies generated in response to immunization with KH-1-KLH construct recognize not only KH-1 antigen but LeY as well. Both antigens belong to glycolipid series and contain Fucα1-2Galβ1-4(Fucα1-3)GlcNAc motif known as LeY Tetrasaccharide. In KH-1 it is attached to 3Galβ1-4(Fucα1-3GlcNAcβ1-3Galβ1-4Glc known as **LeX** Pentasaccharide. Based on these considerations, a synthetic methodol. has been developed to construct a library of di and tetrasaccharides that are subsequently appended to properly protected LeY Tetrasaccharide core structure via standard glycosylation or azaglycosylation reactions.

L6 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:364521 CAPLUS
DOCUMENT NUMBER: 123:199270
ORIGINAL REFERENCE NO.: 123:35597a,35600a
TITLE: Application of Glycals to the Synthesis of Oligosaccharides: Convergent Total Syntheses of the Lewis X Trisaccharide Sialyl Lewis X Antigenic Determinant and Higher Congeners
AUTHOR(S): **Danishefsky, Samuel J.**; Gervay, Jacquelyn; Peterson, John M.; McDonald, Frank E.; Koseki, Koshi; Griffith, David A.; Oriyama, Takeshi; Marsden, Stephen P.
CORPORATE SOURCE: Department of Chemistry, Yale University, New Haven, CT, 06511, USA
SOURCE: Journal of the American Chemical Society (1995), 117(7), 1940-53
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:199270
AB Exploiting the differences in reactivity of the hydroxyl groups of glucal allows for rapid access to the sialyl **Lex** tetrasaccharide glycal. This compound is readily converted to the title compds. by

aza-glycosidation followed by deprotection. The use of stannyl alkoxides in the glycosylation-rearrangement step allows for the use of minimally protected glycosides as the glycosyl acceptors. Employing a galactal epoxide as a glycosyl donor allows for a maximally convergent synthesis of the **Lex** glycal.

L6 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1992:545968 CAPLUS
DOCUMENT NUMBER: 117:145968
ORIGINAL REFERENCE NO.: 117:25197a,25200a
TITLE: Specificity, inhibition, and synthetic utility of a recombinant human α-1,3-fucosyltransferase
AUTHOR(S): Wong, Chi Huey; Dumas, David P.; Ichikawa, Yoshitaka; Koseki, Koshi; **Danishefsky, Samuel J.**; Weston, Brent W.; Lowe, John B.
CORPORATE SOURCE: Dep. Chem., Scripps Res. Inst., La Jolla, CA, 92037, USA
SOURCE: Journal of the American Chemical Society (1992), 114(18), 7321-2
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The substrate specificity and synthetic utility of a cloned human α-1,3-fucosyltransferase (I) was studied. I accepted a number of β-galactosides and α-sialosides as substrates, providing a useful entry to Lea, **Lex**, sialyl-**Lex**, the corresponding terminal glycals, and 13C-labeled sialyl-**Lex**. Galβ1,4(3-deoxy)GlcNAcβOallyl, Galβ1,4deoxynojirimycin, and GDP, however, were I inhibitors with IC50 values of 710.8 and 0.05 mM, resp. Two 5-membered aza sugars were also I inhibitors (IC50 = .apprx.30-80 mM), and a profound synergistic inhibition was observed in the presence of GDP.

=> d log h
'LOG' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'
'H' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

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DMAX -------- MAX, delimited for post-processing
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FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
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ISTD -------- STD, indented with text labels

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OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

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              containing hit terms
HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and
              its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
              its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
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                                                         ENTRY    SESSION
FULL ESTIMATED COST                                      42.22      42.44

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                      -3.28      -3.28

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FULL ESTIMATED COST                                      42.22      42.44

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                      -3.28      -3.28

=> d his

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     FILE 'MEDLINE, CAPLUS' ENTERED AT 11:32:12 ON 15 APR 2009
L1           977 S (DANISHEFSKY, S?)/AU
L2          1153 S GB3 OR (GB(W)3)
L3             3 S L1 AND L2
L4             2 DUP REM L3 (1 DUPLICATE REMOVED)
L5             3 S L1 AND (LEX OR (LE(W)X))
L6             3 DUP REM L5 (0 DUPLICATES REMOVED)

=> s (alkenyl or allyl)(w)glycoside#
L7           285 (ALKENYL OR ALLYL)(W) GLYCOSIDE#

=> s glycoamino(w)acid#
L8            87 GLYCOAMINO(W) ACID#

=> s l7 or l8
L9           369 L7 OR L8

=> s l9(w)enamide#
L10            0 L9(W) ENAMIDE#

=> s l9(s)enamide#
L11            0 L9(S) ENAMIDE#

=> s l7(s)(amino(w)acid#)
L12            3 L7(S)(AMINO(W) ACID#)

=> dup rem l12
PROCESSING COMPLETED FOR L12
L13            3 DUP REM L12 (0 DUPLICATES REMOVED)

=> d ibib abs tot

L13  ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2003:162589  CAPLUS
DOCUMENT NUMBER:         139:53266
TITLE:                   Short, stereoselective synthesis of C-glycosyl
                         asparagines via an olefin cross-metathesis
AUTHOR(S):               Nolen, Ernest G.; Kurish, Adam J.; Wong, Kelli A.;
                         Orlando, Michael D.
CORPORATE SOURCE:        Department of Chemistry, Colgate University, Hamilton,
                         NY, 13346, USA
SOURCE:                  Tetrahedron Letters (2003), 44(12), 2449-2453
                         CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER:               Elsevier Science Ltd.
DOCUMENT TYPE:           Journal
LANGUAGE:                English
```

OTHER SOURCE(S): CASREACT 139:53266
AB The Grubbs second generation ruthenium catalyst was employed for the cross metathesis between α- and β-C-allyl glycosides and suitably protected L-α-vinylglycines to furnish olefinic products in 57-94% yields. Hydrogenation afforded the C-glycosyl asparagines in high yields.
REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:586176 CAPLUS
DOCUMENT NUMBER: 138:14139
TITLE: Construction of carbohydrate-based antitumor vaccines: synthesis of glycosyl amino acids by olefin cross-metathesis
AUTHOR(S): Biswas, Kaustav; Coltart, Don M.; Danishefsky, Samuel J.
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, New York, NY, 10021, USA
SOURCE: Tetrahedron Letters (2002), 43(35), 6107-6110
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:14139
AB The synthesis of biol. relevant glycosyl **amino acids** from corresponding O-**allyl glycosides** is described. The procedure involves a cross-metathesis reaction with Fmoc-L-allylglycine benzyl ester, followed by reduction of the resulting olefin via catalytic hydrogenation, with the concomitant release of the free acid. This method has also been applied to the breast and prostate cancer antigen Globo-H, to afford a hexasaccharide glycosyl amino acid that has been previously incorporated in a polyvalent antitumor vaccine.
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:790326 CAPLUS
DOCUMENT NUMBER: 136:151371
TITLE: Investigation of the Sharpless asymmetric aminohydroxylation with C-allyl glycosides
AUTHOR(S): Xie, Juan; Valery, Jean-Marc
CORPORATE SOURCE: Laboratoire de Chimie des Glucides, Universite Pierre et Marie Curie, UMR 7613, Paris, 75005, Fr.
SOURCE: Journal of Carbohydrate Chemistry (2001), 20(6), 441-445
CODEN: JCACDM; ISSN: 0732-8303
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:151371
AB We have studied the Sharpless asym. aminohydroxylation on C-**allyl glycosides** in order to prepare C-glycosyl **amino acids** or C-glycopeptides. The perbenzylated amino α-C-allyl glucoside and β-C-allyl glucoside were shown to be moderate substrates for this reaction. New C-glycosyl α-amino ketones were isolated after oxidation of the crude β-amino alcs.
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log h

COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION
FULL ESTIMATED COST | 80.18 | 80.40

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION
CA SUBSCRIBER PRICE | -5.74 | -5.74

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FILE 'CAPLUS' ENTERED AT 14:16:27 ON 15 APR 2009
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COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION
FULL ESTIMATED COST | 80.18 | 80.40

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION
CA SUBSCRIBER PRICE | -5.74 | -5.74

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=> s (Danishefsky, S?)/au
L14        977 (DANISHEFSKY, S?)/AU

=> s l14 and ((mutiple or multi or combin?)(3a)(antigen## or epitop## or domain# or
glyco? or carbohydrate#))
L15          6 L14 AND ((MUTIPLE OR MULTI OR COMBIN?)(3A)(ANTIGEN## OR EPITOP##
                OR DOMAIN# OR GLYCO? OR CARBOHYDRATE#))

=> s l15 and py<2001
L16          1 L15 AND PY<2001

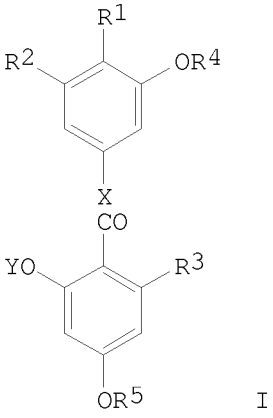
=> d ibib abs

L16  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        1994:107619  CAPLUS
DOCUMENT NUMBER:         120:107619
ORIGINAL REFERENCE NO.:  120:19033a,19036a
TITLE:                   Preparation of
                         [heptylhydroxy[(heptylhydroxyphenoxy)carbonyl]]phenyl
                         galactofuranosides as inhibitors of
                         calmodulin-mediated enzymes
INVENTOR(S):             Danishefsky, Samuel J.; Dushin, Russell;
                         Hait, William N.
PATENT ASSIGNEE(S):      Yale University, USA
SOURCE:                  PCT Int. Appl., 74 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
```

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9314099	A1	19930722	WO 1993-US286	19930114 <--
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5386019	A	19950131	US 1992-821719	19920115 <--

PRIORITY APPLN. INFO.: US 1992-821719 A 19920115
OTHER SOURCE(S): CASREACT 120:107619; MARPAT 120:107619
GI



I

AB The title compds. I [R1 = H, CO2H, lower alkoxycarbonyl, PhCH2O2C; R2, R3 = H, C1-20 (unsatd.)(branched)alkyl; R4, R5 = H, silylalkyl, silylalkoxy, silylaryl, PhCH2; X = O, S; Y = glycoside] useful as inhibitors of calmodulin-mediated enzymes are prepared by a method comprising (a) combining a derivative of Y, which is a sugar glycal, with a 2,4-dihydroxybenzoic acid derivative, which contains. R3 and R5, such that glycosidation occurs through an O at the 2-position of the 2,4-dihydroxybenzoic acid derivative to give an aryl **glycoside**, and (b) **combining** the aryl **glycoside** of (a) with an aryl compound, which contains. R1, R2 and R4, such that a covalent bond is formed through X between the aryl glycoside and the aryl compound to give I. Thus, I (R1 = R4 = R5 = H, R2 = R3 = heptyl, X = O, Y = β-D-galactofuranosyl) (II) was prepared in multiple steps from D-talose. II inhibited Ca2+/calmodulin-sensitive phosphodiesterase at 1-2 μM.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file pctfull

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	108.68	108.90

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.56	-6.56

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FILE LAST UPDATED:         9 APR 2009      <20090409/UP>
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=> s (Danishefsky, S?)/in
L17         55 (DANISHEFSKY, S?)/IN

=> s l17 and ((mutiple or multi or combin?)(3a)(antigen## or epitop## or domain# or
glyco? or carbohydrate#))
           351 MUTIPLE
        260289 MULTI
        822000 COMBIN?
         86635 ANTIGEN##
         46081 EPITOP##
        165901 DOMAIN#
        216008 GLYCO?
         61693 CARBOHYDRATE#
         23470 (MUTIPLE OR MULTI OR COMBIN?)(3A)(ANTIGEN## OR EPITOP## OR DOMAI
               N# OR GLYCO? OR CARBOHYDRATE#)
L18         14 L17 AND ((MUTIPLE OR MULTI OR COMBIN?)(3A)(ANTIGEN## OR EPITOP##
                OR DOMAIN# OR GLYCO? OR CARBOHYDRATE#))

=> s l18 and ad<20000818
        538644 AD<20000818
                 (AD<20000818)
L19          4 L18 AND AD<20000818

=> d kwic 4

L19    ANSWER 4 OF 4     PCTFULL   COPYRIGHT 2009 Univentio on STN
IN     DANISHEFSKY, Samuel, J.
AI     WO 1991-US8288       A  19911028

DETD   Examples of saccharide units which serve individually
       as the carbohydrate domain or combined
       with other saccharide
       units as a saccharide multimer carbohydrate domain are glucose,
       galactose, altrose, arabinose, ribose, xylose, fructose, mannose,
       allose, talose, idose, gulose,.  .  .


=> d kwic 3

L19    ANSWER 3 OF 4     PCTFULL   COPYRIGHT 2009 Univentio on STN
IN     DANISHEFSKY, Samuel, J.;
       DUSHIN, Russell;
       HAIT, William, N.
AI     WO 1993-US286        A  19930114

DETD  .  .  .  invention, Y is a glycoside, The method of
       this preferred embodiment has two steps. In the
       initial step, a derivative of the glycoside Y is
         combined with a 2,4-dihydroxybenzoic acid derivative,
       having appropriate R 3and R5 substituents, under
       conditions appropriate for covalent attachment or
```

bonding to occur between the. . . between the glycoside Y and the 2,4-dihydroxybenzoic acid drivative. In preferred embodiments of the method of the present invention, the derivative of the **glycoside** Y that **combines** with 05 the 2,4-dihydroxybenzoic acid derivative is a sugar glycal. The conditions appropriate for the covalent attachment to occur between the sugar glycal. . . glycal is performed followed by reaction of the resulting epoxide with the 2.4]dihydroxybenzoic acid derivative. The resulting product of this initial step of **combining** the **glycoside** Y derivative with the 2,4-dihydroxybenzoic 15 acid derivative is an arylglycoside.

=> d kwic 2

L19 ANSWER 2 OF 4 PCTFULL COPYRIGHT 2009 Univentio on STN
IN HO, Steffan, N.;
SCHREIBER, Stuart, L.;
DANISHEFSKY, Samuel, J.;
CRABTREE, Gerald, R.
AI **WO 1994-US9123 A 19940815**

DETD The initiation of T lymphocyte activation requires a complex interaction of the **antigen** receptor with the **combination** of **antigen** and self-histocompatibility molecules on the surface of antigen-presenting cells
. . .
synthesis has been described (Danishefsky et al. Science 260. 1307, incorporated herein by reference) and may be used to generate **combinatorial glycoconjugate** libraries on solid substrates

=> d ibib 2

L19 ANSWER 2 OF 4 PCTFULL COPYRIGHT 2009 Univentio on STN
ACCESSION NUMBER: 1995005389 PCTFULL ED 20020514
TITLE (ENGLISH): SEQUENCE-SPECIFIC GLYCOCONJUGATE TRANSCRIPTIONAL ANTAGONISTS
TITLE (FRENCH): GLYCOCONJUGES A SPECIFICITE DE SEQUENCE ANTAGONISTES DE TRANSCRIPTION
INVENTOR(S): HO, Steffan, N.;
SCHREIBER, Stuart, L.;
DANISHEFSKY, Samuel, J.;
CRABTREE, Gerald, R.
PATENT ASSIGNEE(S): THE BOARD OF TRUSTEES OF THE LELAND STANFORD JUNIOR UNIVERSITY;
YALE UNIVERSITY;
THE PRESIDENT AND FELLOWS OF HARVARD COLLEGE
DOCUMENT TYPE: Patent
PATENT INFORMATION:

NUMBER	KIND	DATE
WO 9505389	A1	19950223

DESIGNATED STATES
W: AU CA JP AT BE CH DE DK ES FR GB GR IE IT LU MC NL PT SE

PRIORITY INFO.: US 1993-8/109,271 19930818
APPLICATION INFO.: **WO 1994-US9123 A 19940815**

=> d kwic 1

L19 ANSWER 1 OF 4 PCTFULL COPYRIGHT 2009 Univentio on STN
IN **DANISHEFSKY, Samuel, J.;**
SHAIR, Matthew, D.;
YOON, Taeyoung;
CHOU, Ting-Chao;
MOSNY, Karoline, K.
AI **WO 1995-US15678 A 19951201**

DETD human breast adenocarcinoma cells; 833K, human testicular teratocarcinom]
hamster lung cells; DC-3F/ADII, DC-3F cells resistance actinomycin D (**glycoprotein multi**-drug resistance. The values given are the concentrati
cell growth by 50%- (IC50) in PM.

=> log h
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 20.53 129.43

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION
CA SUBSCRIBER PRICE 0.00 -6.56

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NEWS 5 FEB 02 Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 6 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 7 FEB 06 Patent sequence location (PSL) data added to USGENE
NEWS 8 FEB 10 COMPENDEX reloaded and enhanced
NEWS 9 FEB 11 WTEXTILES reloaded and enhanced
NEWS 10 FEB 19 New patent-examiner citations in 300,000 CA/CAplus

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                  patent records provide insights into related prior
                  art
 NEWS 11  FEB 19  Increase the precision of your patent queries -- use
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 NEWS 13  FEB 23  MEDLINE now offers more precise author group fields
                  and 2009 MeSH terms
 NEWS 14  FEB 23  TOXCENTER updates mirror those of MEDLINE - more
                  precise author group fields and 2009 MeSH terms
 NEWS 15  FEB 23  Three million new patent records blast AEROSPACE into
                  STN patent clusters
 NEWS 16  FEB 25  USGENE enhanced with patent family and legal status
                  display data from INPADOCDB
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                  formats
 NEWS 18  MAR 11  EPFULL backfile enhanced with additional full-text
                  applications and grants
 NEWS 19  MAR 11  ESBIOBASE reloaded and enhanced
 NEWS 20  MAR 20  CAS databases on STN enhanced with new super role
                  for nanomaterial substances
 NEWS 21  MAR 23  CA/CAplus enhanced with more than 250,000 patent
                  equivalents from China
 NEWS 22  MAR 30  IMSPATENTS reloaded and enhanced
 NEWS 23  APR 03  CAS coverage of exemplified prophetic substances
                  enhanced
 NEWS 24  APR 07  STN is raising the limits on saved answers

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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FULL ESTIMATED COST                                       0.22       0.22

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FILE LAST UPDATED: 14 Apr 2009 (20090414/ED)

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L2       32073 PHOSPHONATE#

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L6   ANSWER 1 OF 106  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2002:105800  CAPLUS
DOCUMENT NUMBER:         136:118620
TITLE:                   [(Aryl)isooxozolyl]methylene-azabicyclic compound and
                         method for its manufacturing
INVENTOR(S):             Ko, Hoon Young; Jang, Moon Ho; Kim, You Seung; Choi,
                         Kyung Il; Cho, Yong Seo; Bae, Ae Nim; Cha, Ju Hwan;
```

Kong, Jae Yang; Cheon, Hye Kyung; Jeong, Dae Young
PATENT ASSIGNEE(S): Korea Institute of Science and Technology, S. Korea
SOURCE: Repub. Korean Kongkae Taeho Kongbo, No pp. given
CODEN: KRXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Korean
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KR 2000002400	A	20000115	KR 1998-23118	19980619 <--

PRIORITY APPLN. INFO.: KR 1998-23118 19980619
OTHER SOURCE(S): CASREACT 136:118620
AB The title compound is prepared which exhibits a high affinity for muscarinic acetylcholine receptor and is useful as therapeutic agent of cerebral nerve disease such as Alzheimer's disease. A carbonyl compound is reacted with phosphonium salt compound or with phosphonate compound in the presence of solvent and base to give [(aryl)isooxozolyl]methylene-azabicyclic compound Thus, 188 mg of potassium tert-butoxide and 482 mg of di-Et 3-(4-methylphenyl)-5-isooxazolylmethylphosphonate being dissolved in THF are stirred for 30 min at 22° and reacted with 3-oxo-1-azabicyclo[2.2.2]octane to give 3-[3-(4-methylphenyl)isooxazol-5-yl]methylene-1-azabicyclo[2.2.2]octane oxalic acid salt.

L6 ANSWER 2 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:114011 CAPLUS
DOCUMENT NUMBER: 134:296080
TITLE: Synthesis of non-natural O-glycosylamino acids derived from n-pentenyl glycosides; model studies and proof of principle for glycopeptide synthesis
AUTHOR(S): Allen, Jennifer R.; Danishefsky, Samuel J.
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, Columbia University, New York, NY, USA
SOURCE: Journal fuer Praktische Chemie (Weinheim, Germany) (**2000**), 342(8), 736-744
CODEN: JPCHF4; ISSN: 1436-9966
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:296080
AB Model studies on the transformation of the olefinic unit contained in n-pentenyl glycosides (NPGs) to glycoamino acids is described. The methodol. involves a **Horner-Emmons** olefination with a protected glycine derived **phosphonate**, followed by asym. hydrogenation using Du-PHOS catalyst system. A variety of protecting group schemes have been investigated and their stereoselectivity in the hydrogenation reaction determined With N-Boc and C-TSE ester protection, the diastereoselectivity in the reaction was measured by 1H NMR anal. with "racemic" product as a comparison. These modified glycoamino acids are also useful for peptide synthesis. The methodol. appears to be general and was extended to include the synthesis a glycoamino acid containing the complex hexasaccharide Globo-H.
REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

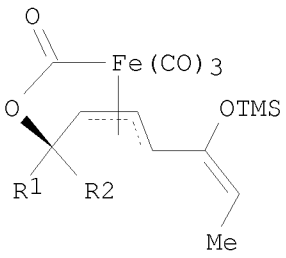
L6 ANSWER 3 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:548502 CAPLUS
DOCUMENT NUMBER: 133:267045
TITLE: Synthesis and structural analysis of higher analogs of

sucrose
AUTHOR(S): Jarosz, Slawomir; Mach, Mateusz; Frelek, Jadwiga
CORPORATE SOURCE: Institute of Organic Chemistry, Polish Academy of Sciences, Warsaw, 01-224, Pol.
SOURCE: Journal of Carbohydrate Chemistry (**2000**), 19(6), 693-715
CODEN: JCACDM; ISSN: 0732-8303
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:267045
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Three sucrose monoalcs. with free hydroxyl groups at C-1', C-6, and C-6' were prepared selectively and in good yield from 2,3,3',4,4'-penta-O-benzylsucrose. These compds. were oxidized to aldehydes and reacted with stabilized ylide, Ph3P=CHCO2Me to afford appropriate α,β-unsatd. esters. Each olefin was cis-hydroxylated with OsO4/NMO to stereoisomeric diols, configurations of which were assigned by chemical correlation and CD evaluation. Stereoselectivity of the osmylation reaction was surprisingly low (ca 3:2), especially as compared to a similar process performed on simple derivs. of 6,7-unsatd. Me glycosides for which the ratio of isomeric diols was assigned as 10:1. The osmylation of (I) did not obey Kishi's rule. **Horner-Emmons** reaction of sucrose aldehyde (II) with a sugar-derived **phosphonate** afforded α,β-unsatd. derivative (III).
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:361394 CAPLUS
DOCUMENT NUMBER: 133:222802
TITLE: 1,7-Asymmetric induction of chirality in a Mukaiyama aldol reaction using π-allyltricarbonyliron lactone complexes: highly diastereoselective synthesis of α-substituted β-hydroxy carbonyl compounds
AUTHOR(S): Ley, Steven V.; Wright, Edward A.
CORPORATE SOURCE: Department of Chemistry, University of Cambridge, Cambridge, CB2 1EW, UK
SOURCE: Perkin 1 (**2000**), (11), 1677-1683
CODEN: PERKF9; ISSN: 1470-4358
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:222802
GI



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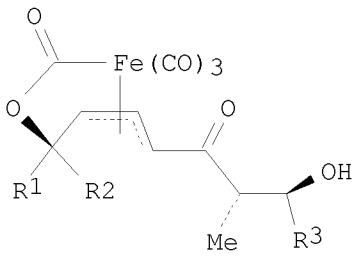


II

AB Silyl enol ethers (shown as I; R1/R2 = H/C5H11, H/Ph, H/Me, Me/H) derived from Et ketone functionalized π-allyltricarbonyliron lactone complexes undergo highly diastereoselective Mukaiyama aldol reactions with a variety of achiral aldehydes, with control of both α- and β-stereogenic centers to give II (e.g. R3 = Ph) after desilylation. In one case, II was converted to (4E,6E,1R,2S)-PhCH:CHCH:CHC(O)CHMeCH(OTES)Ph in 3 steps (silylation: 98, CO2 elimination: 98, oxidative demetalation: 94% yields). The crystal and mol. structures of II (R1/R2/R3 = H/Me/C6H4NO2-p) were determined by x-ray crystallog.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:267975 CAPLUS
DOCUMENT NUMBER: 133:43685
TITLE: First Total Synthesis of the Marine Alkaloids (±)-Fasicularine and (±)-Lepadiformine Based on Stereocontrolled Intramolecular Acylnitroso-Diels-Alder Reaction
AUTHOR(S): Abe, Hideki; Aoyagi, Sakae; Kibayashi, Chihiro
CORPORATE SOURCE: School of Pharmacy, Tokyo University of Pharmacy Life Science, Tokyo, 192-0392, Japan
SOURCE: Journal of the American Chemical Society (**2000**), 122(19), 4583-4592
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:43685
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The first total synthesis of tricyclic marine alkaloids (±)-fasicularine (I) and (±)-lepadiformine (II) was accomplished. The key common strategic element for the synthesis is the stereocontrolled intramol. hetero-Diels-Alder reaction of an N-acylnitroso moiety to an exocyclic diene with or without bromine substitution to control the syn-facial or anti-facial selectivity, resp., leading to the trans- or cis-fused decahydroquinoline ring systems III or IV involving the simultaneous introduction of the nitrogenated quaternary center in a single step. On further elaboration of the six-membered or five-membered ring A, the trans-fused adduct III provided either (±)-fasicularine (I) or (±)-lepadiformine (II). The hydrochloride salt of synthetic (±)-II was found to be identical with the isolated natural sample of lepadiformine; however, the tricyclic amino alc. V having the proposed

structure of lepadiformine in a non-zwitterionic form, derived from the cis-fused adduct IV, was found to be different from lepadiformine by spectral comparison. These results thus unambiguously established the relative stereochem. of lepadiformine, formerly assigned incorrectly, to be 3R*,5S*,7aR*,11aR* shown by II.
REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:116206 CAPLUS
DOCUMENT NUMBER: 132:293544
TITLE: One-pot synthesis of α-methylvinyl sulfones from ethyl phenyl sulfones
AUTHOR(S): Lee, Jae Wook; Lee, Chi-Wan; Jung, Jin Hang; Oh, Dong Young
CORPORATE SOURCE: Department of Chemistry, Korea Advanced Institute of Science and Technology, Taejon, 305-701, S. Korea
SOURCE: Synthetic Communications (**2000**), 30(2), 279-283
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:293544
AB Various α-methylvinyl sulfones were synthesized by **Horner-Emmons** olefination of aldehydes and sulfonyl **phosphonate** generated from PhSO2CLi2Me.
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

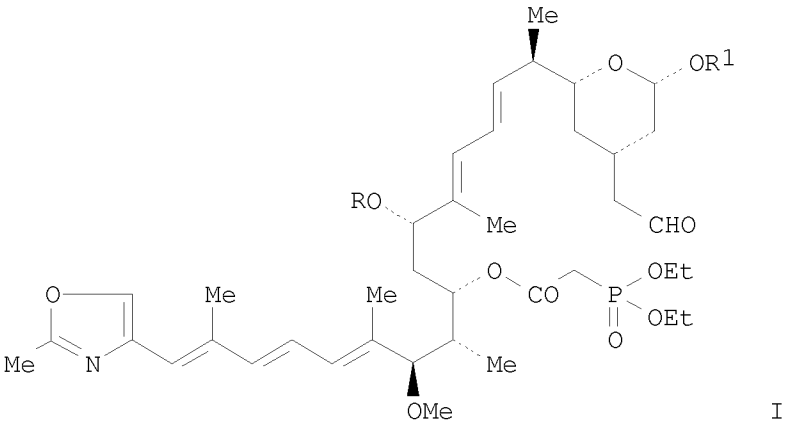
L6 ANSWER 7 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:799910 CAPLUS
DOCUMENT NUMBER: 132:151875
TITLE: The First α-Fluoroallenylphosphonate, the Synthesis of Conjugated Fluoroenynes, and the Stereoselective Synthesis of Vinylfluorophosphonates Using a New Multifunctional Fluorine-Containing Building Block
AUTHOR(S): Zapata, Antonio J.; Gu, Yonghong; Hammond, Gerald B.
CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Massachusetts-Dartmouth, North Dartmouth, MA, 02747-2300, USA
SOURCE: Journal of Organic Chemistry (**2000**), 65(1), 227-234
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:151875
AB Limitations on current methodologies for the introduction of CF2 and CFH in complex α-fluorophosphonates gave a F-containing building block TIPS-C.tplbond.CCFXP(O)(OEt)2, where X = H or F. This multifunctional F synthon reacts with carbonyl compds. under Wadsworth-Horner-Emmons (WHE) conditions to give high yields of fluorinated conjugated enynes and enediyne. When X = F, trapping of the desilylated anion with an electrophile after TIPS removal provided exclusive access to γ-substituted derivs. of α-fluorophosphonates. When X = H, TBAF deprotection of the silyl group yields H2C:C:CFP(O)(OEt)2 through an allenyl-propargyl resonance stabilized anion. The allene moiety was used as template in the stereoselective synthesis of α-fluoro-β,γ-diiodopropenyl phosphonate, via electrophilic iodination, and α-fluoro-γ-amino-α,β-

unsatd. phosphonates, including unsatd. phosphononucleosides, by nucleophilic displacement of an allylic iodide. Hydroamination of H2C:C:CFP(O)(OEt)2 using secondary amines produced (Z)-α-fluoroenaminophosphonates, whereas Diels-Alder cycloaddn. with cyclopentadiene provides the corresponding exocyclic vinylfluorophosphonate. The crystal and mol. structures of (E)-NuCH2CI:CFP(O)(OEt)2 (NuH = purine, adenine) and (E)-R2NCMe:CFP(O)(OEt)2 (R2NH = PhCH2NH2) were determined by x-ray crystallog. (details are given in supplementary material). Results of anti-HIV testing of (E)-NuCH2CI:CFP(O)(OEt)2 (NuH = purine, adenine) are reported.

REFERENCE COUNT: 100 THERE ARE 100 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:352197 CAPLUS
DOCUMENT NUMBER: 131:157665
TITLE: The enantioselective total synthesis of the antitumor macrolide natural product rhizoxin D
AUTHOR(S): Lafontaine, Jennifer A.; Provencal, David P.; Gardelli, Cristina; Leahy, James W.
CORPORATE SOURCE: Department of Chemistry, University of California, Berkeley, CA, 94720-1460, USA
SOURCE: Tetrahedron Letters (**1999**), 40(22), 4145-4148
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:157665
GI



I

AB A convergent, enantioselective total synthesis of rhizoxin D (didesepoxyrhizoxin), a potent antitumor natural product, was achieved via three critical olefinations, including an intramol. **Horner-Emmons** macrocyclization of **phosphonate** I [R = Si(CHMe2)3, R1 = SiMe2CMe3].

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

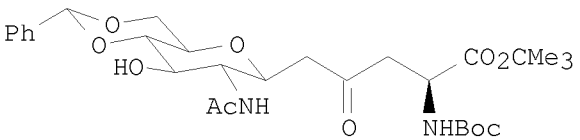
L6 ANSWER 9 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:297642 CAPLUS
DOCUMENT NUMBER: 131:87998
TITLE: Dialkynylated and functionalized alkynylated areneCr(CO)3-complexes-syntheses and structures of carbon rich chromium-complexed benzenes
AUTHOR(S): Muller, Thomas J. J.; Ansorge, Markus; Polborn, Kurt
CORPORATE SOURCE: Institut fur Organische Chemie, Ludwig-Maximilians-Universitat Munchen, Munich, D-80333, Germany
SOURCE: Journal of Organometallic Chemistry (**1999**), 578(1-2), 252-259
CODEN: JORCAI; ISSN: 0022-328X
PUBLISHER: Elsevier Science S.A.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The dialkynylated complexes, η6-(arene)Cr(CO)3 (I; arene = p-, m-C6H4(C.tplbond.CR)2, R = TMS, Ph, H) can be synthesized: (a) by Sonogashira coupling of alkynes, HC.tplbond.CR, with dihalo areneCr(CO)3 complexes; or (b) very efficiently by a Horner-Emmons-Wadsworth related acetylene synthesis with readily available Cr(CO)3-complexed aryl aldehydes. The structural constitution of two novel difunctional alkynyl arene complexes (e.g., I, R = p-TMS) was confirmed by x-ray crystal structure analyses.

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:786970 CAPLUS
DOCUMENT NUMBER: 130:110588
TITLE: The C-glycosyl analog of an N-linked glycoamino acid
AUTHOR(S): Werner, R. Marshall; Williams, Leonard M.; Davis, Jeffery T.
CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Maryland, College Park, MD, 20742, USA
SOURCE: Tetrahedron Letters (**1998**), 39(50), 9135-9138
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:110588
GI



I

AB The synthesis of a new glycoamino acid derivative I, a protected, direct C-analog of N4-(2-acetamido-2-deoxy-β-D-glucopyranosyl)-L-Asn is described. The C-glycoside I is prepared by a tandem **Horner-Emmons**-Wadsworth olefination-Michael addition between an aspartyl β-keto **phosphonate** and a 4,6-O-benzylidene GlcNAc sugar.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs 86-106

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L8 HAS NO ANSWERS
L1          1175 SEA FILE=CAPLUS ABB=ON  HORNER(W)EMMONS
L2         32073 SEA FILE=CAPLUS ABB=ON  PHOSPHONATE#
L5           171 SEA FILE=CAPLUS ABB=ON  L1(S)L2
L8             0 SEA FILE=CAPLUS ABB=ON  L5(S)(ALPHA OR A)(W)CARBON#


=> d l6 ibib abs 86-106

L6   ANSWER 86 OF 106  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         1987:101432  CAPLUS
DOCUMENT NUMBER:          106:101432
ORIGINAL REFERENCE NO.:   106:16601a,16602a
TITLE:                    Synthesis of aldehydes by a one-carbon homologation of
                          ketones and aldehydes via α,β-unsaturated
                          isocyanides
AUTHOR(S):                Moskal, Janusz; Van Leusen, Albert M.
CORPORATE SOURCE:         Dep. Org. Chem., Groningen Univ., Groningen, 9747 AG,
                          Neth.
SOURCE:                   Recueil des Travaux Chimiques des Pays-Bas (
                          1986), 105(4), 141-2
                          CODEN: RTCPA3; ISSN: 0165-0513
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
AB   Treatment of carbonyl compds. R1COR (R = H, R1 = 2-furyl, 2-thienyl; R =
     Ph, R1 = PhCH2; R = R1 = Me2CH, Me3C; R1R1C = cycloalkylidene) with
     CNCHLiP(O)(OEt)2, followed by acidic hydrolysis or oxidation-hydrolysis,
     afforded homologous aldehydes RR1CHCHO in <100% yields.

L6   ANSWER 87 OF 106  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         1987:66994  CAPLUS
DOCUMENT NUMBER:          106:66994
ORIGINAL REFERENCE NO.:   106:11007a,11010a
TITLE:                    Cyanocabacyclin derivatives
INVENTOR(S):              Shibazaki, Masakatsu; Sodeoka, Mikiko
PATENT ASSIGNEE(S):       Sagami Chemical Research Center, Japan
SOURCE:                   Jpn. Kokai Tokkyo Koho, 15 pp.
                          CODEN: JKXXAF
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     ---------------     ----   --------    --------------------    --------
     JP 61205244          A     19860911    JP 1985-44620           19850308 <--
     JP 05058425          B     19930826
PRIORITY APPLN. INFO.:                      JP 1985-44620           19850308
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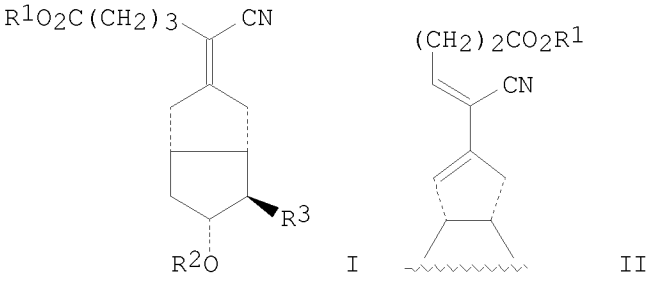

AB The title compds. [I; R1 = H, alkyl; R2 = H, protecting group; R3 = (protected) HOCH2, CHO, 3-oxo-trans-1-octenyl, 3-oxo-4-Me-1-octenyl, (3S)-hydroxy-trans-1-octenyl, (3S)-hydroxy-4-methyl-trans-1-octenyl], useful as antiulcer agents with marginal blood-platelet inhibitory activity, (no data) were prepared Thus, a mixture of bicyclo[3.3.0]oct-2-ene derivative [II; R1 = Me, R2 = tetrahydropyranyl (THP), R3 = Me3CSiMe2OCH2] and Cr(CO)3(PhCOMe) in Me2CO was heated at 120° and 70 kg/cm2 h for 15 h to give 100% I (R1 = Me, R2 = THP, R3 = Me3CSiMe2OCH2).

L6 ANSWER 88 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1986:496976 CAPLUS
DOCUMENT NUMBER: 105:96976
ORIGINAL REFERENCE NO.: 105:15661a
TITLE: A Horner-Emmons approach to cumulatrienes
AUTHOR(S): Macomber, Roger S.; Hemling, Thomas C.
CORPORATE SOURCE: Dep. Chem., Univ. Cincinnati, Cincinnati, OH, 45221, USA
SOURCE: Israel Journal of Chemistry (**1985**), 26(2), 136-9
CODEN: ISJCAT; ISSN: 0021-2148
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:96976
AB (EtO)2P(O)CH:C:CR2 [R = Et, R2 = (CH2)5] were treated with (Me2HC)2NLi and then R21CO [R1 = Ph, Me, Me3C; R1R1 = (CH2)5] to give 23-64% R2C:C:C:C:CR21 (I). Complexes of I (R = Me, R1 = Ph) and I (R = R1 = Me) with chlorotris(triphenylphosphine)rhodium were also prepared

L6 ANSWER 89 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1986:207474 CAPLUS
DOCUMENT NUMBER: 104:207474
ORIGINAL REFERENCE NO.: 104:32897a,32900a
TITLE: Total synthesis of (+)-desepoxyasperdiol
AUTHOR(S): Tius, Marcus A.; Fauq, Abdul H.
CORPORATE SOURCE: Dep. Chem., Univ. Hawaii, Honolulu, HI, 96822, USA
SOURCE: Journal of the American Chemical Society (**1986**), 108(5), 1035-9
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 104:207474
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A convergent enantioselective synthesis of the cembranoid diterpene desepoxyasperdiol (I) is described. Key steps are the introduction of asymmetry by regioselective ring opening of optically active epoxy alc. II by H2C:CMeMgBr to give diol III, and the cyclization of **phosphonate** IV (R = CHMeOEt) to the 14-membered ring V using the conditions for the **Horner-Emmons** reaction developed by Masamune and Roush. Thus, this reaction will simultaneously tolerate both a tertiary carbon nucleophile and an aldehyde with α-branching. Unusual behavior was noted for the reactions of (phenylthio)acetic acid dianion.

L6 ANSWER 90 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1986:88871 CAPLUS
DOCUMENT NUMBER: 104:88871
ORIGINAL REFERENCE NO.: 104:14119a
TITLE: The synthesis of carbon-13 labeled retinals
AUTHOR(S): Lugtenburg, Johan
CORPORATE SOURCE: Dep. Org. Chem., Univ. Leiden, Leiden, 2300 RA, Neth.
SOURCE: Pure and Applied Chemistry (**1985**), 57(5), 753-62
CODEN: PACHAS; ISSN: 0033-4545
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 104:88871
AB Sixteen 13C-labeled all-trans, 13-cis, 11-cis, or 9-cis retinals were prepared

L6 ANSWER 91 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1985:166964 CAPLUS
DOCUMENT NUMBER: 102:166964
ORIGINAL REFERENCE NO.: 102:26261a,26264a
TITLE: Dimethyl (2-oxo-4-methyl-3-pentenyl)phosphonate as a precursor of α,α'-dienones. Short syntheses of (±)-α-atlantone and (±)-ar-turmerone
AUTHOR(S): Motoyoshiya, Jiro; Miyajima, Masae; Hirakawa, Kiyoichi; Kakurai, Toshio
CORPORATE SOURCE: Fac. Text. Sci. Technol., Shinshu Univ., Ueda, 386, Japan
SOURCE: Journal of Organic Chemistry (**1985**), 50(8), 1326-7
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 102:166964
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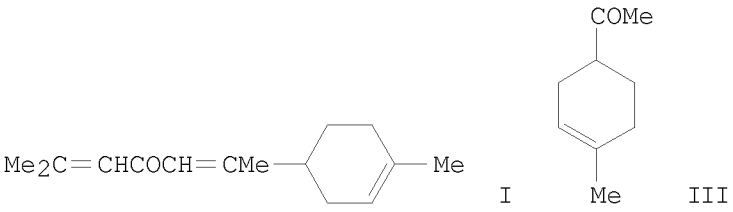

I III

AB (±)-α-Atlantone (I) was prepared by Horner-Emmons reaction of Me2C:CHCOCH2P(O)(OMe)2 (II) with Me ketone III, whereas (±)-ar-turmerone [Me2C:CHCOCH2CHMeC6H4Me-p] was obtained by addition of MeMgI to Me2C:CHCOCH:CHC6H4Me-p in the presence of CuCl. Horner-Emmons

reaction of II with other ketones and aldehydes was also carried out.

L6 ANSWER 92 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1985:24246 CAPLUS
DOCUMENT NUMBER: 102:24246
ORIGINAL REFERENCE NO.: 102:3987a,3990a
TITLE: A method for the stereoselective synthesis of (E)-methylstilbene retinoids
AUTHOR(S): Dawson, Marcia I.; Derdzinski, Krzysztof; Hobbs, Peter D.; Chan, Rebecca L. C.; Rhee, Sung W.; Yasuda, Dennis
CORPORATE SOURCE: Life Sci. Div., SRI Int., Menlo Park, CA, 94025, USA
SOURCE: Journal of Organic Chemistry (**1984**), 49(26), 5265-7
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 102:24246
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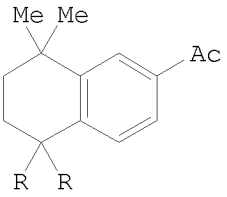
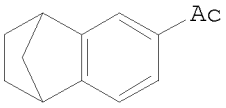
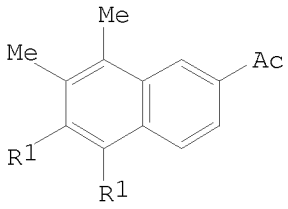


I



II



III

AB Horner-Emmons olefination of the aryl Me ketones [ArCOMe] I (R = Me, H), II, and III (R1 = H, Me) with the anion of 4-(EtO2C)C6H4CH2P(O)(OEt)2 involved condensation to a kinetically controlled mixture of (E)- and (Z)-4-ArCMe:CHC6H4CO2Et, followed by the base-catalyzed isomerization to the thermodynamically favored (E) isomers. The isomerization was catalyzed by a variety of strong bases and proceeded by a reversible deprotonation of the vinylic Me group of both isomers. The result is an efficient, stereoselective, one-pot preparation of methylstilbenes, which have potential as therapeutic agents for the treatment of proliferative skin diseases.

L6 ANSWER 93 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1984:67816 CAPLUS
DOCUMENT NUMBER: 100:67816
ORIGINAL REFERENCE NO.: 100:10321a,10324a
TITLE: Direct synthesis of Z-unsaturated esters. A useful modification of the Horner-Emmons olefination
AUTHOR(S): Still, W. Clark; Gennari, Cesare
CORPORATE SOURCE: Dep. Chem., Columbia Univ., New York, NY, 10027, USA
SOURCE: Tetrahedron Letters (**1983**), 24(41), 4405-8
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 100:67816

AB Unsatd. esters RCH:CR1CO2Me (R = heptyl, PrCH:CH, cyclohexyl, Ph, 4-MeOC6H4, MeCH:CHCH:CH, Me2CH:CHCH2CH2CMe:CH; R1 = H, Me) with Z-E ratios of 4:1 to >50:1 were prepared from RCHO and (F3CCH2O)2P(O)CHR1CO2Me in the presence of KN(SiMe3)2 or K2CO3 and 18-crown-6.

L6 ANSWER 94 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1984:7051 CAPLUS
DOCUMENT NUMBER: 100:7051
ORIGINAL REFERENCE NO.: 100:1231a,1234a
TITLE: Synthesis of sinefungin and its C-6' epimer
AUTHOR(S): Geze, M.; Blanchard, P.; Fourrey, J. L.; Robert-Gero, M.
CORPORATE SOURCE: Inst. Chim. Subst. Nat., CNRS, Gif-sur-Yvette, 91190, Fr.
SOURCE: Journal of the American Chemical Society (**1983**), 105(26), 7638-40
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
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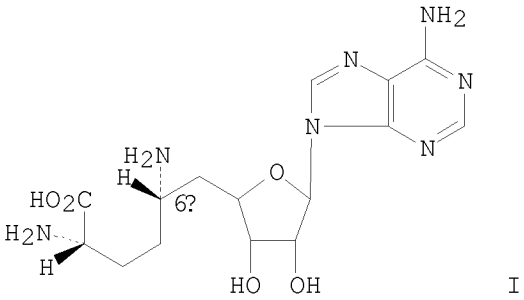

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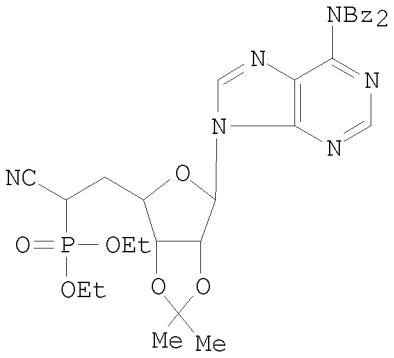

II

AB Sinefungin (I) and its C-6' epimer were prepared in several steps starting with the **Horner-Emmons** condensation of **phosphonate** II with L-Me3CO2CNHCH(CO2Me)CH2CHO.

L6 ANSWER 95 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1983:488414 CAPLUS
DOCUMENT NUMBER: 99:88414
ORIGINAL REFERENCE NO.: 99:13645a,13648a
TITLE: Aromatic retinoic acid analogs. 2. Synthesis and pharmacological activity
AUTHOR(S): Dawson, Marcia I.; Chan, Rebecca; Hobbs, Peter D.; Chao, Wanru; Schiff, Leonard J.
CORPORATE SOURCE: Bio-Org. Chem. Lab., SRI Int., Menlo Park, CA, 94025,

USA
SOURCE: Journal of Medicinal Chemistry (**1983**), 26(9), 1282-93
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
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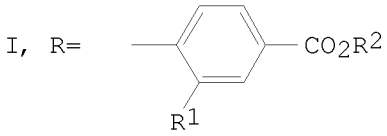

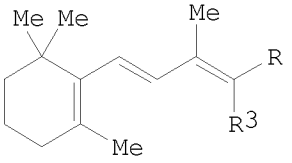

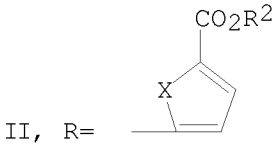

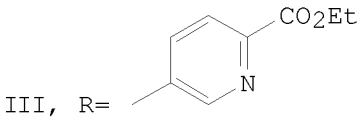

AB I (R1 = R3 = H; R2 = H, Et) were prepared as potential agents for the treatment of epithelial cancer, psoriasis, and cystic acne. I (R1 = F; R2 = H, Et; R3 = H), I (R1 = H; R2 = H, Et; R3 = F), II (X = O, S), and III were also prepared except for II (X = O), this compds. with reversed keratinization in hamster tracheal organ culture and inhibited the induction of ornithine decarboxylase in mouse epidermis by a tumor promoter.

L6 ANSWER 96 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1983:405266 CAPLUS
DOCUMENT NUMBER: 99:5266
ORIGINAL REFERENCE NO.: 99:957a,960a
TITLE: Fluoride ion induced Horner-Emmons reaction of α-silylalkylphosphonates with carbonyl compounds
AUTHOR(S): Kawashima, Takayuki; Ishii, Takafumi; Inamoto, Naoki
CORPORATE SOURCE: Dep. Chem., Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Tetrahedron Letters (**1983**), 24(7), 739-42
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:5266
AB Treatment of α-(trimethylsilyl)alkylphosphonates with CO compds. in the presence of F- gave the corresponding alkenes. Me3SiCHPhP(O)(OMe)2 was refluxed 5-6 days with PhCHO in THF containing CsF to give 85% (E)-PhCH:CHPh, exclusively.

L6 ANSWER 97 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1982:598060 CAPLUS
DOCUMENT NUMBER: 97:198060
ORIGINAL REFERENCE NO.: 97:33169a,33172a
TITLE: Synthesis of (±)-(E)-2-(1-thia-4-ethoxycarbonylbutyl)-4-(3-hydroxy-1-octenyl)-1-

pyrroline and its analogs
AUTHOR(S): Bartmann, W.; Beck, G.; Knolle, J.; Rupp, R. H.
CORPORATE SOURCE: Hoechst A.-G., Frankfurt/Main, Fed. Rep. Ger.
SOURCE: Tetrahedron Letters (**1982**), 23(29), 2947-50
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: German
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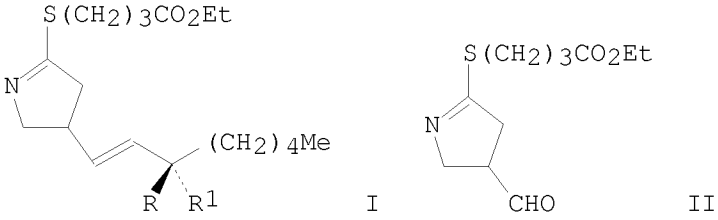
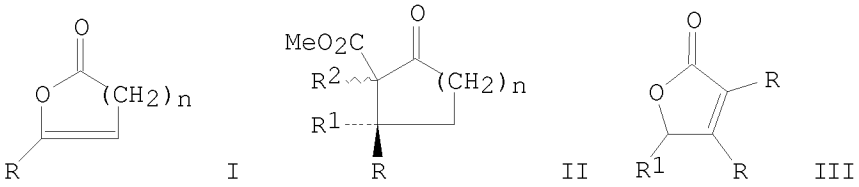


AB Pyrrolines I (R ≠ R1 = H, OH) and 6 analogs were prepared in 6 steps from 4-(methoxycarbonyl)pyrrolidin-2-one. The key steps were **Horner**-**Emmons**-Wittig reaction of pyrrolinecarboxaldehyde II with the appropriate **phosphonate** and subsequent reduction

L6 ANSWER 98 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1982:438602 CAPLUS
DOCUMENT NUMBER: 97:38602
ORIGINAL REFERENCE NO.: 97:6595a,6598a
TITLE: Vinyl selenides: synthesis under phase-transfer conditions
AUTHOR(S): Comasseto, Joao V.; Brandt, Carlos A.
CORPORATE SOURCE: Dep. Quim., Univ. Fed. Sao Carlos, Sao Carlos, 13 560, Brazil
SOURCE: Journal of Chemical Research, Synopses (**1982**), (2), 56-7
CODEN: JRPSDC; ISSN: 0308-2342
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 97:38602
AB Vinyl selenides were prepared under phase-transfer conditions by treating benzaldehydes with Ph3P+CH2SePh Br- (I) or (EtO)2P(O)CH2SePh (II), and by reaction of aliphatic aldehydes with I. E.g., PhCHO was treated with I in CH2Cl2/aqueous NaOH for 1 h at room temperature to give 75% of a 71:29 mixture of Z- and E-PhCH:CHSePh (III). Similar reaction of PhCHO with II for 2.33 h in the presence of Et3N+CH2Ph Cl- gave 63% of a 10:90 mixt of Z- and E-III.

L6 ANSWER 99 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1982:423551 CAPLUS
DOCUMENT NUMBER: 97:23551
ORIGINAL REFERENCE NO.: 97:4117a,4120a
TITLE: Synthesis of cyclic enones and dienic acids by the Wittig-Horner-Emmons reaction
AUTHOR(S): Canevet, J. C.; Sharrard, F.
CORPORATE SOURCE: Unites Enseign. Rech., Nantes, 44072, Fr.
SOURCE: Tetrahedron Letters (**1982**), 23(2), 181-4
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: French
GI



AB Reaction of oxacycloalkenones I (n = 1, R = Me, p-MeOC6H4; n = 2, R = Ph) with (EtO)2POCH2CO2Me in Et2O containing MaOMe at room temperature gave the cycloalkenones II (same R, n; R1R2 = bond). Analogous reaction of I (n = 1, R = Ph) required refluxing for 2 h and gave a mixture of diastereoisomers II (R = Ph, R1 = OMe, R2 = α-H, β-H, n = 1), formed via 1,4-addition of MeOH to the C:C bond. Reaction of the hydroxyfuranones III (R = Br, Me; R1 = OH) with (EtO)2POCH2R2 (IV; R2 = CO2Me, CONH2, cyano) in Et2O containing MeONa gave Z,E-R2CH:CHCR:CRCO2H (R = Br, same R2; R = Me, R2 = CO2Me). Analogous reaction of III (R = Br, R1 = OH) with IV (R2 = COCMe3, COPh) gave the furanones III (R = Br; R1 = CH2COCMe3, CH2COPh) via in situ cyclization of the corresponding dienoic acid.

L6 ANSWER 100 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1982:180895 CAPLUS
DOCUMENT NUMBER: 96:180895
ORIGINAL REFERENCE NO.: 96:29799a,29802a
TITLE: Diazoethenes: their attempted synthesis from aldehydes and aromatic ketones by way of the Horner-Emmons modification of the Wittig reaction. A facile synthesis of alkynes
AUTHOR(S): Gilbert, J. C.; Weerasooriya, U.
CORPORATE SOURCE: Dep. Chem., Univ. Texas, Austin, TX, 78712, USA
SOURCE: Journal of Organic Chemistry (**1982**), 47(10), 1837-45
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 96:180895
AB The base-promoted reaction of di-Me (diazomethyl)phosphonate with aldehydes e.g., substituted benzaldehydes, 2-furaldehyde, and (E)-PhCH:CMeCHO, and aryl ketones, e.g. PhCOMe and Ph2CO at low temps. was investigated. Alkynes, e.g. 4-MeOC6H4C.tplbond.CH, 2-ethynylfuran, and (E)-PhCH:CMeC.tplbond.CH, and MeC.tplbond.CPh and PhC.tplbond.CH, in modest to excellent yields, are the predominant products of these reactions, a result consistent with the intervention of diazoethenes. The latter appear to be unstable toward unimol. decomposition at -78° and yield N2 and alkylidenecarbenes.

L6 ANSWER 101 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1982:103939 CAPLUS
DOCUMENT NUMBER: 96:103939
ORIGINAL REFERENCE NO.: 96:17061a,17064a
TITLE: Stereoselective synthesis of the macrocycle segment of verrucarin J
AUTHOR(S): White, James D.; Carter, J. Paul; Kezar, Hollis S., III
CORPORATE SOURCE: Dep. Chem., Oregon State Univ., Corvallis, OR, 97331, USA
SOURCE: Journal of Organic Chemistry (**1982**), 47(6), 929-32

CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The ester acid, MeO2CCH:CMeCH2CH2O2C(CH:CH)2CO2H (2Z,7E,9Z-I), corresponding to the chain of verrucarin J, has been synthesized from HOCH2CH2COMe, whose tetrahydropyranyl ether was converted via a Wittig reaction to MeO2CCH:CMeCH2CH2OH. A Horner-Emmons condensation of MeO2CCH:CMeCH2CH2O2CCH2P(O)(OMe)2 derived from MeO2CCH:CMeCH2CH2Br and malonaldehydic acid gave 80% 2E,7E,9Z-I. A similar sequence from HOCH2CH2COMe via anhydromevalonolactone, gave the (Z)-**phosphonate**, which underwent a **Horner-Emmons** reaction to yield 2Z,7E,9Z-I. Comparison of 1H NMR spectra of I with data reported for verrucarin J confirms the revised 2E geometry assigned to the natural product.

L6 ANSWER 102 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1981:514924 CAPLUS
Correction of: 1980:407811
DOCUMENT NUMBER: 95:114924
Correction of: 93:7811
ORIGINAL REFERENCE NO.: 95:19269a,19272a
TITLE: Multiple Horner-Emmons cyclizations as a route to nonbenzenoid aromatics. Synthesis of polycyclic dodecalenes
AUTHOR(S): Agranat, Israel; Rabinovitz, Mordecai; Shaw, Wu-Chang
CORPORATE SOURCE: Dep. Org. Chem., Hebrew Univ., Jerusalem, Israel
SOURCE: Journal of Organic Chemistry (**1979**), 44(12), 1936-41
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 95:114924
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Quadrupole **Horner-Emmons** cyclization reaction between the tetraaldehyde I and the bis-**phosphonate** II gave 9(E),14(E),24(E),29(E)-hexabenzo[d,f,jk,o,q,uv]dodecalene (III) and its 9(E),14(Z),24(Z),29(E)-isomer in 4.2% and 0.4% yield, resp. The analogous reaction between IV and V gave 0.3% III. The double Horner-Emmons reaction between V and II gave 8% 9(E),19(E)-tetrabenzo[a,c,g,i]dodecene. The advantages of the multiple Horner-Emmons reaction in the synthesis of polycyclic nonbenzenoid aroms. as compared with the conventional multiple Wittig reaction were discussed.

L6 ANSWER 103 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1980:407811 CAPLUS
DOCUMENT NUMBER: 93:7811
ORIGINAL REFERENCE NO.: 93:1426h,1427a
TITLE: Multiple Horner-Emmons cyclizations as a route to nonbenzenoid aromatics. Synthesis of polycyclic dodecalenes
AUTHOR(S): Agranat, Israel; Rabinovitz, Mordecai; Shaw, Wu-Chang
CORPORATE SOURCE: Dep. Org. Chem., Hebrew Univ. Jerusalem, Jerusalem, Israel
SOURCE: Journal of Organic Chemistry (**1979**), 44(12), 1936-41
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Quadrupole **Horner-Emmons** cyclization reaction between the tetraaldehyde I and the bis-**phosphonate** II gave 9(E),14(E),24(E),29(E)-hexabenzo[d,f,jk,o,q,uv]dodecalene (III) and its 9(E),14(Z),24(Z),29(E)-isomer in 4.2% and 0.4% yield, resp. The analogous reaction between IV and V gave 0.3% III. The double Horner-Emmons reaction between V and II gave 8% 9(E),19(E)-tetrabenzo[a,c,g,i]dodecene. The advantages of the multiple Horner-Emmons reaction in the synthesis of polycyclic nonbenzenoid aroms. as compared with the conventional multiple Wittig reaction were discussed.

L6 ANSWER 104 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1977:533716 CAPLUS
DOCUMENT NUMBER: 87:133716
ORIGINAL REFERENCE NO.: 87:21257a,21260a
TITLE: Phase transfer catalysis and extraction by ion pairs. Stereoselectivity of the Horner-Emmons reaction
AUTHOR(S): D'Incan, Esther
CORPORATE SOURCE: CNRS, Thiais, Fr.
SOURCE: Tetrahedron (**1977**), 33(9), 951-4
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: French
AB The stereoselectivity of the Horner-Emmons reaction of PhCHO with (EtO)2P(O)CHMeCN was studied under conditions of phase transfer catalysis and ion pair extraction; a variety of solvents and transfer agents were used. The proportions of Z- and E-PhCH:CMeCN obtained were different to those obtained in (Me2N)3PO.

L6 ANSWER 105 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1972:513360 CAPLUS
DOCUMENT NUMBER: 77:113360
ORIGINAL REFERENCE NO.: 77:18677a,18680a
TITLE: Mechanism of the Horner-Emmons reaction. I. Reaction of benzaldehyde and phosphononitriles in tetrahydrofuran
AUTHOR(S): Deschamps, B.; Lefebvre, G.; Seyden-Penne, J.
CORPORATE SOURCE: Groupe Rech., CNRS, Thiais, Fr.
SOURCE: Tetrahedron (**1972**), 28(15), 4209-22
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 77:113360
GI For diagram(s), see printed CA Issue.
AB Diastereoisomeric diethyl phosphonates (I and II, R = H or Me) are obtained by reacting BzH and the corresponding magnesium derivative of phosphononitrile, (EtO)2P(O)CHRCN (R = H or Me). By heating I or II gives with high stereoselectivity diastereoisomeric cinnamonitriles. In basic medium, I and II partly revert into BzH and phosphononitrile and partly give cinnamonitriles. When R = Me, the cinnamonitriles are formed by syn elimination but when R = H, the intermediates are epimerized so that cinnamonitriles formation is not stereospecific.

L6 ANSWER 106 OF 106 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1971:3177 CAPLUS
DOCUMENT NUMBER: 74:3177
ORIGINAL REFERENCE NO.: 74:513a,516a
TITLE: Mechanism of the Horner-Emmons modification of the Wittig reaction
AUTHOR(S): Lefebvre, Gerard; Seyden-Penne, Jacqueline
CORPORATE SOURCE: Groupe Rech., C.N.R.S., Thiais, Fr.
SOURCE: Journal of the Chemical Society [Section] D: Chemical Communications (**1970**), (20), 1308-9
CODEN: CCJDAO; ISSN: 0577-6171
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The behavior of erythro- (I) and threo-PhCH(OH)(CN)P(O)(OEt)2 (II) was studied under Horner-Emmons reaction conditions. In basic medium, I and II were in a solvent dependent equilibrium with BzH and the (EtO)2P-(O)C-HCN to an extent which was solvent-dependent; I and II also interconverted directly. The ratios of cis- to trans-PhCH:-CHCN formed from I and II were very similar.

=> d history

(FILE 'HOME' ENTERED AT 20:56:30 ON 15 APR 2009)

FILE 'CAPLUS' ENTERED AT 20:56:43 ON 15 APR 2009
L1 1175 S HORNER(W)EMMONS
L2 32073 S PHOSPHONATE#
L3 265 S L1 AND L2
L4 171 S L3 AND PY<2001
L5 171 S L1(S)L2
L6 106 S L5 AND PY<2001
L7 48 S L5 AND ALPHA
L8 0 S L5(S)(ALPHA OR A)(W)CARBON#

=> s l5(s)olefin?
186865 OLEFIN?
L9 27 L5(S)OLEFIN?

=> s l9 and py<2001
21028571 PY<2001
L10 12 L9 AND PY<2001

=> d ibib abs tot

L10 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:114011 CAPLUS
DOCUMENT NUMBER: 134:296080
TITLE: Synthesis of non-natural O-glycosylamino acids derived from n-pentenyl glycosides; model studies and proof of principle for glycopeptide synthesis
AUTHOR(S): Allen, Jennifer R.; Danishefsky, Samuel J.
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, Columbia University, New York, NY, USA
SOURCE: Journal fuer Praktische Chemie (Weinheim, Germany) (**2000**), 342(8), 736-744
CODEN: JPCHF4; ISSN: 1436-9966
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:296080
AB Model studies on the transformation of the olefinic unit contained in

n-pentenyl glycosides (NPGs) to glycoamino acids is described. The methodol. involves a **Horner-Emmons olefination** with a protected glycine derived **phosphonate**, followed by asym. hydrogenation using Du-PHOS catalyst system. A variety of protecting group schemes have been investigated and their stereoselectivity in the hydrogenation reaction determined With N-Boc and C-TSE ester protection, the diastereoselectivity in the reaction was measured by 1H NMR anal. with "racemic" product as a comparison. These modified glycoamino acids are also useful for peptide synthesis. The methodol. appears to be general and was extended to include the synthesis a glycoamino acid containing the complex hexasaccharide Globo-H.

REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:116206 CAPLUS
DOCUMENT NUMBER: 132:293544
TITLE: One-pot synthesis of α-methylvinyl sulfones from ethyl phenyl sulfones
AUTHOR(S): Lee, Jae Wook; Lee, Chi-Wan; Jung, Jin Hang; Oh, Dong Young
CORPORATE SOURCE: Department of Chemistry, Korea Advanced Institute of Science and Technology, Taejon, 305-701, S. Korea
SOURCE: Synthetic Communications (**2000**), 30(2), 279-283
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:293544
AB Various α-methylvinyl sulfones were synthesized by **Horner-Emmons olefination** of aldehydes and sulfonyl **phosphonate** generated from PhSO2CLi2Me.
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:799910 CAPLUS
DOCUMENT NUMBER: 132:151875
TITLE: The First α-Fluoroallenylphosphonate, the Synthesis of Conjugated Fluoroenynes, and the Stereoselective Synthesis of Vinylfluorophosphonates Using a New Multifunctional Fluorine-Containing Building Block
AUTHOR(S): Zapata, Antonio J.; Gu, Yonghong; Hammond, Gerald B.
CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Massachusetts-Dartmouth, North Dartmouth, MA, 02747-2300, USA
SOURCE: Journal of Organic Chemistry (**2000**), 65(1), 227-234
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:151875
AB Limitations on current methodologies for the introduction of CF2 and CFH in complex α-fluorophosphonates gave a F-containing building block TIPS-C.tplbond.CCFXP(O)(OEt)2, where X = H or F. This multifunctional F synthon reacts with carbonyl compds. under Wadsworth-Horner-Emmons (WHE) conditions to give high yields of fluorinated conjugated enynes and enediyne. When X = F, trapping of the desilylated anion with an electrophile after TIPS removal provided exclusive access to

γ-substituted derivs. of α-fluorophosphonates. When X = H, TBAF deprotection of the silyl group yields H2C:C:CFP(O)(OEt)2 through an allenyl-propargyl resonance stabilized anion. The allene moiety was used as template in the stereoselective synthesis of α-fluoro-β,γ-diiodopropenyl phosphonate, via electrophilic iodination, and α-fluoro-γ-amino-α,β-unsatd. phosphonates, including unsatd. phosphononucleosides, by nucleophilic displacement of an allylic iodide. Hydroamination of H2C:C:CFP(O)(OEt)2 using secondary amines produced (Z)-α-fluoroenaminophosphonates, whereas Diels-Alder cycloaddn. with cyclopentadiene provides the corresponding exocyclic vinylfluorophosphonate. The crystal and mol. structures of (E)-NuCH2CI:CFP(O)(OEt)2 (NuH = purine, adenine) and (E)-R2NCMe:CFP(O)(OEt)2 (R2NH = PhCH2NH2) were determined by x-ray crystallog. (details are given in supplementary material). Results of anti-HIV testing of (E)-NuCH2CI:CFP(O)(OEt)2 (NuH = purine, adenine) are reported.

REFERENCE COUNT: 100 THERE ARE 100 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

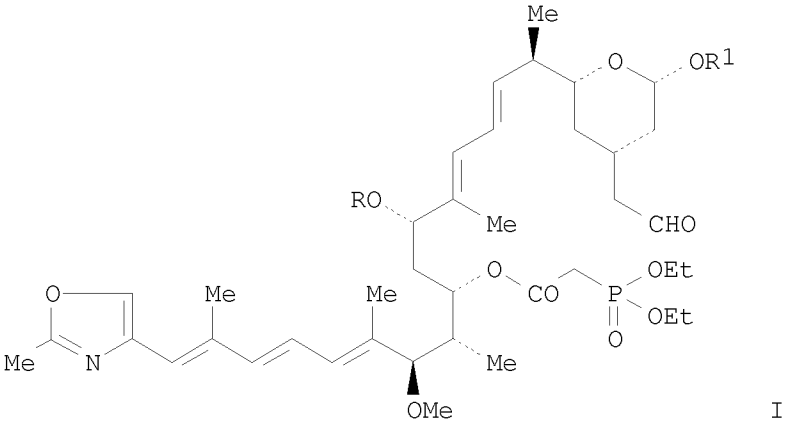
ACCESSION NUMBER: 1999:352197 CAPLUS
DOCUMENT NUMBER: 131:157665

TITLE: The enantioselective total synthesis of the antitumor macrolide natural product rhizoxin D

AUTHOR(S): Lafontaine, Jennifer A.; Provencal, David P.; Gardelli, Cristina; Leahy, James W.
CORPORATE SOURCE: Department of Chemistry, University of California, Berkeley, CA, 94720-1460, USA

SOURCE: Tetrahedron Letters (**1999**), 40(22), 4145-4148
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:157665

GI

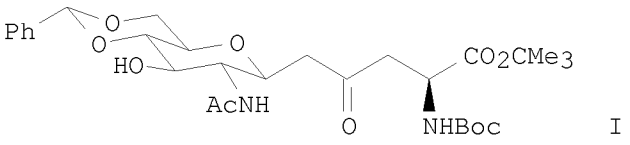


I

AB A convergent, enantioselective total synthesis of rhizoxin D (didesepoxyrhizoxin), a potent antitumor natural product, was achieved via three critical **olefinations**, including an intramol. **Horner**

-**Emmons** macrocyclization of **phosphonate** I [R = Si(CHMe2)3, R1 = SiMe2CMe3].
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:786970 CAPLUS
DOCUMENT NUMBER: 130:110588
TITLE: The C-glycosyl analog of an N-linked glycoamino acid
AUTHOR(S): Werner, R. Marshall; Williams, Leonard M.; Davis, Jeffery T.
CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Maryland, College Park, MD, 20742, USA
SOURCE: Tetrahedron Letters (**1998**), 39(50), 9135-9138
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:110588
GI



I

AB The synthesis of a new glycoamino acid derivative I, a protected, direct C-analog of N4-(2-acetamido-2-deoxy-β-D-glucopyranosyl)-L-Asn is described. The C-glycoside I is prepared by a tandem **Horner-Emmons**-Wadsworth **olefination**-Michael addition between an aspartyl β-keto **phosphonate** and a 4,6-O-benzylidene GlcNAc sugar.
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

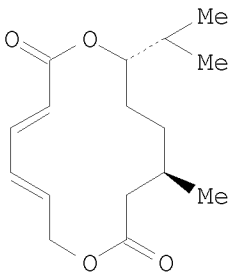
L10 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:48613 CAPLUS
DOCUMENT NUMBER: 128:140769
ORIGINAL REFERENCE NO.: 128:27699a,27702a
TITLE: Regioselectivity in the C-alkylation of triethyl 3-methyl-4-phosphonobut-2-enoate
AUTHOR(S): Kryshtal, G. V.; Zhdankina, G. M.; Serebryakov, E. P.
CORPORATE SOURCE: N. D. Zelinsky Inst. Organic Chem., Russian Academy Sciences, Moscow, 117913, Russia
SOURCE: Russian Chemical Bulletin (Translation of Izvestiya Akademii Nauk, Seriya Khimicheskaya) (**1997**), 46(10), 1745-1750
CODEN: RCBUEY; ISSN: 1066-5285
PUBLISHER: Consultants Bureau
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The reaction of tri-Et 3-methyl-4-phosphonobut-2-enoate (1) with three alkyl halides, RX (R = Pri, Me2CHCH2CH2, and c-C5H9; X = Br, I) in the system KOH(solid)-DMF-Bu4NBr at -20° gives exclusively products of alkylation at C(2) with Δ2 and/or Δ3 position of the double bond. Under the same conditions, the reaction of 1 with MeI gives a mixture of products with different substitution patterns. Only the use of an ion

pair extraction technique affords 2-methyl-Δ2-products selectively, albeit in rather moderate yields. The **Horner-Emmons olefination** of PhCHO with the resulting **phosphonates** gives Et 2-alkyl-3-methyl-5-phenylpenta-2,4-dienoates in high yields.

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:191699 CAPLUS
DOCUMENT NUMBER: 120:191699
ORIGINAL REFERENCE NO.: 120:33935a,33938a
TITLE: Stereodivergence in an intramolecular Horner-Emmons macrocyclization. Effect of reaction conditions on product distribution
AUTHOR(S): Morin-Fox, Michelle L.; Lipton, Mark A.
CORPORATE SOURCE: Dep. Chem., Purdue Univ., West Lafayette, IN, 47907-1393, USA
SOURCE: Tetrahedron Letters (**1993**), 34(49), 7899-902
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:191699
GI



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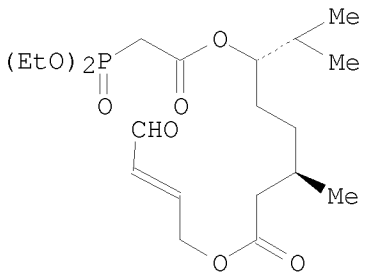

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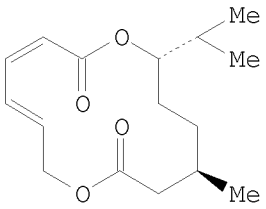

III

AB In a macrocyclization by intramol. **Horner-Emmons** reaction, it has been shown that employment of K2CO3/18-crown-6 leads to formation of an E-disubstituted **olefin** I as the major isomer from **phosphonate** aldehyde II whereas the use of LiCl/DBN affords the Z-isomer III as the major product. Changes were made to the base, solvent and reaction temperature in an attempt to identify the factors which influence the stereochem. outcome of the cyclization. The results of this study suggest that the stereo divergence arises form a change in the rate-determining step of the reaction, possibly attributable to the strength of the base employed. Such an effect has been previously invoked in the intramol. Horner-Emmons reaction to account for Z-selective conditions.

L10 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1992:633760 CAPLUS

DOCUMENT NUMBER: 117:233760
ORIGINAL REFERENCE NO.: 117:40419a,40422a
TITLE: Synthesis of the aziridino[1,2-a]pyrrolidine substructure of the antitumor agents azinomycin A and B
AUTHOR(S): Coleman, Robert S.; Carpenter, Andrew J.
CORPORATE SOURCE: Dep. Chem. Biochem., Univ. South Carolina, Columbia, SC, 29208, USA
SOURCE: Journal of Organic Chemistry (**1992**), 57(22), 5813-15
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:233760
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Synthesis of the aziridino[1,2-a]pyrrolidine substructure I, characteristic of the antitumor agents azinomycin A and B, is reported. The synthesis used as key steps a Vasella fragmentation/NaBH4 reduction of 6-iodo-6-deoxy-D-glucosamine derivative II to afford alc. III. Aziridine ring introduction using an intramol. Mitsunobu reaction and ozonolysis of the vinyl group afforded aldehyde IV. Wadsworth-**Horner**-**Emmons olefination** of IV with a glycine-derived **phosphonate** and bromination of the resulting **olefin** with N-bromosuccinimide afforded aziridinyl pentenoate V. Deprotection of V using Et3SiH and PdCl2 afforded the corresponding free aziridine, which underwent a Michael addition-elimination reaction upon warming to provide the desired I.

L10 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:408069 CAPLUS
DOCUMENT NUMBER: 115:8069
ORIGINAL REFERENCE NO.: 115:1577a,1580a
TITLE: A convenient synthesis of substituted 2-cyano-1,3-butadienes
AUTHOR(S): Janecki, Tomasz
CORPORATE SOURCE: Inst. Org. Chem., Tech. Univ., Lodz, 90-924, Pol.
SOURCE: Synthesis (**1991**), (2), 167-8
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:8069
AB The Horner-Emmons olefination of alkenylphosphonates RCH:C(CN)CH2P(O)(OEt)2 (R = alkyl, alkenyl, Ph) with carbonyl compds. R1R2CO (R1 = Me2CH, Ph, R2 = H or R1 = R2 = Me) gave cyanobutadienes RCH:C(CN)CH:CR1R2 with high stereoselectivity and in satisfactory yield.

L10 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1988:112845 CAPLUS
DOCUMENT NUMBER: 108:112845
ORIGINAL REFERENCE NO.: 108:18505a,18508a
TITLE: Ortho ester Claisen rearrangements of three 3-C-(hydroxymethyl)methylene derivatives of hexofuranose: stereoselective introduction of a quaternary center on C-3 of D-ribo-, L-lyxo-, and D-arabino-hexofuranoses
AUTHOR(S): Tadano, Kinichi; Idogaki, Yoko; Yamada, Hirohiko;

Suami, Tetsuo
CORPORATE SOURCE: Fac. Sci. Technol., Keio Univ., Hiyoshi, 223, Japan
SOURCE: Journal of Organic Chemistry (**1987**), 52(7), 1201-10
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:112845
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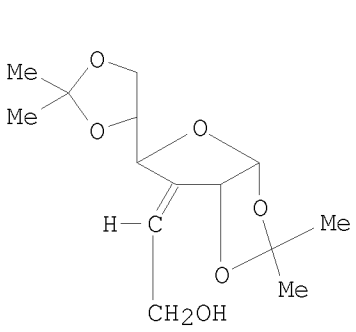

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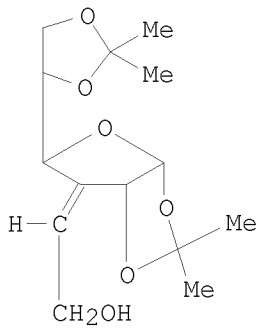

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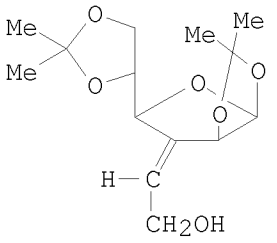

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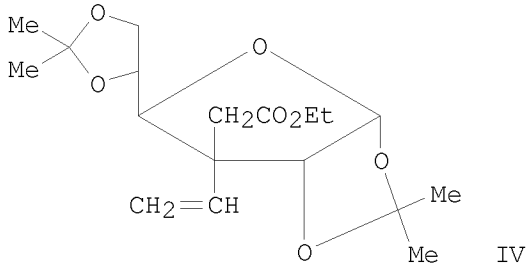


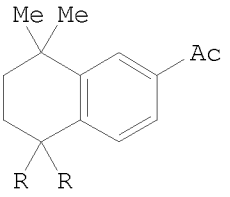
IV

AB Ortho ester Claisen rearrangements of (E)-3-deoxy-3-C-[(hydroxymethyl)methylene]hexofuranoses I, II, and III proceeded with high stereoselectivity to provide the rearranged products in acceptable yields, e.g., I gave 84% IV. The rearrangements of the corresponding (Z)-isomers were also investigated. The stereochemistries of the newly introduced quaternary center on, e.g., IV, were established unambiguously by chemical modifications of each rearranged product.

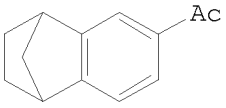
L10 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1987:439329 CAPLUS
DOCUMENT NUMBER: 107:39329
ORIGINAL REFERENCE NO.: 107:6567a,6570a
TITLE: Methyl and ethyl 2-polystyrylethyl methoxycarbonylmethylphosphonates. New polymer-supported **phosphonate** reagents: solid-phase **Horner-Emmons olefination**
AUTHOR(S): Campa, C.; Font, J.; Roca, Maria R.; Sanchez-Ferrando, F.; Virgili, A.
CORPORATE SOURCE: Fac. Cienc., Univ. Auton. Barcelona, Barcelona, Spain
SOURCE: Anales de Quimica, Serie C: Quimica Organica y Bioquimica (**1986**), 82(1), 51-6
CODEN: AQSBD6; ISSN: 0211-1357
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:39329

AB The prepn and Horner-Emmons reaction of the title covalently bound polymer-supported phosphonates with carbonyl compds. is reported. Thus, polystyrylethanol was treated with (MeO)3P and then BrCH2CO2Me to give Me 2-polystyrylethyl (methoxycarbonyl)methylphosphonate (I). Treating I with 4-O2NC6H4CHO, KH and dibenzo-18-crown-6 in MeOCH2CH2OME gave 43% 4-O2NC6H4CH:CHCO2Me. Product yields were lower with the polymer-bound phosphonates than with the corresponding soluble phosphonates. The ratio of monocondensation to dicondensation with diketones was about the same for both polymeric and soluble phosphonates.

L10 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1985:24246 CAPLUS
DOCUMENT NUMBER: 102:24246
ORIGINAL REFERENCE NO.: 102:3987a,3990a
TITLE: A method for the stereoselective synthesis of (E)-methylstilbene retinoids
AUTHOR(S): Dawson, Marcia I.; Derdzinski, Krzysztof; Hobbs, Peter D.; Chan, Rebecca L. C.; Rhee, Sung W.; Yasuda, Dennis
CORPORATE SOURCE: Life Sci. Div., SRI Int., Menlo Park, CA, 94025, USA
SOURCE: Journal of Organic Chemistry (**1984**), 49(26), 5265-7
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 102:24246
GI



I



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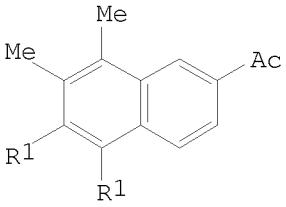

III

AB Horner-Emmons olefination of the aryl Me ketones [ArCOMe] I (R = Me, H), II, and III (R1 = H, Me) with the anion of 4-(EtO2C)C6H4CH2P(O)(OEt)2 involved condensation to a kinetically controlled mixture of (E)- and (Z)-4-ArCMe:CHC6H4CO2Et, followed by the base-catalyzed isomerization to the thermodynamically favored (E) isomers. The isomerization was catalyzed by a variety of strong bases and proceeded by a reversible deprotonation of the vinylic Me group of both isomers. The result is an efficient, stereoselective, one-pot preparation of methylstilbenes, which have potential as therapeutic agents for the treatment of proliferative skin diseases.

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NEWS 6	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 7	FEB 06	Patent sequence location (PSL) data added to USGENE
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NEWS 9	FEB 11	WTEXTILES reloaded and enhanced
NEWS 10	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
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NEWS 14	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 15	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters

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                  for nanomaterial substances
 NEWS 21  MAR 23  CA/CAplus enhanced with more than 250,000 patent
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=> s (Keding, S?)/au
L2           26 (KEDING, S?)/AU

=> s l1 or l2
L3          990 L1 OR L2

=> s l3 and cluster?
L4           23 L3 AND CLUSTER?

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L5 ANSWER 1 OF 16 MEDLINE on STN DUPLICATE 1
ACCESSION NUMBER: 2009214494 IN-PROCESS
DOCUMENT NUMBER: PubMed ID: 19253940
TITLE: Biologics through chemistry: total synthesis of a proposed dual-acting vaccine targeting ovarian cancer by orchestration of oligosaccharide and polypeptide domains.
AUTHOR: Zhu Jianglong; Wan Qian; Ragupathi Govind; George Constantine M; Livingston Philip O; **Danishefsky Samuel J**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, 1275 YorkAVenue, New York, New York 10065, USA.
CONTRACT NUMBER: CA28824 (United States NCI NIH HHS)
P01CA052477 (United States NCI NIH HHS)
SOURCE: Journal of the American Chemical Society, (2009 Mar 25) Vol. 131, No. 11, pp. 4151-8.
Journal code: 7503056. E-ISSN: 1520-5126.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, N.I.H., EXTRAMURAL)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
LANGUAGE: English
FILE SEGMENT: NONMEDLINE; IN-PROCESS; NONINDEXED; Priority Journals
ENTRY DATE: Entered STN: 19 Mar 2009
Last Updated on STN: 20 Mar 2009
AB Carbohydrate and peptide-based antitumor vaccine constructs featuring **clusters** of both tumor associated carbohydrate antigens and mucin-like peptide epitopes have been designed, synthesized, and studied. The mucin-based epitopes are included to act, potentially, as T-cell epitopes in order to provoke a strong immune response. Hopefully the vaccine will simulate cell surface architecture, thereby provoking levels of immunity against cancer cell types displaying such characteristics. With this central idea in mind, we designed a new vaccine type against ovarian cancer. Following advances in glycohistology, our design is based on **clusters** of Gb(3) antigen and also incorporates a MUC5AC peptide epitope. The vaccine is among the most complex targeted constructs to be assembled by chemical synthesis to date. The strategy for the synthesis employed a Gb(3)-MUC5AC thioester cassette as a key building block. Syntheses of both nonconjugate and KLH-conjugated vaccines constructs have been accomplished.

L5 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:568948 CAPLUS
DOCUMENT NUMBER: 147:341793
TITLE: Synthetic glycopeptide-based vaccines
AUTHOR(S): Warren, J. David; Geng, Xudong; **Danishefsky, Samuel J.**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, New York, NY, 10021, USA
SOURCE: Topics in Current Chemistry (2007), 267(Glycopeptides and Glycoproteins), 109-141
CODEN: TPCCAQ; ISSN: 0340-1022
PUBLISHER: Springer GmbH
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. This review provides an overview of the authors' explorations

into oligosaccharide and glycoconjugate construction for the creation and evaluation of glycopeptide-based vaccines. The basis for these investigations is the known tendency of both cancer cells and viruses to express selective carbohydrate motifs in the form of glycoproteins or glycolipids. Utilization of these carbohydrates in a glycopeptide-based vaccine could potentially trigger immune recognition, generating a protective response against the disease. However, obtaining large quantities of such compds. from natural sources is extremely difficult. Over the past two decades, our lab has been engaged in the total synthesis of complex oligosaccharides and glycoconjugates. With this knowledge and experience, the authors have begun to evaluate, in many cases at the clin. level, whether the human immune system is capable of mounting a response against such fully synthetic carbohydrate antigens in a focused and useful way. Toward this goal, the authors have merged the powers of both chemical and immunol. to provide insight into this problem. The synthesis and evaluation of potential vaccines for both cancer and HIV will be described.

REFERENCE COUNT: 119 THERE ARE 119 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 16 MEDLINE on STN DUPLICATE 2

ACCESSION NUMBER: 2005274084 MEDLINE
DOCUMENT NUMBER: PubMed ID: 15726361
TITLE: Thomsen-Friedenreich (TF) antigen as a target for prostate cancer vaccine: clinical trial results with TF **cluster** (c)-KLH plus QS21 conjugate vaccine in patients with biochemically relapsed prostate cancer.
AUTHOR: Slovin Susan F; Ragupathi Govind; Musselli Cristina; Fernandez Celina; Diani Meghan; Verbel David; **Danishefsky Samuel**; Livingston Philip; Scher Howard I
CORPORATE SOURCE: Genitourinary Oncology Service, Memorial Sloan-Kettering Cancer Center, New York, NY 10021, USA.. slovins@mskcc.org
SOURCE: Cancer immunology, immunotherapy : CII, (2005 Jul) Vol. 54, No. 7, pp. 694-702. Electronic Publication: 2005-02-22. Journal code: 8605732. ISSN: 0340-7004.
PUB. COUNTRY: Germany: Germany, Federal Republic of
DOCUMENT TYPE: (CLINICAL TRIAL) Journal; Article; (JOURNAL ARTICLE) (RESEARCH SUPPORT, NON-U.S. GOV'T)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200507
ENTRY DATE: Entered STN: 27 May 2005 Last Updated on STN: 20 Jul 2005 Entered Medline: 19 Jul 2005

AB The differential overexpression of self-antigens on tumor cells is a prime feature of malignant transformation. Thomsen-Friedenreich (TF), a core disaccharide of O-glycosylated complex glycoproteins, is one of many "self" antigens expressed on malignantly transformed cells that has served as a target for immune recognition and attack. Previously, we conducted clinical trials with a series of synthetic glycolipid, peptide and carbohydrate antigens conjugated to the immunological carrier keyhole limpet hemocyanin (KLH) mixed with the immunological saponin adjuvant, QS21. These trials resulted in the generation of high-titer IgM and IgG antibody responses specific for the individual antigens, and, in several cases, the capacity of those antibodies to mediate complement lysis. Four groups of five patients who had evidence of a biochemical relapse defined as rising prostate-specific antigens (PSAs) following primary therapy for prostate cancer with either prostatectomy or radiation were treated with escalating doses of 1, 3, 10 and 30 microg of synthetic TF in a

clustered formation (c) which was conjugated to KLH and given with 100 microg of QS21. Patients received a total of five subcutaneous vaccines over 6 months and were monitored expectantly with scans every 3-4 months. Serum samples were obtained at weeks 1, 2, 3, 7, 9, 13, 19, 26, 50 and every 3 months. Antibody titers were monitored by ELISA and antibody binding to the cell surface of prostate cell lines was performed by flow cytometry. Complement-dependent cytotoxicity was performed on selected patients. Twenty evaluable patients were accrued to the study, of whom only one did not receive all six vaccinations. All patients developed maximum IgM and IgG antibody titers by week 9. The median IgM antibody titer by week 7 was 1/1,280 at 10 microg, 1/320 at 30 microg, 1/1,280 at 3 microg and 1/1,280 at 1 microg dose groups. The IgM titers from all groups remained greater than 1/320 by week 32 and beyond through week 50. We report here the results of a dose-escalating trial of a TF(c)-KLH conjugate vaccine in patients in the clinical state of a rising PSA in the absence of radiographic disease. For the first time, a synthetically made TF trimer or **cluster** (c) was made with three TF disaccharides attached to three sequential threonines on a peptide backbone. TF(c) doses of 1, 3, 10 and 30 microg were conjugated to KLH and administered with QS21. All doses induced high-titer IgM and IgG antibodies against TF. Unlike our findings in previous dose-escalating phase I trials, there did not appear to be increased antibody production with increasing doses of vaccine; higher titers of IgM and IgG antibodies developed at the lowest dose level (1 microg). An anti-tumor effect in the form of a change in post-treatment versus pretreatment logPSA slopes was also observed. The results justify the inclusion of TF(c) at a dose of 1 microg as a relevant antigenic target in a multivalent phase II vaccine trial in patients in the high-risk minimal disease state.

L5 ANSWER 4 OF 16 MEDLINE on STN DUPLICATE 3
ACCESSION NUMBER: 2005117868 MEDLINE
DOCUMENT NUMBER: PubMed ID: 15625606
TITLE: Comparison of antigen constructs and carrier molecules for augmenting the immunogenicity of the monosaccharide epithelial cancer antigen Tn.
AUTHOR: Kagan Ella; Ragupathi Govind; Yi San San; Reis Celso A; Gildersleeve Jeff; Kahne Daniel; Clausen Henrik; **Danishefsky Samuel J**; Livingston Philip O
CORPORATE SOURCE: Memorial Sloan-Kettering Cancer Center, New York, NY 10021, USA.
CONTRACT NUMBER: CA33049 (United States NCI NIH HHS)
CA52477 (United States NCI NIH HHS)
SOURCE: Cancer immunology, immunotherapy : CII, (2005 May) Vol. 54, No. 5, pp. 424-30. Electronic Publication: 2004-12-30.
Journal code: 8605732. ISSN: 0340-7004.
PUB. COUNTRY: Germany: Germany, Federal Republic of
DOCUMENT TYPE: (COMPARATIVE STUDY)
Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200505
ENTRY DATE: Entered STN: 8 Mar 2005
Last Updated on STN: 12 May 2005
Entered Medline: 11 May 2005
AB We have demonstrated previously that the optimal method for inducing an antibody response against defined cancer antigens is covalent conjugation of the antigen to keyhole limpet hemocyanin (KLH) and use of the potent saponin adjuvant QS-21. Single molecules of glycolipids (tetrasaccharides, pentasaccharides, or hexasaccharides) and MUC1 peptides (containing between one and five MUC1 tandem repeats) conjugated to KLH

have proven sufficient for antibody recognition and vaccine construction. However, cancer specificity of monoclonal antibodies against the monosaccharide Tn and disaccharide sTn comes largely from recognition of **clusters** (c) of these molecules on the cell surface. Tn consists of a monosaccharide (GalNAc) O-linked to serine or threonine on epithelial cancer mucins which are uniquely rich in serines and threonines. We test here several Tn constructs: Tn monosaccharide, Tn(c) prepared on a triple threonine backbone, and Tn prepared on a partially or fully glycosylated MUC1 backbone. We determine that Tn(c) is more effective than Tn, and conjugation to KLH is more effective than conjugation to BSA or polystyrene beads for inducing ELISA reactivity against Tn, and FACS reactivity against Tn-positive tumor cells. Surprisingly, MUC1 glycosylated with Tn at three or five sites per 20 amino acid MUC1 tandem repeat and conjugated to KLH, induced the strongest antibody response against Tn and tumor cells expressing Tn, and had the additional advantage of inducing antibodies against MUC1.

L5 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:877935 CAPLUS
DOCUMENT NUMBER: 141:366422
TITLE: Preparation of **clustered** multi-antigenic peptide-containing oligosaccharides as breast and colon antitumor vaccines
INVENTOR(S): **Danishefsky, Samuel J.; Keding, Stacy J.**
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 136 pp., Cont.-in-part of U.S. Ser. No. 209,618.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040208884	A1	20041021	US 2003-728041	20031203
US 20030153492	A1	20030814	US 2002-209618	20020731
WO 2004011476	A1	20040205	WO 2003-US22657	20030718
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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WO 2005056572	A2	20050623	WO 2004-US40253	20041201
WO 2005056572	A3	20051215		
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PRIORITY APPLN. INFO.: US 1999-150088P P 19990820
US 2000-641742 A2 20000818
US 2002-209618 A2 20020731
WO 2003-US22657 A 20030718
US 2003-728041 A 20031203

OTHER SOURCE(S): MARPAT 141:366422

AB The present invention provides novel **clustered** multi-antigenic peptide-containing oligosaccharides and methods for the synthesis thereof. In still another aspect, the present invention provides methods for the treatment of cancer, preferably for the prevention of recurrence of cancer, and methods for inducing antibodies in a subject, comprising administering to a subject in need, an effective amount of any of the inventive constructs as disclosed herein, either in conjugated form or unconjugated and in combination with a suitable immunogenic carrier.

L5 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:633267 CAPLUS
DOCUMENT NUMBER: 139:164973
TITLE: Preparation of glycoamino acids and glycoconjugates for the treatment of cancer and for inducing antibodies
INVENTOR(S): **Danishefsky, Samuel J.**; Coltart, Don M.; **Keding, Stacy J.**; Biswas, Kaustav; Livingston, Philip O.; Ragupathi, Govindaswami; Allen, Jennifer R.; Williams, Lawrence
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 123 pp., Cont.-in-part of U. S. Ser. No. 641,742, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030153492	A1	20030814	US 2002-209618	20020731
WO 2004011476	A1	20040205	WO 2003-US22657	20030718
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003254038	A1	20040216	AU 2003-254038	20030718
EP 1527081	A1	20050504	EP 2003-771674	20030718
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JP 2006507233	T	20060302	JP 2004-524659	20030718
US 20040208884	A1	20041021	US 2003-728041	20031203

PRIORITY APPLN. INFO.: US 1999-150088P P 19990820
US 2000-641742 B2 20000818
US 2002-209618 A 20020731
WO 2003-US22657 W 20030718

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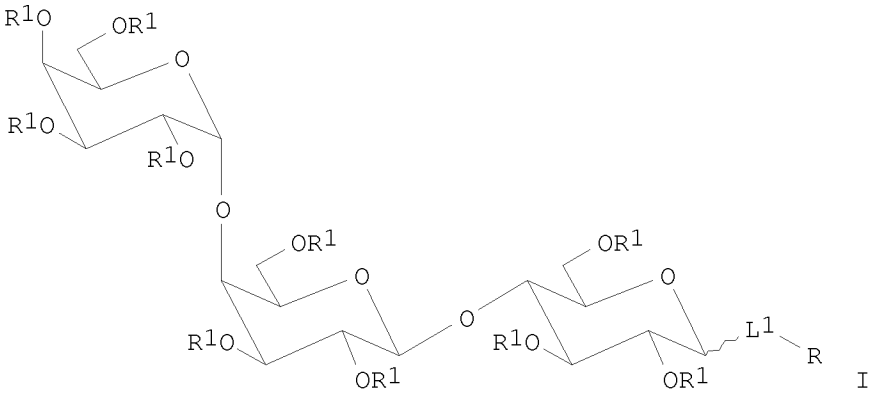

I

AB The invention provides novel glycosides, glycoconjugates, glycoamino acids, and **clustered** glycopeptides and methods for their synthesis. Compds. I [L1 is an (un)substituted cyclic or acyclic (hetero)aliphatic moiety; each R1 is independently H or a protecting group; R is H, (un)substituted alkyl, alkenyl, aryl, CH2CH(CO2R')NHR'', where R' or R'' are each independently H, a protecting group, (un)substituted alkyl, aryl, peptide, protein or lipid, or an immunogenic carrier linked to L1 directly or through a crosslinker] are claimed. Compds. of the invention are used for the treatment of cancer, preferably for the prevention of recurrence of cancer, and for inducing antibodies in a subject. The general synthetic methodol. involves the incorporation of an n-alkenyl glycoside protecting group at the reducing end of a carbohydrate acceptor to allow for increased coupling efficiencies and accessibility to complex carbohydrates.

L5 ANSWER 7 OF 16 MEDLINE on STN DUPLICATE 4
ACCESSION NUMBER: 2003573721 MEDLINE
DOCUMENT NUMBER: PubMed ID: 14645418
TITLE: Fully synthetic carbohydrate-based vaccines in biochemically relapsed prostate cancer: clinical trial results with alpha-N-acetylgalactosamine-O-serine/threonine conjugate vaccine.
AUTHOR: Slovin Susan F; Ragupathi Govindaswami; Musselli Cristina; Olkiewicz Krystyna; Verbel David; Kuduk Scott D; Schwarz Jacob B; Sames Dalibor; **Danishefsky Samuel**; Livingston Philip O; Scher Howard I
CORPORATE SOURCE: Genitourinary Solid Tumor Service, 1275 York Ave, New York, NY 10021, USA.. slovins@mskcc.org
SOURCE: Journal of clinical oncology : official journal of the American Society of Clinical Oncology, (2003 Dec 1) Vol. 21, No. 23, pp. 4292-8.
Journal code: 8309333. ISSN: 0732-183X.
PUB. COUNTRY: United States
DOCUMENT TYPE: (COMPARATIVE STUDY)
Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200401
ENTRY DATE: Entered STN: 16 Dec 2003
Last Updated on STN: 6 Jan 2004
Entered Medline: 5 Jan 2004
AB PURPOSE: We report the synthesis of a mucin-related O-linked glycopeptide, alpha-N-acetylgalactosamine-O-serine/threonine (Tn), which is highly

simplistic in its structure and can induce a relevant humoral response when given in a trimer or **clustered** (c) formation. We tested for an antitumor effect, in the form of a change in the posttreatment versus pretreatment prostate-specific antigen (PSA) slopes, that might serve as a surrogate for effectiveness of vaccines in delaying the time to radiographic progression. METHODS: We compared the antibody response to immunization with two conjugates, Tn(c)-keyhole limpet hemocyanin (KLH) and Tn(c)-palmitic acid (PAM) with the saponin immunologic adjuvant QS21, in a phase I clinical trial in patients with biochemically relapsed prostate cancer. Patients received Tn(c)-KLH vaccine containing either 3, 7, or 15 microg of Tn(c) per vaccination. Ten patients received 100 microg of Tn(c)-PAM. QS21 was included in all vaccines. Five vaccinations were administered subcutaneously during 26 weeks with an additional booster vaccine at week 50. RESULTS: Tn(c), when given with the carrier molecule KLH and QS21, stimulated the production of high-titer immunoglobulin M (IgM) and IgG antibodies. Inferior antibody responses were seen with T(c)-PAM. There was no evidence of enhanced immunogenicity with increasing doses of vaccine. An antitumor effect in the form of a decline in posttreatment versus pretreatment PSA slopes was also observed. CONCLUSION: A safe synthetic conjugate vaccine in a trimer formation was developed that can break immunologic tolerance by inducing specific humoral responses. It seemed to affect the biochemical progression of the disease as determined by a change in PSA log slope.

L5 ANSWER 8 OF 16 MEDLINE on STN DUPLICATE 5
ACCESSION NUMBER: 2002445525 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12175243
TITLE: Principles of mucin architecture: structural studies on synthetic glycopeptides bearing **clustered** mono-, di-, tri-, and hexasaccharide glycodomains.
AUTHOR: Coltart Don M; Royyuru Ajay K; Williams Lawrence J; Glunz Peter W; Sames Dalibor; Kuduk Scott D; Schwarz Jacob B; Chen Xiao-Tao; **Danishefsky Samuel J**; Live David H
CORPORATE SOURCE: Department of Biochemistry, Molecular Biology and Biophysics, University of Minnesota Medical School, Minneapolis, Minnesota 55455, USA.
CONTRACT NUMBER: AI-16943 (United States NIAID NIH HHS)
CA-28824 (United States NCI NIH HHS)
F3218804 (United States PHS HHS)
F32CA79120 (United States NCI NIH HHS)
SOURCE: Journal of the American Chemical Society, (2002 Aug 21) Vol. 124, No. 33, pp. 9833-44.
Journal code: 7503056. ISSN: 0002-7863.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, NON-P.H.S.)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200210
ENTRY DATE: Entered STN: 4 Sep 2002
Last Updated on STN: 23 Oct 2002
Entered Medline: 22 Oct 2002
AB The structural characteristics of a mucin glycopeptide motif derived from the N-terminal fragment STTAV of the cell surface glycoprotein CD43 have been investigated by NMR. In this study, a series of molecules prepared by total synthesis were examined, consisting of the peptide itself, three glycopeptides having **clustered** sites of alpha-O-glycosylation on the serine and threonine side chains with the Tn, TF, and STF carbohydrate antigens, respectively, and one with the beta-O-linked TF antigen. Additionally, a glycopeptide having the sequence SSSAVAV, triglycosylated

with the Le(y) epitope, was investigated. NMR data for the tri-STF-STTAV glycopeptide were used to solve the structure of this construct through restrained molecular dynamics calculations. The calculations revealed a defined conformation for the glycopeptide core rooted in the interaction of the peptide and the first N-acetylgalactosamine residue. The similarity of the NMR data for each of the alpha-O-linked glycopeptides demonstrates that this structure persists for each construct and that the mode of attachment of the first sugar and the peptide is paramount in establishing the organization of the core. The core provides a common framework on which a variety of glycans may be displayed. Remarkably, while there is a profound organizational effect on the peptide backbone with the alpha-linked glycans, attachment via a beta-linkage has little apparent consequence.

L5 ANSWER 9 OF 16 MEDLINE on STN DUPLICATE 6
ACCESSION NUMBER: 2002007196 MEDLINE
DOCUMENT NUMBER: PubMed ID: 11248067
TITLE: Toward optimized carbohydrate-based anticancer vaccines: epitope **clustering**, carrier structure, and adjuvant all influence antibody responses to Lewis(y) conjugates in mice.
AUTHOR: Kudryashov V; Glunz P W; Williams L J; Hintermann S; **Danishefsky S J**; Lloyd K O
CORPORATE SOURCE: Tumor Antigen Laboratory, Immunology Program and Bioorganic Chemistry Laboratory, Memorial Sloan-Kettering Cancer Center, 1275 York Avenue, New York, NY 10021, USA.
CONTRACT NUMBER: CA 08748 (United States NCI NIH HHS)
CA 28824 (United States NCI NIH HHS)
CA 71506 (United States NCI NIH HHS)
SOURCE: Proceedings of the National Academy of Sciences of the United States of America, (2001 Mar 13) Vol. 98, No. 6, pp. 3264-9.
Journal code: 7505876. ISSN: 0027-8424.
Report No.: NLM-PMC30642.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200112
ENTRY DATE: Entered STN: 21 Jan 2002
Last Updated on STN: 21 Jan 2002
Entered Medline: 4 Dec 2001

AB The feasibility of using carbohydrate-based vaccines for the immunotherapy of cancer is being actively explored at the present time. Although a number of clinical trials have already been conducted with glycoconjugate vaccines, the optimal design and composition of the vaccines has yet to be determined. Among the candidate antigens being examined is Lewis(y) (Le(y)), a blood group-related antigen that is overexpressed on the majority of human carcinomas. Using Le(y) as a model for specificity, we have examined the role of epitope **clustering**, carrier structure, and adjuvant on the immunogenicity of Le(y) conjugates in mice. A glycolipopeptide containing a **cluster** of three contiguous Le(y)-serine epitopes and the Pam(3)Cys immunostimulating moiety was found to be superior to a similar construct containing only one Le(y)-serine epitope in eliciting antitumor cell antibodies. Because only IgM antibodies were produced by this vaccine, the effect on immunogenicity of coupling the glycopeptide to keyhole limpet hemocyanin was examined; although both IgM and IgG antibodies were formed, the antibodies reacted only with the immunizing structure. Reexamination of the **clustered** Le(y)-serine Pam(3)Cys conjugate with the adjuvant QS-21

resulted in the identification of both IgG and IgM antibodies reacting with tumor cells, thus demonstrating the feasibility of an entirely synthetic carbohydrate-based anticancer vaccine in an animal model.

L5 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:897513 CAPLUS
DOCUMENT NUMBER: 134:163246
TITLE: In pursuit of an anticancer vaccine: a monomolecular construct containing multiple carbohydrate antigens
AUTHOR(S): Williams, L. J.; Harris, C. R.; Glunz, P. W.; **Danishefsky, S. J.**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, New York, NY, 10021, USA
SOURCE: Tetrahedron Letters (2000), 41(49), 9505-9508
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:163246
AB The synthesis of glycopeptide a new type of anti-cancer vaccine candidate is presented. This compound contains the TF, Ley, and Tn tumor antigens **clustered** in a monomol. array. In addition to being a realistic mimic of 'micro-heterogeneous' mucins, this class of vaccine may trigger a multi-faceted immune response convergent on a particular cancer type.
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:190415 CAPLUS
DOCUMENT NUMBER: 132:347798
TITLE: From the laboratory to the clinic: a retrospective on fully synthetic carbohydrate-based anticancer vaccines
AUTHOR(S): **Danishefsky, Samuel J.**; Allen, Jennifer R.
CORPORATE SOURCE: Laboratory of Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, New York, NY, 10021, USA
SOURCE: Angewandte Chemie, International Edition (2000), 39(5), 836-863
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review with many refs. on authors' explorations into oligosaccharide and glycoconjugate construction for the creation and evaluation of vaccines based on carbohydrate-centered tumor antigens. The starting point was the known tendency of transformed cells to express selective carbohydrate motifs in the form of glycoproteins or glycolipids. Anticancer vaccines derived from carbohydrate-based antigens could be effective targets for immune recognition and attack. Obtaining significant quantities of such structures from natural sources is extremely difficult. With the total synthesis of tumor-associated carbohydrate antigens accomplished, the evaluation at the clin. level was initiated whether the human immune system can respond to such fully synthetic antigens in a focused and useful way. Toward this goal the resources of chemical and immunol. in an attack on the problem were merged. The synthesis and immunoconjugation of various tumor-associated carbohydrate antigens and the results of such constructs in mice vaccinations are described. For fashioning an effective vaccine, conjugation to a suitable immunogenic carrier was necessary and conjugates of keyhole limpet cyanin have consistently demonstrated the relevant immunogenicity. Preclin. and clin. studies with synthetic conjugate carbohydrate vaccines show induction of IgM- and

IgG-antibody responses. Another approach to anticancer vaccines involves the use of **clustered** glycopeptides as targets for immune attack. Initial attention has been directed to mucin-related O-linked glycopeptides. Synthetic trimeric **clusters** of glycoepitopes derived from the Tn-, TF- and Lewisy-antigens, appropriately bioconjugated, have been demonstrated to be immunogenic. The hope is that patients immunized in an adjuvant manner with synthetic carbohydrate vaccines would produce antibodies reactive with cancer cells and that the production of such antibodies would mitigate against tumor spread, thereby enabling a more favorable survival and "quality of life" prognosis.

REFERENCE COUNT: 183 THERE ARE 183 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:699630 CAPLUS
DOCUMENT NUMBER: 132:34405
TITLE: Probing cell surface "Glyco-Architecture" through total synthesis. Immunological consequences of a human blood group determinant in a **clustered** mucin-like context
AUTHOR(S): Glunz, Peter W.; Hintermann, Samuel; Schwarz, Jacob B.; Kuduk, Scott D.; Chen, Xiao-Tao; Williams, Lawrence J.; Sames, Dalibor; **Danishefsky, Samuel J.**; Kudryashov, Valery; Lloyd, Kenneth O.
CORPORATE SOURCE: Laboratories for Bioorganic Chemistry and Tumor Antigen Immunochemistry, Sloan-Kettering Institute for Cancer Research, New York, NY, 10021, USA
SOURCE: Journal of the American Chemical Society (1999), 121(45), 10636-10637
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Blood group antigens are not confined to erythrocytes, also serving as terminal carbohydrate moieties on glycoproteins and glycolipids in many epithelial cells and their secretions. Protein-bound blood group determinants are often encountered in a mucin-like context, O-linked via an N-acetylgalactosamine residue to hydroxyl groups of **clustered** serine or threonine residues. Remarkably, altered expressions of certain blood-group antigens on tumor cells can serve as markers in a variety of carcinomas. One such example is the enhanced presentation of the Lewisy (Ley) histo-blood determinant [Fucα1-2Galβ1-4(Fucα1-3)-GlcNAc] in mucin or glycolipid form on many human tumor cells including those found in colon, lung, breast, and ovarian cancers. The isolation of homogeneous, structurally defined mucin segments, containing such **clustered** blood group determinants, from natural sources, is immensely complicated by microheterogeneity, compounding the difficulties associated with achieving proteolysis of glycoproteins at fixed points. The availability of realistic and homogeneous structurally defined mucin fragments would be of considerable advantage in facilitating biol. and structural studies. Herein the authors report the total synthesis of an Ley-containing glycopeptide in mucin form and the immunol. profile of the fully synthetic construct.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:163624 CAPLUS
DOCUMENT NUMBER: 130:282338
TITLE: A Broadly Applicable Method for the Efficient Synthesis of α-O-Linked Glycopeptides and

Clustered Sialic Acid Residues

AUTHOR(S): Schwarz, Jacob B.; Kuduk, Scott D.; Chen, Xiao-Tao; Sames, Dalibor; Glunz, Peter W.; **Danishefsky, Samuel J.**

CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, New York, NY, 10021, USA

SOURCE: Journal of the American Chemical Society (1999), 121(12), 2662-2673
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:282338

AB The total syntheses of complex sialylated cell-surface antigens have been accomplished. The target systems include 2,3-STF, STn, 2,6-STF, and glycophorin antigens. In addition, an α-O-linked serine glycoside of an entire Lewis blood group (Y) antigen has been assembled.

REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:706103 CAPLUS
DOCUMENT NUMBER: 129:330972
ORIGINAL REFERENCE NO.: 129:67511a,67514a

TITLE: Preparation of α-O-linked glycocopeptides with **clustered** (2,6)-sialyl T epitopes as prostate antitumor vaccines

INVENTOR(S): **Danishefsky, Samuel J.**; Sames, Dalibor; Hintermann, Samuel; Chen, Xiao-tao; Schwarz, Jacob B.; Glunz, Peter; Ragupathi, Govindaswami; Livingston, Philip O.; Kuduc, Scott

PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA

SOURCE: PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9846246	A1	19981022	WO 1998-US6035		19980325
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
CA 2286798	A1	19981022	CA 1998-2286798		19980325
AU 9867792	A	19981111	AU 1998-67792		19980325
AU 750701	B2	20020725			
EP 996455	A1	20000503	EP 1998-913180		19980325
R: BE, CH, DE, FR, GB, IT, LI, NL, SE					
JP 2002515060	T	20020521	JP 1998-543934		19980325
US 6660714	B1	20031209	US 1998-83776		19980325
US 20030083235	A1	20030501	US 2002-205021		20020725
US 7160856	B2	20070109			
US 20050222398	A1	20051006	US 2004-898410		20040723
PRIORITY APPLN. INFO.:			US 1997-43713P	P	19970416

	US 1998-83776	A3 19980325
	WO 1998-US6035	W 19980325
	US 2002-205021	A1 20020725

OTHER SOURCE(S): MARPAT 129:330972
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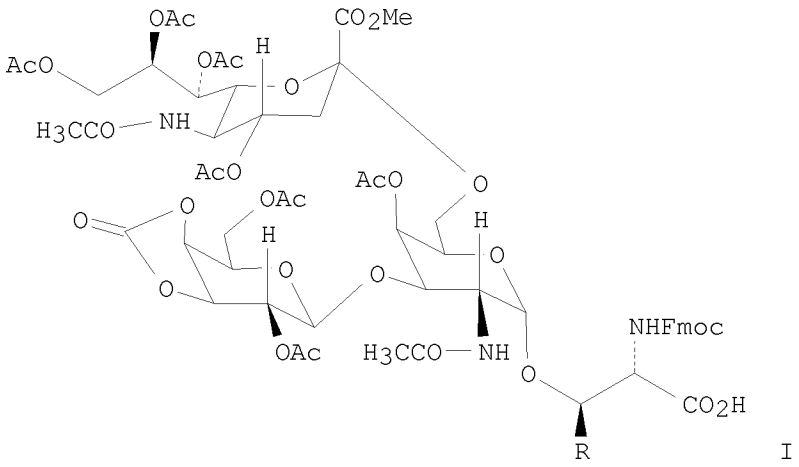

I

AB The present invention provides novel α-O-linked glycoconjugates such as α-O-linked glycopeptides, as well as convergent methods for synthesis thereof. The general preparative approach is exemplified by the synthesis of the mucin motif commonly found on epithelial tumor cell surfaces. The present invention further provides compns. and methods of treating prostate cancer using the α-O-linked glycoconjugates. Thus, glycocopeptide I was prepared and tested in mice as prostate antitumor vaccine using LSC cell line.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1998:742925 CAPLUS
DOCUMENT NUMBER:	130:80095
TITLE:	Synthetic and immunological studies on **clustered** modes of mucin-related Tn and TF O-linked antigens: The preparation of a glycopeptide-based vaccine for clinical trials against prostate cancer
AUTHOR(S):	Kuduk, Scott D.; Schwarz, Jacob B.; Chen, Xiao-Tao; Glunz, Peter W.; Sames, Dalibor; Ragupathi, Govindaswami; Livingston, Philip O.; **Danishefsky, Samuel J.**
CORPORATE SOURCE:	Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute For Cancer Research, New York, NY, 10021, USA
SOURCE:	Journal of the American Chemical Society (1998), 120(48), 12474-12485 CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER:	American Chemical Society
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB The syntheses of two tumor-associated carbohydrate antigens, Tn and TF, have been achieved using glycal assembly and cassette methodologies. These synthetic antigens were subsequently **clustered** (c) and immunoconjugated to a carrier protein (KLH or BSA) or a synthetic

lipopeptide (pam) for immunol. study. Three Tn conjugates were used to vaccinate groups of mice, and all prepns. proved to be immunogenic. The Tn(c) covalently linked to KLH (27-KLH) plus the adjuvant QS-21 was the optimal vaccine, inducing high median IgM and IgG titers against Tn(c) by ELISA. These antibodies were strongly reactive with the Tn(c) pos. human colon cancer cell line LS-C but not the Tn(c) neg. colon cancer cell line LS-B by FACS. The antibody reactivities with natural antigens were inhibited with synthetic Tn(c) but not with structurally unrelated compds. On the basis of these results, vaccines containing 27-KLH and 30-pam plus QS-21 are being tested in patients with prostate cancer.

REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 16 MEDLINE on STN DUPLICATE 7

ACCESSION NUMBER: 1997474472 MEDLINE
DOCUMENT NUMBER: PubMed ID: 9335496
TITLE: Convergent total synthesis of a tumour-associated mucin motif.
AUTHOR: Sames D; Chen X T; **Danishefsky S J**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, New York, New York 10021, USA.
SOURCE: Nature, (1997 Oct 9) Vol. 389, No. 6651, pp. 587-91. Journal code: 0410462. ISSN: 0028-0836.
PUB. COUNTRY: ENGLAND: United Kingdom
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE) (RESEARCH SUPPORT, NON-U.S. GOV'T) (RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199711
ENTRY DATE: Entered STN: 24 Dec 1997
Last Updated on STN: 24 Dec 1997
Entered Medline: 3 Nov 1997

AB Synthetic glycoconjugates that mimic cell-surface tumour antigens (glycolipids or glycoproteins with unusual carbohydrate structural motifs) have been shown to trigger humoral responses in murine and human immune systems. This raises the exciting possibility of inducing active immunity with fully synthetic carbohydrate vaccines, particularly if vaccine compounds can be synthesized that resemble the surface environment of transformed cells even more closely. Glycopeptides seem particularly suitable for this purpose. In contrast to most glycolipids and the carbohydrates themselves, glycopeptides bind to major histocompatibility complex molecules, and, in favourable cases, can stimulate T cells and lead to the expression of receptors that recognize the carbohydrate part of a glycopeptide with high specificity. The preparation of glycopeptides and glycoproteins remains, however, a difficult challenge: earlier synthesis methods have been inefficient, and established cloning approaches that allow engineering of global glycopatterns produce only heterogeneous glycoproteins. Here we report an efficient strategy of the synthesis of tumour-associated mucin glycopeptides with **clustered** trisaccharide glycodomains corresponding to the (2,6)-sialyl T antigen. Our approach involves construction of the complete glycodomain in the first stage, followed by convergent coupling to amino acid residues and subsequent incorporation of the glycosyl amino acid units into a peptide chain. This general strategy allows the assembly of molecules in which selected glycoforms can be incorporated at any desired position of the peptide chain. The resultant fully synthetic O-linked glycopeptide **clusters** are the closest homogeneous mimics of cell-surface mucins at present available, and so are promising compounds for the development of anticancer vaccines.

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                  will change in 2009 for STN-Columbus and STN-Tokyo
 NEWS  4  JAN 07  WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
                  Classification Data
 NEWS  5  FEB 02  Simultaneous left and right truncation (SLART) added
                  for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
 NEWS  6  FEB 02  GENBANK enhanced with SET PLURALS and SET SPELLING
 NEWS  7  FEB 06  Patent sequence location (PSL) data added to USGENE
 NEWS  8  FEB 10  COMPENDEX reloaded and enhanced
 NEWS  9  FEB 11  WTEXTILES reloaded and enhanced
 NEWS 10  FEB 19  New patent-examiner citations in 300,000 CA/CAplus
                  patent records provide insights into related prior
                  art
 NEWS 11  FEB 19  Increase the precision of your patent queries -- use
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                  and 2009 MeSH terms
 NEWS 14  FEB 23  TOXCENTER updates mirror those of MEDLINE - more
                  precise author group fields and 2009 MeSH terms
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                  display data from INPADOCDB
 NEWS 17  MAR 06  INPADOCDB and INPAFAMDB enhanced with new display
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 NEWS 18  MAR 11  EPFULL backfile enhanced with additional full-text
                  applications and grants
 NEWS 19  MAR 11  ESBIOBASE reloaded and enhanced
 NEWS 20  MAR 20  CAS databases on STN enhanced with new super role
                  for nanomaterial substances
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 NEWS 21  MAR 23  CA/CAplus enhanced with more than 250,000 patent
                  equivalents from China
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 NEWS 23  APR 03  CAS coverage of exemplified prophetic substances
                  enhanced
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                                                     ENTRY    SESSION
FULL ESTIMATED COST                                   0.22       0.22

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=> s (Danishefsky, S?)/au
L1        2499 (DANISHEFSKY, S?)/AU

=> s (Keding, S?)/au
L2          66 (KEDING, S?)/AU
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=> s l1 or l2
L3        2531 L1 OR L2

=> s l3 and py<2004
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L4        1975 L3 AND PY<2004

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L5         242 L4 AND PY>2001

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PROCESSING COMPLETED FOR L5
L6          108 DUP REM L5 (134 DUPLICATES REMOVED)

=> d ibib abs tot

L6   ANSWER 1 OF 108  HCAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2004:877935  HCAPLUS
DOCUMENT NUMBER:         141:366422
TITLE:                   Preparation of clustered multi-antigenic
                         peptide-containing oligosaccharides as breast and
                         colon antitumor vaccines
INVENTOR(S):             Danishefsky, Samuel J.; Keding, Stacy
                         J.
PATENT ASSIGNEE(S):      USA
SOURCE:                  U.S. Pat. Appl. Publ., 136 pp., Cont.-in-part of U.S.
                         Ser. No. 209,618.
                         CODEN: USXXCO
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  3
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
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     US 20040208884       A1    20041021    US 2003-728041          20031203 <--
     US 20030153492       A1    20030814    US 2002-209618          20020731 <--
     WO 2004011476        A1    20040205    WO 2003-US22657         20030718 <--
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
             TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
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     WO 2005056572        A2    20050623    WO 2004-US40253         20041201 <--
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             MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                   US 1999-150088P     P  19990820
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US 2000-641742	A2	20000818
US 2002-209618	A2	20020731
WO 2003-US22657	A	20030718
US 2003-728041	A	20031203

OTHER SOURCE(S): MARPAT 141:366422

AB The present invention provides novel clustered multi-antigenic peptide-containing oligosaccharides and methods for the synthesis thereof. In still another aspect, the present invention provides methods for the treatment of cancer, preferably for the prevention of recurrence of cancer, and methods for inducing antibodies in a subject, comprising administering to a subject in need, an effective amount of any of the inventive constructs as disclosed herein, either in conjugated form or unconjugated and in combination with a suitable immunogenic carrier.

L6 ANSWER 2 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:633046 HCAPLUS

DOCUMENT NUMBER: 141:156099

TITLE: Polyvalent antigen conjugates as vaccines against prostate, lung, breast and ovarian cancer

INVENTOR(S): Livingston, Philip O.; Ragupathi, Govindaswami; **Danishefsky, Samuel J.**

PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA

SOURCE: U.S. Pat. Appl. Publ., 56 pp., Cont.-in-part of WO 2003 3,985.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040151733	A1	20040805	US 2004-752945	20040106 <--
US 7479266	B2	20090120		
WO 2003003985	A2	20030116	WO 2002-US21348	20020705 <--
WO 2003003985	A3	20040527		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20090060938	A1	20090305	US 2008-262729	20081031 <--

PRIORITY APPLN. INFO.:		
US 2001-303494P	P	20010706
US 2002-347231P	P	20020110
WO 2002-US21348	A2	20020705
US 2004-752945	A1	20040106

AB This invention provides a polyvalent vaccine comprising at least two conjugated antigens selected from a group containing glycolipid antigen, polysaccharide antigen, mucin antigen, glycosylated mucin antigen and an appropriate adjuvant. This invention also provides a multivalent vaccine comprising at least two of the following: glycosylated MUC-1-32 mer, Globo H, GM2, Le y , Tn(c), sTN(c), and TF(c). This invention provides the vaccine above, wherein the adjuvant is saponin-based adjuvant. This invention provides a method for inducing immune response in a subject comprising administering an effective amount of the vaccine above to the subject. Finally, this invention provides a method for treating cancer in a subject comprising administering an appropriate amount of the vaccine

above to the subject.
REFERENCE COUNT: 73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN DUPLICATE 1
ACCESSION NUMBER: 2003:227337 BIOSIS
DOCUMENT NUMBER: PREV200300227337
TITLE: Method for the modification of alcohols on polymer supports.
AUTHOR(S): **Danishefsky, Samuel J.** [Inventor, Reprint Author]; Savin, Kenneth A. [Inventor]; Woo, Jonathan C. G. [Inventor]
CORPORATE SOURCE: Indianapolis, IN, USA
ASSIGNEE: Sloan Kettering Institute for Cancer Research
PATENT INFORMATION: US 6548661 20030415
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (**Apr 15 2003**) Vol. 1269, No. 3. http://www.uspto.gov/web/menu/patdata.html. e-file. ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 7 May 2003
Last Updated on STN: 7 May 2003
AB The present invention provides a polymer-linked composition having the structure: ##STR1## wherein RA and RB are each independently a linear or branched chain alkyl or an aryl group; wherein {character pullout} is a polymeric support; wherein L is a linker selected from the group consisting of a single bond; a saturated or unsaturated oligomethylene chain, etc., a 1,4-phenylene; or a 1,4-phenylenemethylene moiety, said moiety being optionally substituted by at least one linear or branched alkyl, alkoxy group etc.; and wherein RC is a linear or branched acyclic, cyclic or multicyclic moiety, said moiety being optionally unsaturated and/or substituted by at least one hydrogen, ORi, alkyl, etc.; wherein Ri is hydrogen, CHO, COORii, or a substituted or unsubstituted linear or branched chain alkyl, etc.; wherein if RC is cyclic, said moiety is optionally aromatic and/or heterocyclic; or if multicyclic, said moiety is optionally a fused multicyclic, fully or partially aromatic and/or heterocyclic. Methods are provided for preparing and cleaving such compositions, which are useful in the preparation of glycopeptides and other glycoconjugates.

L6 ANSWER 4 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN DUPLICATE 2
ACCESSION NUMBER: 2003:226060 BIOSIS
DOCUMENT NUMBER: PREV200300226060
TITLE: Synthesis of glycoconjugates of the globo-H epitope and uses thereof.
AUTHOR(S): **Danishefsky, Samuel J.** [Inventor, Reprint Author]; Livingston, Philip O. [Inventor]; Ragupathi, Govindaswami [Inventor]; Kim, In Jong [Inventor]; Scher, Howard [Inventor]; Slovin, Susan [Inventor]
CORPORATE SOURCE: New York, NY, USA
ASSIGNEE: Sloan-Kettering Institute for Cancer Research
PATENT INFORMATION: US 6544952 20030408
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (**Apr 8 2003**) Vol. 1269, No. 2. http://www.uspto.gov/web/menu/patdata.html. e-file. ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 7 May 2003

Last Updated on STN: 7 May 2003

AB The present invention provides a method of synthesizing a compound having the structure: ##STR1## as well as other related glycoconjugates useful as vaccines for inducing antibodies to epithelial cancer cells in an adjuvant therapy therefore, and in a method for preventing recurrence of epithelial cancer. The present invention also provides a vaccine comprising an amount of the compound described above effective to prevent the recurrence of cancer in a subject.

L6 ANSWER 5 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN

ACCESSION NUMBER: 2004:80698 BIOSIS
DOCUMENT NUMBER: PREV200400082599
TITLE: Methods and compositions for destruction of selected proteins.
AUTHOR(S): Rosen, Neal [Inventor, Reprint Author]; **Danishefsky, Samuel** [Inventor]; Ouerfelli, Ouathek [Inventor]; Kuduk, Scott D. [Inventor]; Sepp-Lorenzino, Laura [Inventor]
CORPORATE SOURCE: Englewood, NY, USA
ASSIGNEE: Sloan-Kettering Institute for Cancer Research
PATENT INFORMATION: US 6670348 20031230
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (**Dec 30 2003**) Vol. 1277, No. 5. http://www.uspto.gov/web/menu/patdata.html. e-file. ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 4 Feb 2004
Last Updated on STN: 4 Feb 2004

AB Compounds having an ansamycin anitibiotic, or other moiety which binds to hsp90, coupled to a targeting moiety which binds specifically to a protein, receptor or marker can provide effective targeted delivery of the ansamycin antibiotic leading to the degradation of proteins and death of the targeted cells. These compositions may have different specificity than the ansamycin alone, allowing for a more specific targeting of the therapy, and can be effective in instances where the ansamycin alone has no effect. Thus, these compounds provide an entirely new class of targeted chemotherapy agents with application, depending on the nature of the targeting moiety, to treatment of a variety of different forms of cancer. Such agents can further be used to promote selective degradation of proteins associated with the pathogenesis of others diseases, including antigens associated with autoimmune disorders and pathogenic proteins associated with Alzheimer's disease. Exemplary targeting moieties which may be employed in compounds of the invention include testosterone, estradiol, tamoxifen and wortmannin.

L6 ANSWER 6 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN

ACCESSION NUMBER: 2004:46048 BIOSIS
DOCUMENT NUMBER: PREV200400047242
TITLE: alpha-O-linked glycoconjugates, methods of preparation and uses thereof.
AUTHOR(S): **Danishefsky, Samuel J.** [Inventor, Reprint Author]; Sames, Dalibor [Inventor]; Hintermann, Samuel [Inventor]; Chen, Xiao-Tao [Inventor]; Schwartz, Jacob B. [Inventor]; Glunz, Peter [Inventor]; Ragupathi, Govindaswami [Inventor]; Livingston, Philip O. [Inventor]; Kuduk, Scott [Inventor]; Williams, Lawrence [Inventor]
CORPORATE SOURCE: New York, NY, USA
ASSIGNEE: Sloan Kettering Institute for Cancer Research
PATENT INFORMATION: US 6660714 20031209

SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (**Dec 9 2003**) Vol. 1277, No. 2. http://www.uspto.gov/web/menu/patdata.html. e-file. ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 14 Jan 2004
Last Updated on STN: 14 Jan 2004

AB The present invention provides novel alpha-O-linked glycoconjugates such as alpha-O-linked glycopeptides, as well convergent methods for synthesis thereof. The general preparative approach is exemplified by the synthesis of the mucin motif commonly found on epithelial tumor cell surfaces. The present invention further provides compositions and methods of treating cancer using the alpha-O-linked glycoconjugates.

L6 ANSWER 7 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2004:44928 BIOSIS
DOCUMENT NUMBER: PREV200400046393
TITLE: Synthesis of epothilones, intermediates thereto, analogues and uses thereof.
AUTHOR(S): **Danishefsky, Samuel J.** [Inventor, Reprint Author]; Bertinato, Peter [Inventor]; Su, Dai-Shi [Inventor]; Meng, Dang Fang [Inventor]; Chou, Ting-Chao [Inventor]; Kamenecka, Ted [Inventor]; Sorensen, Erik J. [Inventor]; Balog, Aaron [Inventor]; Savin, Kenneth A. [Inventor]
CORPORATE SOURCE: ASSIGNEE: Sloan-Kettering Institute for Cancer Research
PATENT INFORMATION: US 6656961 20031202
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (**Dec 2 2003**) Vol. 1277, No. 1. http://www.uspto.gov/web/menu/patdata.html. e-file. ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 14 Jan 2004
Last Updated on STN: 14 Jan 2004

AB The present invention provides convergent processes for preparing epothilone A and B, desoxyepothilones A and B, and analogues thereof. Also provided are analogues related to epothilone A and B and intermediates useful for preparing same. The present invention further provides novel compositions based on analogues of the epothilones and methods for the treatment of cancer and cancer which has developed a multidrug-resistant phenotype.

L6 ANSWER 8 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2004:7494 BIOSIS
DOCUMENT NUMBER: PREV200400008427
TITLE: Synthesis of glycoconjugates of the lewis Y epitope and uses thereof.
AUTHOR(S): **Danishefsky, Samuel J.** [Inventor, Reprint Author]; Behar, Victor [Inventor]; Lloyd, Kenneth O. [Inventor]
CORPORATE SOURCE: ASSIGNEE: Sloan-Kettering Institute for Cancer Research; The Trustees of Columbia University in the City New York, New York, NY, USA
PATENT INFORMATION: US 6645935 20031111
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (**Nov 11 2003**) Vol. 1276, No. 2. http://www.uspto.gov/web/menu/patdata.html. e-file. ISSN: 0098-1133 (ISSN print).

DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 17 Dec 2003
Last Updated on STN: 17 Dec 2003
AB The present invention provides a method of synthesizing an allyl pentasaccharide having the structure: ##STR1## as well as related oligosaccharide ceramides and other glycoconjugates useful as vaccines for inducing antibodies to epithelial cancer cells in an adjuvant therapy therefor, and in a method for preventing recurrence of epithelial cancer.

L6 ANSWER 9 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2003:400221 BIOSIS
DOCUMENT NUMBER: PREV200300400221
TITLE: Synthesis of epothilones, intermediates thereto and analogues thereof.
AUTHOR(S): **Danishefsky, Samuel J.** [Inventor, Reprint Author]; Bertinato, Peter [Inventor]; Su, Dai-Shi [Inventor]; Meng, DongFang [Inventor]; Chou, Ting-Chao [Inventor]; Kamenecka, Ted [Inventor]; Sorensen, Erik J. [Inventor]; Balog, Aaron [Inventor]; Savin, Kenneth A. [Inventor]; Kuduk, Scott [Inventor]; Harris, Christina [Inventor]; Zhang, Xiu-Guo [Inventor]; Bertino, Joseph R. [Inventor]
CORPORATE SOURCE: Ambler, PA, USA
ASSIGNEE: Sloan Kettering Institute for Cancer Research
PATENT INFORMATION: US 6603023 20030805
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (**Aug 5 2003**) Vol. 1273, No. 1. http://www.uspto.gov/web/menu/patdata.html. e-file. ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 27 Aug 2003
Last Updated on STN: 27 Aug 2003
AB The present invention provides convergent processes for preparing epothilone A and B, desoxyepothilones A and B, and analogues thereof, useful in the treatment of cancer and cancer which has developed a multidrug-resistant phenotype. Also provided are intermediates useful for preparing said epothilones.

L6 ANSWER 10 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:490994 HCAPLUS
DOCUMENT NUMBER: 139:53173
TITLE: The total synthesis of merrilactone A and its analogs
INVENTOR(S): **Danishefsky, Samuel J.**; Birman, Vladimir
PATENT ASSIGNEE(S): The Trustees of Columbia University In the City of New York, USA
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051303	A2	20030626	WO 2002-US40003	20021213 <--
WO 2003051303	A3	20040805		

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2470009	A1	20030626	CA 2002-2470009	20021213 <--
AU 2002357226	A1	20030630	AU 2002-357226	20021213 <--
US 20040006121	A1	20040108	US 2002-318777	20021213 <--
US 7094805	B2	20060822		
JP 2005513056	T	20050512	JP 2003-552236	20021213 <--

PRIORITY APPLN. INFO.: US 2001-340449P P 20011214
WO 2002-US40003 W 20021213

OTHER SOURCE(S): CASREACT 139:53173; MARPAT 139:53173

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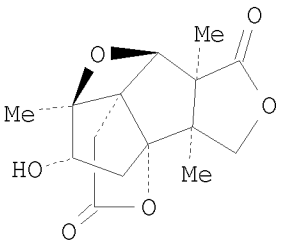

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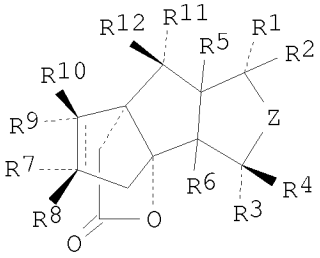


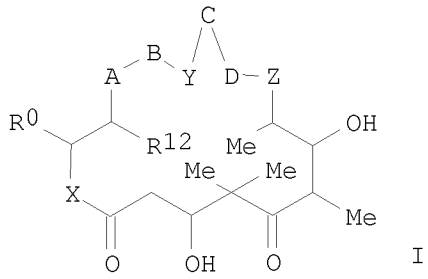
II

AB The present invention discloses the preparation of (±)-merrilactone A (I) and its analogs, such as II (Z = O, NX; X = H, alkyl, alkenyl, alkynyl, acyl, carbamoyl, cycloalkyl, aryl, heterocycloalkyl, heteroaryl, aralkyl, amino, alkylamino; R1-R4 = H; R1R2, R3R4 = O; R5, R6 = H, alkyl, aralkyl, aryl; R7, R8 = H, OR14; R7R9, R8R10, R10R12 = O; R9R10 = H, alkyl, OH, OR13; R11, R12 = H, OH, OR13; R13 = alkyl, acyl, amide; R14 = alkyl, COR15; R15 = alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocycloalkyl, heteroaryl, aralkyl, amino, alkylamino). Thus, I was prepared via a multistep synthetic sequence starting from 2,3-dimethylmaleic anhydride, 1-(tert-butyldimethylsilyloxy)-1,3-butadiene, tri-Et orthoacetate and allyltributyltin.

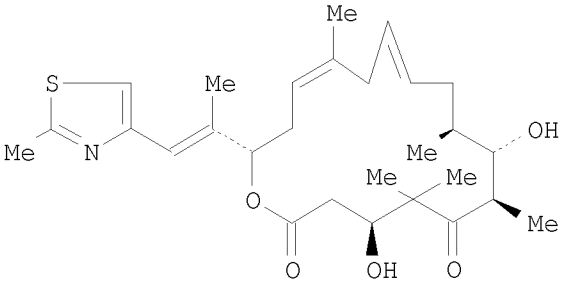
L6 ANSWER 11 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:221685 HCAPLUS
DOCUMENT NUMBER: 138:255008
TITLE: Synthesis of epothilones for therapeutic use as anticancer agents
INVENTOR(S): **Danishefsky, Samuel J.**; Biswas, Kaustav; Chapell, Mark; Lin, Hong; Njardarson, Jon T.; Lee, Chulbom; Rivkin, Alexey; Chou, Ting-Chao
PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA
SOURCE: PCT Int. Appl., 219 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022844	A2	20030320	WO 2002-US28425	20020906 <--
WO 2003022844	A3	20040304		

WO 2003022844 A9 20040415
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2002329988 A1 20030324 AU 2002-329988 20020906 <--
US 20030176368 A1 20030918 US 2002-236135 20020906 <--
PRIORITY APPLN. INFO.: US 2001-317637P P 20010906
US 2001-351576P P 20011026
WO 2002-US28425 W 20020906
OTHER SOURCE(S): MARPAT 138:255008
GI



I



II

AB Epothilones, such as I [R0 = aryl, heteroaryl, arylalkyl, arylalkenyl, arylalkynyl, etc.; R1, R1', R2, R2' = H, alkyl, haloalkyl, etc.; R3, R3' = H, alkyl, etc.; R12 = H, OH, NH2, halogen, alkoxy, alkylamino, etc.; A-B, C-D = C(R1):C(R2), CR1R1'CR2R2', etc.; X = O, S, CR3R3', NR3; Y = (CH2)m; Z = (CH2)q; m = 0-3, q = 1-3, and m + q = 1-4], were prepared for use in pharmaceutical compns. for the treatment of cancer. Thus, epothilone II was prepared via a multistep synthetic sequence which included an intramol. metathesis macrocyclization reaction using Grubbs' imidazole catalyst. The prepared epothilones were tested for cytotoxicity against a number of cancer cell lines.
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:633267 HCAPLUS
DOCUMENT NUMBER: 139:164973
TITLE: Preparation of glycoamino acids and glycoconjugates

for the treatment of cancer and for inducing antibodies

INVENTOR(S): **Danishefsky, Samuel J.**; Coltart, Don M.; **Keding, Stacy J.**; Biswas, Kaustav; Livingston, Philip O.; Ragupathi, Govindaswami; Allen, Jennifer R.; Williams, Lawrence

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 123 pp., Cont.-in-part of U. S. Ser. No. 641,742, abandoned.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

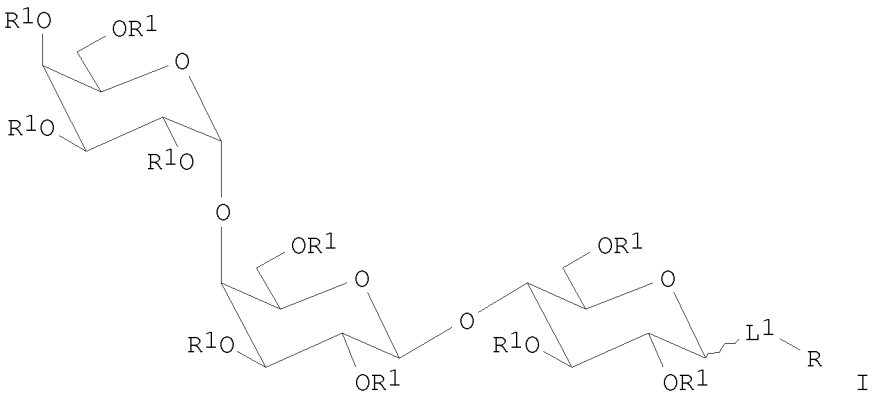
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030153492	A1	20030814	US 2002-209618	20020731 <--
WO 2004011476	A1	20040205	WO 2003-US22657	20030718 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003254038	A1	20040216	AU 2003-254038	20030718 <--
EP 1527081	A1	20050504	EP 2003-771674	20030718 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006507233	T	20060302	JP 2004-524659	20030718 <--
US 20040208884	A1	20041021	US 2003-728041	20031203 <--

PRIORITY APPLN. INFO.:		
US 1999-150088P	P	19990820
US 2000-641742	B2	20000818
US 2002-209618	A	20020731
WO 2003-US22657	W	20030718

GI



AB The invention provides novel glycosides, glycoconjugates, glycoamino

acids, and clustered glycopeptides and methods for their synthesis. Compds. I [L1 is an (un)substituted cyclic or acyclic (hetero)aliphatic moiety; each R1 is independently H or a protecting group; R is H, (un)substituted alkyl, alkenyl, aryl, CH2CH(CO2R')NHR'', where R' or R'' are each independently H, a protecting group, (un)substituted alkyl, aryl, peptide, protein or lipid, or an immunogenic carrier linked to L1 directly or through a crosslinker] are claimed. Compds. of the invention are used for the treatment of cancer, preferably for the prevention of recurrence of cancer, and for inducing antibodies in a subject. The general synthetic methodol. involves the incorporation of an n-alkenyl glycoside protecting group at the reducing end of a carbohydrate acceptor to allow for increased coupling efficiencies and accessibility to complex carbohydrates.

L6 ANSWER 13 OF 108 EMBASE COPYRIGHT (c) 2009 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2003429133 EMBASE
TITLE: Erratum: Explorations in Organic Chemistry Leading to the Total Synthesis of (±)-Gelsemine (Journal of the American Chemical Society (2002) 124 (9812-9824)).
AUTHOR: Ng, Fay W.; Chiu, Pauline; **Danishefsky, Samuel J. (correspondence)**
CORPORATE SOURCE: Columbia University, Department of Chemistry, Havermeyer Hall, 3000 Broadway, New York, NY 10027, United States.
AUTHOR: Lin, Hong; **Danishefsky, Samuel J. (correspondence)**
CORPORATE SOURCE: Bioorganic Chemistry Laboratory, Sloan-Kettering Inst. Cancer Res., 1275 York Avenue, New York, NY 10021, United States.
AUTHOR: Lin, Hong
CORPORATE SOURCE: GlaxoSmithKline, 1250 South Collegeville Road, Collegeville, PA 19426, United States.
AUTHOR: Chiu, Pauline
CORPORATE SOURCE: Department of Chemistry, University of Hong Kong, Pokfulam Road, Hong Kong, Hong Kong.
SOURCE: Journal of the American Chemical Society, (29 Oct 2003) Vol. 125, No. 43, pp. 13303.
ISSN: 0002-7863 CODEN: JACSAT
COUNTRY: United States
DOCUMENT TYPE: Journal; Errata; (Erratum)
FILE SEGMENT: 029 Clinical and Experimental Biochemistry
LANGUAGE: English
ENTRY DATE: Entered STN: 6 Nov 2003
Last Updated on STN: 6 Nov 2003

L6 ANSWER 14 OF 108 SCISEARCH COPYRIGHT (c) 2009 The Thomson Corporation on STN

ACCESSION NUMBER: 2003:942232 SCISEARCH
THE GENUINE ARTICLE: 735PN
TITLE: Explorations in organic chemistry leading to the total synthesis of (+/-)-gelsemine (vol 124, pg 9812, 2002)
AUTHOR: **Danishefsky S J (Reprint)**
CORPORATE SOURCE: Columbia Univ, Dept Chem, Havermeyer Hall, 3000 Broadway, New York, NY 10027 USA (Reprint)
AUTHOR: Ng F W; Lin H; Chiu P
CORPORATE SOURCE: Columbia Univ, Dept Chem, New York, NY 10027 USA; Sloan Kettering Inst Canc Res, Bioorgan Chem Lab, New York, NY 10021 USA
COUNTRY OF AUTHOR: USA
SOURCE: JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, (**29 OCT 2003**) Vol. 125, No. 43, pp. 13303-13303.
ISSN: 0002-7863.
PUBLISHER: AMER CHEMICAL SOC, 1155 16TH ST, NW, WASHINGTON, DC 20036

USA.
DOCUMENT TYPE: Errata; Journal
LANGUAGE: English
REFERENCE COUNT: 1
ENTRY DATE: Entered STN: 7 Nov 2003
Last Updated on STN: 7 Nov 2003

L6 ANSWER 15 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:784829 HCAPLUS
TITLE: Explorations in Organic Chemistry Leading to the Total Synthesis of (±)-Gelsemine
AUTHOR(S): Ng, Fay W.; Lin, Hong; Chiu, Pauline; **Danishefsky, Samuel J.**
SOURCE: Journal of the American Chemical Society (**2003**), 125(43), 13303
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal; Errata
LANGUAGE: English
AB Unavailable

L6 ANSWER 16 OF 108 MEDLINE on STN DUPLICATE 3
ACCESSION NUMBER: 2003370400 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12904022
TITLE: A concise route to benzofused macrolactones via ynolides: cyclopropar adicicol.
AUTHOR: Yang Zhi-Qiang; **Danishefsky Samuel J**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan Kettering Institute for Cancer Research, 1275 York Avenue, New York, New York 10021, USA.
CONTRACT NUMBER: CA28824 (United States NCI NIH HHS)
SOURCE: Journal of the American Chemical Society, **(2003 Aug 13)** Vol. 125, No. 32, pp. 9602-3.
Journal code: 7503056. ISSN: 0002-7863.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE) (RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200311
ENTRY DATE: Entered STN: 8 Aug 2003
Last Updated on STN: 18 Dec 2003
Entered Medline: 18 Nov 2003
AB A new facile synthesis has been developed for nanomolar Hsp90 inhibitor, cyclopropar adicicol (2). Our approach relied on cobalt-complexation promoted RCM, in combination with tandem Diels-Alder/retro-Diels-Alder reactions to assemble the resorcycinylic macrolactone.

L6 ANSWER 17 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN DUPLICATE 4
ACCESSION NUMBER: 2003:468937 BIOSIS
DOCUMENT NUMBER: PREV200300468937
TITLE: Synthesis of non-natural glycosylamino acids containing tumor-associated carbohydrate antigens.
AUTHOR(S): **Keding, Stacy J.**; Endo, Atsushi; **Danishefsky, Samuel J.** [Reprint Author]
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Memorial Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, NY, 10021, USA
s-danishefsky@ski.mskcc.org
SOURCE: Tetrahedron, (**25 August 2003**) Vol. 59, No. 35, pp. 7023-7031. print.

ISSN: 0040-4020 (ISSN print).
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 8 Oct 2003
Last Updated on STN: 8 Oct 2003
AB The synthesis of biologically relevant glycosylamino acids using a non-natural amino acid as the glycosyl acceptor is described. The glycosylation reaction of a monosaccharide tri-chloroacetimidate donor with Fmoc-L-hydroxynorleucine benzyl ester provided the alpha-O-linked product. Conversely, when the glycosylation reaction was carried out with a glycal epoxide donor, the beta-O-linked product predominated. We have used these two complementary glycosylation reactions to synthesize five different glycosylamino acids, each containing the Tn, TF, STn, Lewisy or Globo-H tumor-associated carbohydrate antigens.

L6 ANSWER 18 OF 108 SCISEARCH COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2004:51081 SCISEARCH
THE GENUINE ARTICLE: 756LU
TITLE: Complete ablation of xenograft tumors by a new class of epothilones: 9,10-dehydro-12,13-desoxyepothilones (dhdepos) and their derivatives.
AUTHOR: Chou T C (Reprint); Dong F; Rivkin A; Yoshimura F; Gabarda A E; **Danishefsky S J**
CORPORATE SOURCE: Mem Sloan Kettering Canc Ctr, New York, NY 10021 USA
COUNTRY OF AUTHOR: USA
SOURCE: CLINICAL CANCER RESEARCH, (**1 DEC 2003**) Vol. 9, No. 16, Part 2, Supp. [S], pp. 6210S-6211S.
ISSN: 1078-0432.
PUBLISHER: AMER ASSOC CANCER RESEARCH, 615 CHESTNUT ST, 17TH FLOOR, PHILADELPHIA, PA 19106-4404 USA.
DOCUMENT TYPE: Conference; Journal
LANGUAGE: English
REFERENCE COUNT: 0
ENTRY DATE: Entered STN: 23 Jan 2004
Last Updated on STN: 23 Jan 2004

L6 ANSWER 19 OF 108 MEDLINE on STN DUPLICATE 5
ACCESSION NUMBER: 2003262012 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12785819
TITLE: The total synthesis of (+)-migrastatin.
AUTHOR: Gaul Christoph; Njardarson Jon T; **Danishefsky Samuel J**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, New York 10021, USA.
CONTRACT NUMBER: AI 16943 (United States NIAID NIH HHS)
SOURCE: Journal of the American Chemical Society, **(2003 May 21)** Vol. 125, No. 20, pp. 6042-3.
Journal code: 7503056. ISSN: 0002-7863.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200307
ENTRY DATE: Entered STN: 6 Jun 2003
Last Updated on STN: 9 Jul 2003
Entered Medline: 8 Jul 2003
AB The first total synthesis of (+)-migrastatin, a macrolide natural product with interesting antimetastatic properties, has been accomplished. Our

concise and flexible approach utilizes a Lewis acid-catalyzed diene aldehyde condensation to install the three contiguous stereocenters and the trisubstituted (Z)-alkene of migrastatin. Construction of the two remaining stereocenters and incorporation of the glutarimide-containing side chain have been achieved via an anti-selective aldol reaction, followed by a Horner-Wadsworth-Emmons olefination. Finally, the assembly of the macrocycle has been realized by a highly (E)-selective ring-closing metathesis.

L6 ANSWER 20 OF 108 MEDLINE on STN DUPLICATE 6
ACCESSION NUMBER: 2003588082 MEDLINE
DOCUMENT NUMBER: PubMed ID: 14639735
TITLE: Total synthesis of lactonamycinone.
AUTHOR: Siu Tony; Cox Christopher D; **Danishefsky Samuel J**
CORPORATE SOURCE: Department of Chemistry, Columbia University, Havemeyer Hall, New York, NY 10 021, USA.
CONTRACT NUMBER: F32-CA84758 (United States NCI NIH HHS)
HL25848 (United States NHLBI NIH HHS)
SOURCE: Angewandte Chemie (International ed. in English), **(2003 Nov 24)** Vol. 42, No. 45, pp. 5629-34.
Journal code: 0370543. ISSN: 1433-7851.
PUB. COUNTRY: Germany: Germany, Federal Republic of
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200406
ENTRY DATE: Entered STN: 16 Dec 2003
Last Updated on STN: 10 Jun 2004
Entered Medline: 9 Jun 2004

L6 ANSWER 21 OF 108 MEDLINE on STN DUPLICATE 7
ACCESSION NUMBER: 2003588081 MEDLINE
DOCUMENT NUMBER: PubMed ID: 14639734
TITLE: Studies directed toward the total synthesis of lactonamycin: control of the sense of cycloaddition of a quinone through directed intramolecular catalysis.
AUTHOR: Cox Christopher D; Siu Tony; **Danishefsky Samuel J**
CORPORATE SOURCE: Department of Chemistry, Columbia University, New York, NY 10021, USA.
CONTRACT NUMBER: F32-CA94758 (United States NCI NIH HHS)
HL25848 (United States NHLBI NIH HHS)
SOURCE: Angewandte Chemie (International ed. in English), **(2003 Nov 24)** Vol. 42, No. 45, pp. 5625-9.
Journal code: 0370543. ISSN: 1433-7851.
PUB. COUNTRY: Germany: Germany, Federal Republic of
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200406
ENTRY DATE: Entered STN: 16 Dec 2003
Last Updated on STN: 10 Jun 2004
Entered Medline: 9 Jun 2004

L6 ANSWER 22 OF 108 MEDLINE on STN DUPLICATE 8
ACCESSION NUMBER: 2003190342 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12708862
TITLE: Mechanism of cis-enamide formation from N-(alpha-silyl)allyl amides: synthetic potential of stepwise dyotropic rearrangements.
AUTHOR: Zhang Xiyun; Houk K N; Lin Songnian; **Danishefsky**

Samuel J
CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of California, Los Angeles, California 90095, USA.
SOURCE: Journal of the American Chemical Society, **(2003 Apr 30)** Vol. 125, No. 17, pp. 5111-4.
Journal code: 7503056. ISSN: 0002-7863.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200306
ENTRY DATE: Entered STN: 24 Apr 2003
Last Updated on STN: 17 Jun 2003
Entered Medline: 16 Jun 2003

AB A novel transformation of silyl amides to N-cis-propenyl amides was recently reported, the reaction of which is a formal 10-electron double sigmatropic, or dyotropic, rearrangement. Density functional calculations (B3LYP/6-311++G(3d,3p)//B3LYP/6-31G(d)) have been carried out to investigate the mechanism of this reaction. A two-step process involving sequential 1,4-silyl and 1,4-hydrogen shifts is predicted. The 1,3-dipolar azomethine ylide intermediate profits from charge stabilization by allylic resonance and phenyl conjugation. The consecutive thermal migration of two sigma-bonds (stepwise dyotropic rearrangement) is an example of a host of reactions with synthetic potential.

L6 ANSWER 23 OF 108 MEDLINE on STN DUPLICATE 9
ACCESSION NUMBER: 2004035791 MEDLINE
DOCUMENT NUMBER: PubMed ID: 14562342
TITLE: Design and total synthesis of a superior family of epothilone analogues, which eliminate xenograft tumors to a nonrelapsable state.
AUTHOR: Chou Ting-Chao; Dong Huajin; Rivkin Alexey; Yoshimura Fumihiko; Gabarda Ana E; Cho Young Shin; Tong William P; **Danishefsky Samuel J**
CORPORATE SOURCE: Preclinical Pharmacology Core Facility, Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, NY 10021, USA.
CONTRACT NUMBER: CA-02848 (United States NCI NIH HHS)
CA-28824 (United States NCI NIH HHS)
T32-CA62948 (United States NCI NIH HHS)
SOURCE: Angewandte Chemie (International ed. in English), **(2003 Oct 13)** Vol. 42, No. 39, pp. 4762-7.
Journal code: 0370543. ISSN: 1433-7851.
PUB. COUNTRY: Germany: Germany, Federal Republic of
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200403
ENTRY DATE: Entered STN: 23 Jan 2004
Last Updated on STN: 25 Mar 2004
Entered Medline: 24 Mar 2004

L6 ANSWER 24 OF 108 SCISEARCH COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2003:929379 SCISEARCH
THE GENUINE ARTICLE: 735RL
TITLE: Design and total synthesis of a superior family of epothilone analogues, which eliminate xenograft tumors to

a nonrelapsable state
AUTHOR: **Danishefsky S J (Reprint)**
CORPORATE SOURCE: Mem Sloan Kettering Canc Ctr, Lab Bioorgan Chem, 1275 York Ave, New York, NY 10021 USA (Reprint)
AUTHOR: Chou T C; Dong H J; Rivkin A; Yoshimura F; Gabarda A E; Cho Y S; Tong W P
CORPORATE SOURCE: Mem Sloan Kettering Canc Ctr, Lab Bioorgan Chem, New York, NY 10021 USA; Columbia Univ, Dept Chem, New York, NY 10027 USA; Sloan Kettering Inst Canc Res, New York, NY 10021 USA
COUNTRY OF AUTHOR: USA
SOURCE: ANGEWANDTE CHEMIE-INTERNATIONAL EDITION, (**2003**) Vol. 42, No. 39, pp. 4761-4767. ISSN: 1433-7851.
PUBLISHER: WILEY-V C H VERLAG GMBH, PO BOX 10 11 61, D-69451 WEINHEIM, GERMANY.
DOCUMENT TYPE: Article; Journal
LANGUAGE: English
REFERENCE COUNT: 29
ENTRY DATE: Entered STN: 7 Nov 2003
Last Updated on STN: 7 Nov 2003

L6 ANSWER 25 OF 108 MEDLINE on STN DUPLICATE 10
ACCESSION NUMBER: 2003270850 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12762760
TITLE: Straightforward synthesis of panaxytriol: an active component of Red Ginseng.
AUTHOR: Yun Heedong; **Danishefsky Samuel J**
CORPORATE SOURCE: Department of Chemistry, Columbia University, Havemeyer Hall, 3000 Broadway, New York, New York 10027, USA.. s-danishefsky@ski.mskcc.org
CONTRACT NUMBER: HL25848 (United States NHLBI NIH HHS)
SOURCE: The Journal of organic chemistry, **(2003 May 30)** Vol. 68, No. 11, pp. 4519-22. Journal code: 2985193R. ISSN: 0022-3263.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE) (RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200401
ENTRY DATE: Entered STN: 12 Jun 2003
Last Updated on STN: 15 Jan 2004
Entered Medline: 14 Jan 2004
AB A total synthesis of (3R,9R,10R)-panaxytriol (1) was accomplished enantioselectively (40% overall yield; 30% for the longest sequence). A key step was a Cadiot-Chodkiewicz cross-coupling reaction on two fragments containing, in the aggregate, three unprotected hydroxyl groups. One fragment was synthesized by a highly enantioselective reduction of an enynone. The other arose from a highly enantioselective dihydroxylation of an allylic alcohol.

L6 ANSWER 26 OF 108 MEDLINE on STN DUPLICATE 11
ACCESSION NUMBER: 2003573721 MEDLINE
DOCUMENT NUMBER: PubMed ID: 14645418
TITLE: Fully synthetic carbohydrate-based vaccines in biochemically relapsed prostate cancer: clinical trial results with alpha-N-acetylgalactosamine-O-serine/threonine conjugate vaccine.
AUTHOR: Slovin Susan F; Ragupathi Govindaswami; Musselli Cristina; Olkiewicz Krystyna; Verbel David; Kuduk Scott D; Schwarz Jacob B; Sames Dalibor; **Danishefsky Samuel**; Livingston Philip O; Scher Howard I

CORPORATE SOURCE: Genitourinary Solid Tumor Service, 1275 York Ave, New York, NY 10021, USA.. slovins@mskcc.org
SOURCE: Journal of clinical oncology : official journal of the American Society of Clinical Oncology, **(2003 Dec 1)** Vol. 21, No. 23, pp. 4292-8.
Journal code: 8309333. ISSN: 0732-183X.
PUB. COUNTRY: United States
DOCUMENT TYPE: (COMPARATIVE STUDY)
Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200401
ENTRY DATE: Entered STN: 16 Dec 2003
Last Updated on STN: 6 Jan 2004
Entered Medline: 5 Jan 2004

AB PURPOSE: We report the synthesis of a mucin-related O-linked glycopeptide, alpha-N-acetylgalactosamine-O-serine/threonine (Tn), which is highly simplistic in its structure and can induce a relevant humoral response when given in a trimer or clustered (c) formation. We tested for an antitumor effect, in the form of a change in the posttreatment versus pretreatment prostate-specific antigen (PSA) slopes, that might serve as a surrogate for effectiveness of vaccines in delaying the time to radiographic progression. METHODS: We compared the antibody response to immunization with two conjugates, Tn(c)-keyhole limpet hemocyanin (KLH) and Tn(c)-palmitic acid (PAM) with the saponin immunologic adjuvant QS21, in a phase I clinical trial in patients with biochemically relapsed prostate cancer. Patients received Tn(c)-KLH vaccine containing either 3, 7, or 15 microg of Tn(c) per vaccination. Ten patients received 100 microg of Tn(c)-PAM. QS21 was included in all vaccines. Five vaccinations were administered subcutaneously during 26 weeks with an additional booster vaccine at week 50. RESULTS: Tn(c), when given with the carrier molecule KLH and QS21, stimulated the production of high-titer immunoglobulin M (IgM) and IgG antibodies. Inferior antibody responses were seen with T(c)-PAM. There was no evidence of enhanced immunogenicity with increasing doses of vaccine. An antitumor effect in the form of a decline in posttreatment versus pretreatment PSA slopes was also observed. CONCLUSION: A safe synthetic conjugate vaccine in a trimer formation was developed that can break immunologic tolerance by inducing specific humoral responses. It seemed to affect the biochemical progression of the disease as determined by a change in PSA log slope.

L6 ANSWER 27 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN DUPLICATE 12
ACCESSION NUMBER: 2003:327860 BIOSIS
DOCUMENT NUMBER: PREV200300327860
TITLE: Hydroxynorleucine as a glycosyl acceptor is an efficient means for introducing amino acid functionality into complex carbohydrates.
AUTHOR(S): **Keding, Stacy J.**; Atsushi, Endo; Biswas, Kaustav; Zatorski, Andrzej; Coltart, Don M.; **Danishefsky, Samuel J.** [Reprint Author]
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, NY, 10021, USA
s-danishefsky@ski.mskcc.org
SOURCE: Tetrahedron Letters, (**14 April 2003**) Vol. 44, No. 16, pp. 3413-3416. print.
CODEN: TELEAY. ISSN: 0040-4039.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 16 Jul 2003

Last Updated on STN: 16 Jul 2003

AB A new approach to the synthesis of biologically relevant glycosyl amino acids using a non-natural amino acid as the glycosyl acceptor is described. The procedure involves a glycosylation reaction of a suitable carbohydrate donor with Fmoc-L-hydroxynorleucine benzyl ester. This reaction results in the direct incorporation of the amino acid moiety. The acceptor can be used for the preparation of alpha- or beta-O-linked glycosides depending upon the nature of the glycosyl donor. This method has been applied in the synthesis of six different tumor-associated carbohydrate antigens.

L6 ANSWER 28 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN DUPLICATE 13

ACCESSION NUMBER: 2003:327843 BIOSIS
DOCUMENT NUMBER: PREV200300327843
TITLE: Effects of temperature and concentration in some ring closing metathesis reactions.
AUTHOR(S): Yamamoto, Kana [Reprint Author]; Biswas, Kaustav; Gaul, Christoph; **Danishefsky, Samuel J.**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, 1275 York Ave., New York, NY, 10021, USA
yamamotk@mskcc.org
SOURCE: Tetrahedron Letters, (**14 April 2003**) Vol. 44, No. 16, pp. 3297-3299. print.
CODEN: TELEAY. ISSN: 0040-4039.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 16 Jul 2003
Last Updated on STN: 16 Jul 2003

AB Ring closing metathesis (RCM) has emerged as a powerful tool to construct macrocyclic ring systems. However, the product distribution of monomer and oligomers is often a problem in the formation of medium to large rings. In the course of synthetic studies on the natural product radicicol and its analogs, we have found that the reaction temperature, along with concentration, has significant impact on the outcome of the product ratio. Specifically, carrying out the RCM reaction in refluxing toluene (110degreeC) at higher dilution affords improved yields of the monomeric macrocycle. Similar observations for another family of macrolactone natural products, the epothilones, are also reported.

L6 ANSWER 29 OF 108 MEDLINE on STN DUPLICATE 14

ACCESSION NUMBER: 2003332769 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12866068
TITLE: On the total synthesis and determination of the absolute configuration of rishirilide B: exploitation of subtle effects to control the sense of cycloaddition of o-quinodimethides.
AUTHOR: Yamamoto Kana; Hentemann Martin F; Allen John G; **Danishefsky Samuel J**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, NY 10021, USA.
CONTRACT NUMBER: 5T32 CA 62948-05 (United States NCI NIH HHS)
CA 28824 (United States NCI NIH HHS)
CA 80356 (United States NCI NIH HHS)
SOURCE: Chemistry (Weinheim an der Bergstrasse, Germany), **(2003 Jul 21)** Vol. 9, No. 14, pp. 3242-52.
Journal code: 9513783. ISSN: 0947-6539.
PUB. COUNTRY: Germany: Germany, Federal Republic of
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)

(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200309
ENTRY DATE: Entered STN: 17 Jul 2003
Last Updated on STN: 11 Sep 2003
Entered Medline: 10 Sep 2003

AB The total synthesis of racemic rishirilide B has been accomplished. The synthesis serves to define the relative relationships of its stereogenic centers. Also, starting with readily available chiral pool, ent-rishirilide B was synthesized, thereby demonstrating that natural configuration of rishirilide B. The defining step in our total synthesis is the facile cycloreversion of the bis(siloxy)benzocyclobutane and the intermolecular o-quinodimethide Diels-Alder cycloaddition. We believe that the tight regiochemical guidance in this step arises from a meshing of the electron-donating effects of the symmetry-perturbing aromatic OTBS group of the o-quinodimethide diene with the reactivity differential of the dienophile (enedione), modulated by the hydroxyl group at the alpha-position. The validity of the hypothesis of hydroxy-directed activation of its vicinal ketone function in the context of the enedione dienophile warrants further study. This type of activation may find broader applications in distinguishing reactivity profiles of key closely related functional groups in organic substrates.

L6 ANSWER 30 OF 108 MEDLINE on STN DUPLICATE 15
ACCESSION NUMBER: 2003126570 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12617656
TITLE: Complex target-oriented total synthesis in the drug discovery process: the discovery of a highly promising family of second generation epothilones.
AUTHOR: Rivkin Alexey; Yoshimura Fumihiko; Gabarda Ana E; Chou Ting-Chao; Dong Huajin; Tong William P; **Danishefsky Samuel J**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, New York 10021, USA.
CONTRACT NUMBER: CA-08748 (United States NCI NIH HHS)
CA-28824 (United States NCI NIH HHS)
T32-CA62948 (United States NCI NIH HHS)
SOURCE: Journal of the American Chemical Society, **(2003 Mar 12)** Vol. 125, No. 10, pp. 2899-901.
Journal code: 7503056. ISSN: 0002-7863.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200404
ENTRY DATE: Entered STN: 19 Mar 2003
Last Updated on STN: 17 Dec 2003
Entered Medline: 19 Apr 2004

AB The total synthesis of a family of (E)-9,10-dehydro derivatives of epothilone D (i.e., 12,13-desoxyepothilone B) is described. The route is particularly concise and amenable to production of new congeners. Furthermore, the chemistry described herein constitutes a major simplification in the total synthesis of EpoD, which is in human clinical trials. This new family of epothilones shows major advantages in terms of their potency and pharmacostability relative to the wild-type saturated analogues in the D series. From the perspective of compound availability through synthesis, potency, and pharmacokinetic properties, these compounds could well warrant advancement to clinical evaluation in humans.

L6 ANSWER 31 OF 108 MEDLINE on STN DUPLICATE 16
ACCESSION NUMBER: 2004028510 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12800175
TITLE: Synthesis and conformational analysis of (E)-9,10-dehydroepothilone B: a suggestive link between the chemistry and biology of epothilones.
AUTHOR: Yoshimura Fumihiko; Rivkin Alexey; Gabarda Ana E; Chou Ting-Chao; Dong Huajin; Sukenick George; Morel Florence F; Taylor Richard E; **Danishefsky Samuel J**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, NY 10021, USA.
CONTRACT NUMBER: CA-02848 (United States NCI NIH HHS)
CA-28824 (United States NCI NIH HHS)
T32-CA62948 (United States NCI NIH HHS)
SOURCE: Angewandte Chemie (International ed. in English), **(2003 Jun 6)** Vol. 42, No. 22, pp. 2518-21.
Journal code: 0370543. ISSN: 1433-7851.
PUB. COUNTRY: Germany: Germany, Federal Republic of
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200404
ENTRY DATE: Entered STN: 21 Jan 2004
Last Updated on STN: 14 Apr 2004
Entered Medline: 13 Apr 2004

L6 ANSWER 32 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:465492 HCAPLUS
TITLE: An American in Darmstadt
AUTHOR(S): **Danishefsky, S.**
SOURCE: Angewandte Chemie, International Edition (**2003**), 42(20), 2214
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal; News Announcement
LANGUAGE: English
AB Unavailable

L6 ANSWER 33 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN DUPLICATE 17
ACCESSION NUMBER: 2003:229909 BIOSIS
DOCUMENT NUMBER: PREV200300229909
TITLE: A concise route to the core pentasaccharide of N-linked glycoproteins.
AUTHOR(S): Dudkin, Vadim Y. [Reprint Author]; Miller, Justin S.; **Danishefsky, Samuel J.**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, The Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, NY, 10021, USA
SOURCE: Tetrahedron Letters, (**24 February 2003**) Vol. 44, No. 9, pp. 1791-1793. print.
CODEN: TELEAY. ISSN: 0040-4039.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 14 May 2003
Last Updated on STN: 14 May 2003
AB A concise preparation of the common pentasaccharide core of the N-linked glycoproteins is described. The reducing end glycal is functionalized at the level of chitobiose, which is then beta-mannosylated using Crich's

direct coupling protocol. Deprotection of the branching mannose residue, and di-alpha-mannosylation complete the synthesis.

L6 ANSWER 34 OF 108 MEDLINE on STN DUPLICATE 18
ACCESSION NUMBER: 2003171439 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12688741
TITLE: Novel synthetic approach to the 8,10-dimethyl anti-syn-anti-perhydrophenanthrene skeleton.
AUTHOR: Coltart Don M; **Danishefsky Samuel J**
CORPORATE SOURCE: The Laboratory for Bioorganic Chemistry, The Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, New York 10021, USA.
CONTRACT NUMBER: CA-28824 (United States NCI NIH HHS)
SOURCE: Organic letters, **(2003 Apr 17)** Vol. 5, No. 8, pp. 1289-92.
Journal code: 100890393. ISSN: 1523-7060.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200307
ENTRY DATE: Entered STN: 16 Apr 2003
Last Updated on STN: 22 Jul 2003
Entered Medline: 21 Jul 2003
AB [reaction: see text] An efficient and highly stereocontrolled approach to the 8,10-dimethyl anti-syn-anti-perhydrophenanthrene carbon skeleton starting with the Wieland-Miescher ketone is described. The approach centers on a Diels-Alder-angular methylation-deoxygenation sequence.

L6 ANSWER 35 OF 108 MEDLINE on STN DUPLICATE 19
ACCESSION NUMBER: 2003237634 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12645064
TITLE: Total synthesis as a resource in the discovery of potentially valuable antitumor agents: cycloproparadicicol.
AUTHOR: Yamamoto Kana; Garbaccio Robert M; Stachel Shawn J; Solit David B; Chiosis Gabriela; Rosen Neal; **Danishefsky Samuel J**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, NY 10021, USA.
CONTRACT NUMBER: 1 F32 CA81704 (United States NCI NIH HHS)
1F32 CA85894-01 (United States NCI NIH HHS)
CA28824 (United States NCI NIH HHS)
SOURCE: Angewandte Chemie (International ed. in English), **(2003 Mar 17)** Vol. 42, No. 11, pp. 1280-4.
Journal code: 0370543. ISSN: 1433-7851.
PUB. COUNTRY: Germany: Germany, Federal Republic of
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200409
ENTRY DATE: Entered STN: 23 May 2003
Last Updated on STN: 17 Dec 2003
Entered Medline: 20 Sep 2004

L6 ANSWER 36 OF 108 MEDLINE on STN DUPLICATE 20
ACCESSION NUMBER: 2003510331 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12811527

TITLE: A preclinical study comparing approaches for augmenting the immunogenicity of a heptavalent KLH-conjugate vaccine against epithelial cancers.
AUTHOR: Ragupathi Govind; Koide Fusataka; Sathyan Natarajan; Kagan Ella; Spassova Maria; Bornmann William; Gregor Polly; Reis Celso A; Clausen Henrik; **Danishefsky Samuel J**; Livingston Philip O
CORPORATE SOURCE: Laboratory of Tumor Vaccinology, Memorial Sloan-Kettering Cancer Center, 1275 York Avenue, New York, NY 10021, USA.. ragupatg@mskcc.org
CONTRACT NUMBER: P01 CA33049 (United States NCI NIH HHS)
P01 CA52477 (United States NCI NIH HHS)
SOURCE: Cancer immunology, immunotherapy : CII, **(2003 Oct)** Vol. 52, No. 10, pp. 608-16. Electronic Publication: 2003-06-17.
Journal code: 8605732. ISSN: 0340-7004.
PUB. COUNTRY: Germany: Germany, Federal Republic of
DOCUMENT TYPE: (COMPARATIVE STUDY)
Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200311
ENTRY DATE: Entered STN: 1 Nov 2003
Last Updated on STN: 19 Dec 2003
Entered Medline: 20 Nov 2003

AB Previously using a series of monovalent vaccines, we demonstrated that the optimal method for inducing an antibody response against cancer cell-surface antigens is covalent conjugation of the antigens to keyhole limpet hemocyanin (KLH) and the use of a saponin adjuvant. We have prepared a heptavalent-KLH conjugate vaccine containing the seven epithelial cancer antigens GM2, Globo H, Lewis(y), TF(c), Tn(c), STn(c), and glycosylated MUC1. In preparation for testing this vaccine in the clinic, we tested the impact on antibody induction of administering the individual conjugates plus adjuvant compared with a mixture of the seven conjugates plus adjuvant, and of several variables thought to augment immunogenicity. These include approaches for decreasing suppressor cell activity or increasing helper T-lymphocyte activity (low dose cyclophosphamide or anti-CTLA-4 MAb), different saponin adjuvants at various doses (QS-21 and GPI-0100), and different methods of formulation (lyophilization and use of polysorbate 80). We find that: (1). Immunization with the heptavalent-KLH conjugate plus GPI-0100 vaccine induces antibodies against the seven antigens of comparable titer to those induced by the individual-KLH conjugate vaccines, high titers of antibodies against Tn (median ELISA titer IgM/IgG 320/10240), STn (640/5120), TF (320/10240), MUC1 (80/20480), and globo H (640/40); while lower titers of antibodies against Lewis(y)()(160/0) and only occasional antibodies against GM2 are induced. (2). These antibodies reacted with the purified synthetic antigens by ELISA, and with naturally expressed antigens on the cancer cell surface by FACS. (3). None of the approaches for further altering the suppressor cell/helper T-cell balance nor changes to the standard formulation by lyophilization or use of polysorbate 80 had any impact on antibody titers. (4). An optimal dose of saponin adjuvant, QS-21 (50 microg) or GPI-0100 (1000 microg), is required for optimal antibody titers. This heptavalent vaccine is sufficiently optimized for testing in the clinic.

L6 ANSWER 37 OF 108 MEDLINE on STN DUPLICATE 21
ACCESSION NUMBER: 2003267815 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12794861
TITLE: Simplified synthetic TMC-95A/B analogues retain the potency

of proteasome inhibitory activity.
AUTHOR: Yang Zhi-Qiang; Kwok Benjamin H B; Lin Songnian; Koldobskiy Michael A; Crews Craig M; **Danishefsky Samuel J**
CORPORATE SOURCE: Laboratory of Bioorganic Chemistry Sloan Kettering Institute for Cancer Research 1275 York Avenue New York 10021, USA.
CONTRACT NUMBER: CA28824 (United States NCI NIH HHS)
GM62120 (United States NIGMS NIH HHS)
R01 GM062120-06 (United States NIGMS NIH HHS)
SOURCE: Chembiochem : a European journal of chemical biology, **(2003 Jun 6)** Vol. 4, No. 6, pp. 508-13.
Journal code: 100937360. ISSN: 1439-4227.
Report No.: NLM-NIHMS56723; NLM-PMC2556569.
PUB. COUNTRY: Germany: Germany, Federal Republic of
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200410
ENTRY DATE: Entered STN: 10 Jun 2003
Last Updated on STN: 31 Mar 2004
Entered Medline: 7 Oct 2004

AB The proteasome regulates diverse intracellular processes, including cell-cycle progression, antigen presentation, and inflammatory response. Selective inhibitors of the proteasome have great therapeutic potential for the treatment of cancer and inflammatory disorders. Natural cyclic peptides TMC-95A and B represent a new class of noncovalent, selective proteasome inhibitors. To explore the structure-activity relationship of this class of proteasome inhibitors, a series of TMC-95A/B analogues were prepared and analyzed. We found that the unique enamide functionality at the C8 position of TMC-95s can be replaced with a simple allylamide. The asymmetric center at C36 that distinguishes TMC-95A from TMC-95B but which necessitates a complicated separation of the two compounds can be eliminated. Therefore, these findings could lead to the development of more accessible simple analogues as potential therapeutic agents.

L6 ANSWER 38 OF 108 MEDLINE on STN DUPLICATE 22
ACCESSION NUMBER: 2003232213 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12569509
TITLE: Toward fully synthetic N-linked glycoproteins.
AUTHOR: Miller Justin S; Dudkin Vadim Y; Lyon Gholson J; Muir Tom W; **Danishefsky Samuel J**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, NY 10021, USA.
CONTRACT NUMBER: AI16943 (United States NIAID NIH HHS)
CA-02848 (United States NCI NIH HHS)
SOURCE: Angewandte Chemie (International ed. in English), **(2003 Jan 27)** Vol. 42, No. 4, pp. 431-4.
Journal code: 0370543. ISSN: 1433-7851.
PUB. COUNTRY: Germany: Germany, Federal Republic of
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200307
ENTRY DATE: Entered STN: 21 May 2003
Last Updated on STN: 30 Jul 2003
Entered Medline: 29 Jul 2003

L6 ANSWER 39 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:990373 HCAPLUS
DOCUMENT NUMBER: 140:405071
TITLE: Synthetic carbohydrate-based vaccines
AUTHOR(S): **Keding, Stacy J.; Danishefsky, Samuel J.**
CORPORATE SOURCE: Laboratory of Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, New York, NY, 10021, USA
SOURCE: Carbohydrate-Based Drug Discovery (**2003**), Volume 1, 381-406. Editor(s): Wong, Chi-Huey. Wiley-VCH Verlag GmbH & Co. KGaA: Weinheim, Germany. CODEN: 69EWXA; ISBN: 3-527-30632-3
DOCUMENT TYPE: Conference; General Review
LANGUAGE: English
AB A review. There are numerous vaccines being actively studied for the treatment of cancer and bacterial and parasitic infections. Advances at the forefront of organic chemical have allowed the production of completely synthetic carbohydrate-based antigens. The resulting antigens have been used extensively for investigating different strategies for competent vaccine construction.
REFERENCE COUNT: 85 THERE ARE 85 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 40 OF 108 SCISEARCH COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2004:227455 SCISEARCH
THE GENUINE ARTICLE: 751JF
TITLE: Reflections on the power of chemical synthesis.
AUTHOR: **Danishefsky S J**
CORPORATE SOURCE: Sloan Kettering Inst Canc Res, Bioorgan Chem Lab, New York, NY 10021 USA
COUNTRY OF AUTHOR: USA
SOURCE: ABSTRACTS OF PAPERS OF THE AMERICAN CHEMICAL SOCIETY, (**SEP 2003**) Vol. 226, Part 1, pp. U238-U238. MA 092-CHED.
ISSN: 0065-7727.
PUBLISHER: AMER CHEMICAL SOC, 1155 16TH ST, NW, WASHINGTON, DC 20036 USA.
DOCUMENT TYPE: Conference; Journal
LANGUAGE: English
REFERENCE COUNT: 0
ENTRY DATE: Entered STN: 19 Mar 2004
Last Updated on STN: 19 Mar 2004

L6 ANSWER 41 OF 108 SCISEARCH COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2004:227262 SCISEARCH
THE GENUINE ARTICLE: 751JF
TITLE: Studies in the total synthesis of asparagine linked glycopeptide.
AUTHOR: **Danishefsky S J**
CORPORATE SOURCE: Sloan Kettering Inst Canc Res, Bioorgan Chem Lab, New York, NY 10021 USA; Columbia Univ, New York, NY 10021 USA
COUNTRY OF AUTHOR: USA
SOURCE: ABSTRACTS OF PAPERS OF THE AMERICAN CHEMICAL SOCIETY, (**SEP 2003**) Vol. 226, Part 1, pp. U202-U202. MA 017-CARB.
ISSN: 0065-7727.
PUBLISHER: AMER CHEMICAL SOC, 1155 16TH ST, NW, WASHINGTON, DC 20036 USA.
DOCUMENT TYPE: Conference; Journal

LANGUAGE: English
REFERENCE COUNT: 0
ENTRY DATE: Entered STN: 19 Mar 2004
Last Updated on STN: 19 Mar 2004

L6 ANSWER 42 OF 108 SCISEARCH COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2004:227259 SCISEARCH
THE GENUINE ARTICLE: 751JF
TITLE: Toward fully synthetic vaccines.
AUTHOR: **Danishefsky S J**
CORPORATE SOURCE: Mem Sloan Kettering Canc Ctr, Sloan Kettering Inst Canc Res, Bioorgan Chem Lab, New York, NY 10021 USA
COUNTRY OF AUTHOR: USA
SOURCE: ABSTRACTS OF PAPERS OF THE AMERICAN CHEMICAL SOCIETY, (**SEP 2003**) Vol. 226, Part 1, pp. U202-U202. MA 014-CARB.
ISSN: 0065-7727.
PUBLISHER: AMER CHEMICAL SOC, 1155 16TH ST, NW, WASHINGTON, DC 20036 USA.
DOCUMENT TYPE: Conference; Journal
LANGUAGE: English
REFERENCE COUNT: 0
ENTRY DATE: Entered STN: 19 Mar 2004
Last Updated on STN: 19 Mar 2004

L6 ANSWER 43 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:630433 HCAPLUS
TITLE: Reflections on the power of chemical synthesis
AUTHOR(S): **Danishefsky, S. J.**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, New York, NY, 10021, USA
SOURCE: Abstracts of Papers, 226th ACS National Meeting, New York, NY, United States, September 7-11, 2003 (**2003**), CHED-092. American Chemical Society: Washington, D. C.
CODEN: 69EKY9
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English
AB The power of total synthesis continues to grow. Often challenging synthetic problems standing at the frontier of chemical involve target systems of considerable biol. and theor. interest. The pursuit of these fascinating chemical problems also provides an excellent context for the chemist to become proactive in analyzing the possible applications associated with the pursuit of the target system. Furthermore, total synthesis offers a context wherein chemists can assume a leadership position in moderating creative interactions among diverse disciplines.

L6 ANSWER 44 OF 108 SCISEARCH COPYRIGHT (c) 2009 The Thomson Corporation on STN DUPLICATE 23
ACCESSION NUMBER: 2003:89493 SCISEARCH
THE GENUINE ARTICLE: 636LU
TITLE: Gelsemine: A thought-provoking target for total synthesis
AUTHOR: **Danishefsky S J (Reprint)**
CORPORATE SOURCE: Sloan Kettering Inst Canc Res, Bioorgan Labs, New York, NY 10021 USA (Reprint)
AUTHOR: Lin H
CORPORATE SOURCE: Columbia Univ, Dept Chem, New York, NY 10021 USA
COUNTRY OF AUTHOR: USA
SOURCE: ANGEWANDTE CHEMIE-INTERNATIONAL EDITION, (**2003**) Vol. 42, No. 1, pp. 36-51.

ISSN: 1433-7851.
PUBLISHER: WILEY-V C H VERLAG GMBH, PO BOX 10 11 61, D-69451 WEINHEIM, GERMANY.
DOCUMENT TYPE: General Review; Journal
LANGUAGE: English
REFERENCE COUNT: 37
ENTRY DATE: Entered STN: 7 Feb 2003
Last Updated on STN: 7 Feb 2003

L6 ANSWER 45 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:630145 HCAPLUS
TITLE: Studies in the total synthesis of asparagine linked glycopeptides
AUTHOR(S): **Danishefsky, Samuel J.**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, Sloan-Kettering Institute for Cancer Research and Columbia University, New York, NY, 10021, USA
SOURCE: Abstracts of Papers, 226th ACS National Meeting, New York, NY, United States, September 7-11, 2003 (**2003**), CARB-017. American Chemical Society: Washington, D. C.
CODEN: 69EKY9
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English
AB The power of total synthesis continues to grow in the context of carbohydrates. Often challenging synthetic problems standing at the frontier of chemical involve target systems of considerable biol. and theor. interest. The pursuit of these fascinating chemical problems also provides an excellent context for the carbohydrate chemist to become proactive in analyzing the possible applications associated with the pursuit of the target system. Furthermore, total synthesis of carbohydrate related systems offer a context wherein chemists can assume a leadership position in moderating creative interactions among diverse disciplines.

L6 ANSWER 46 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:630142 HCAPLUS
TITLE: Toward fully synthetic vaccines
AUTHOR(S): **Danishefsky, Samuel J.**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, Sloan-Kettering Institute for Cancer Research and Columbia University, New York, NY, 10021, USA
SOURCE: Abstracts of Papers, 226th ACS National Meeting, New York, NY, United States, September 7-11, 2003 (**2003**), CARB-014. American Chemical Society: Washington, D. C.
CODEN: 69EKY9
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English
AB The power of total synthesis continues to grow in the context of carbohydrates. Often challenging synthetic problems standing at the frontier of chemical involve target systems of considerable biol. and theor. interest. The pursuit of these fascinating chemical problems also provides an excellent context for the carbohydrate chemist to become proactive in analyzing the possible applications associated with the pursuit of the target system. Furthermore, total synthesis of carbohydrate related systems offer a context wherein chemists can assume a leadership position in moderating creative interactions among diverse disciplines.

L6 ANSWER 47 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN

ACCESSION NUMBER: 2003:547751 BIOSIS
DOCUMENT NUMBER: PREV200300548586
TITLE: Studies in the total synthesis of asparagine linked glycopeptide.
AUTHOR(S): **Danishefsky, Samuel J.** [Reprint Author]
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, Columbia University, 1275 York Ave., Box 106, New York, NY, 10021, USA
s-danishefsky@ski.mskcc.org
SOURCE: Abstracts of Papers American Chemical Society, (**2003**) Vol. 226, No. 1-2, pp. CARB 17. print.
Meeting Info.: 226th ACS (American Chemical Society) National Meeting. New York, NY, USA. September 07-11, 2003. American Chemical Society.
ISSN: 0065-7727 (ISSN print).
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 19 Nov 2003
Last Updated on STN: 19 Nov 2003

L6 ANSWER 48 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2003:547748 BIOSIS
DOCUMENT NUMBER: PREV200300548583
TITLE: Toward fully synthetic vaccines.
AUTHOR(S): **Danishefsky, Samuel J.** [Reprint Author]
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, Columbia University, 1275 York Ave., Box 106, New York, NY, 10021, USA
s-danishefsky@ski.mskcc.org
SOURCE: Abstracts of Papers American Chemical Society, (**2003**) Vol. 226, No. 1-2, pp. CARB 14. print.
Meeting Info.: 226th ACS (American Chemical Society) National Meeting. New York, NY, USA. September 07-11, 2003. American Chemical Society.
ISSN: 0065-7727 (ISSN print).
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 19 Nov 2003
Last Updated on STN: 19 Nov 2003

L6 ANSWER 49 OF 108 BIOTECHDS COPYRIGHT 2009 THOMSON REUTERS on STN
DUPLICATE 24
ACCESSION NUMBER: 2003-02125 BIOTECHDS
TITLE: Novel homing peptide multimer useful for delivering a therapeutic agent such as nucleic acid, protein, lipid or carbohydrate into a cell, for targeting drugs or prodrugs to tumors and leukemia;
peptide-mediated DNA, RNA, protein, drug delivery into host cell useful for tumor and leukemia therapy and gene therapy
AUTHOR: **DANISHEFSKY S J**; FRITZ L C
PATENT ASSIGNEE: CONFORMA THERAPEUTIC CORP
PATENT INFO: WO 2002043770 **6 Jun 2002**
APPLICATION INFO: WO 2001-US44154 26 Nov 2001
PRIORITY INFO: US 2000-250778 1 Dec 2000; US 2000-250778 1 Dec 2000
DOCUMENT TYPE: Patent
LANGUAGE: English
OTHER SOURCE: WPI: 2002-627224 [67]
AN 2003-02125 BIOTECHDS

AB DERWENT ABSTRACT:

NOVELTY - A homing peptide multimer (I) comprising a first homing peptide associated with a second homing peptide or a scaffold molecule having several equivalent linkage group (one of the linkage groups is linked to a first homing peptide and a second linkage group is linked to a second homing peptide and the first and second homing peptides comprise the same sequence of amino acid residues, is new.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following: (1) a composition (II) comprising (I) and a carrier or a diluent; (2) making (M1) (I) involves providing a first peptide with one or more carbon electrophile or carbon nucleophile, providing a second peptide with one or more carbon electrophile or nucleophile, which is complementary to the reactive group in the first peptide, and linking the complementary carbon electrophiles or nucleophiles of the first and second peptides to form a peptide multimer comprising a linker and the first and second peptides; (3) synthesizing (M2) a homing peptide multimer involves attaching a homing peptide, HP to strained olefin monomer via a linker, L and treating the product with an olefin metathesis catalyst; and (4) extending (M3) a homing peptide multimer prepared by M2 involves treating the product with additional olefin monomer which is covalently bonded to a different homing peptide than the HP.

BIOTECHNOLOGY - Preferred Peptide: In (I), the first homing peptide is associated with or covalently linked to the second homing peptide through a linker which comprises one or more amino acids. The linkages between the first homing peptide and the linker and the second homing peptide and the linker are peptide bonds. (I) further comprises an additional peptide and the additional peptide is another homing peptide which comprises the same or different sequence of amino acid residues of the first and second homing peptides. The additional peptide is preferably a therapeutic agent. (I) is a pharmaceutically acceptable salt. In (I), the scaffold molecule comprises a dendrimer comprising several equivalent termini, where at least two of the termini are independently coupled to a homing peptide. (I) has a formula (F1) or (F2). (HP1)-((L-HPa))x (F1) The linker is covalently attached to the C- or N-terminus of HP1 and is covalently attached to the C- or N-terminus of HPa. HP1 = first homing peptide comprising an HP1 amino acid sequence; L = linker; HPa = homing peptide; and X = 1 (and when x is two or more, each HPa is independently selected, but at least one of the HPa homing peptides also comprises a HP1 amino acid sequence). L is independently linked to a homing peptide where each homing peptide comprises a homing peptide sequence. The homing peptide sequence of each homing peptide comprises the same sequence of amino acid residues. L is covalently linked to two or more different homing peptides.X = O or CH2; L = linker; HP = homing peptide; and n = greater than or equal to 2 or greater than 10. Preferred Composition: (II) is substantially a dry composition or a liquid composition. Preferred Method: In M1, the additional peptide units are provided with complementary carbon electrophiles or nucleophiles and linked to a peptide multimer formed in M1, via the sequential coupling of complementary carbon electrophiles or nucleophiles. The carbon electrophile or nucleophile is selectively attached to the C- or N-terminus of a first peptide or is optionally attached to the C- or N-terminus of a second peptide or an additional peptide. The linker comprises a carbon-carbon or carbon-heteroatom bond not present before coupling. The linker peptide is preferably a tumor homing peptide. Linking is through palladium catalyzed coupling method such as modified Suzuki, Heck, Stille or Sonagashira coupling. The carbon-carbon bond is unsaturated and the unsaturated bond is formed with retention stereochemistry at the carbon electrophile. The carbon-carbon bond is subsequently selectively oxidized and is used as point of attachment of a therapeutic agent or the linker.

ACTIVITY - Cytostatic; Immunosuppressive. No biological data is

given.

MECHANISM OF ACTION - None given.

USE - (I) is useful for delivering a therapeutic agent such as nucleic acid, protein, lipid or carbohydrate into a cell, involves contacting a cell which is in in vivo or in vitro with a therapeutic agent comprising (I) and a drug or prodrug which is covalently attached to the homing peptide multimer. M1 is useful for making (I). M2 is useful for synthesizing a homing peptide multimer. M3 is useful for extending a homing peptide multimer prepared by M2 (all claimed). (I) is useful as a molecular homing device or targeting drugs or other therapeutic agents to specific cells, tissues or organs. (I) is useful for targeting tumors or leukemias and is administered alone or in combination with drugs or prodrugs which are effective against a disease or condition such as fibrosarcoma, osteoma, osteosarcoma, glioma, melanoma, liposarcoma, eosinophilia, myosarcoma, ovarian carcinoma, neoplasm of bone, breast, digestive system, etc., and for the treatment of other conditions in which the cells have become immortalized.

ADMINISTRATION - (II) is administered through oral, inhalation, parenteral, rectal or buccal route. Dosage not specified.

ADVANTAGE - (I) provides enhanced cell, tissue and organ-specific targeting. (I) has a beneficial effect for a statistically significant fraction of patients such as improvement of symptoms, cure, reduction in disease load, reduction in tumor mass or cell numbers, extension of life, improvement in quality of life, or other effect generally recognized as positive by medical doctors familiar with treating the particular type of disease or condition.

EXAMPLE - Homing peptide multimers were constructed based on the polymerization N-carboxyanhydrides (oxazolidine-2,5-diones). These anhydrides also known as Leuch's anhydrides were obtained by treating amino acids with phosgene, COCl2, in aprotic solvents. The amino acids were preferably alpha-amino carboxylic acid. Nucleophiles, including the amino group of an amino acid, readily cleave Leuch's anhydrides by attacking the electrophillic carbonyl carbon in the ring with formation of a new peptide bond. The carbomic acid group of the coupling product was decarboxylated, regenerating a nucleophilic amine which ring-opens another equivalent of anhydride to generate trimeric and higher molecular weight peptides. The ends of one, two, three or more homing peptides were tethered to simple di-, tri- or poly-functional amines, or simple di-, tri- or polyfunctional alcohols. The pendent homing peptides were then arranged to form the scaffold. The hydroxy groups of a scaffold molecule were transformed into carbon electrophiles and the nucleophillic groups at the ends or within a peptide sequence facilitate coupling of the homing peptide to the scaffold. Scaffolds having hydroxy- or amine groups were converted into vinyl functional groups via reaction with an allylic electrophille, e.g., allyl bromide. Scaffolds having a plethora of vinyl groups were then epoxidized. The result of such a synthetic sequence was an epoxide multimer used for coupling an equivalent number of homing peptides, via a nucleophillic functional group attached to a homing peptide. A nucleophillic group within a homing peptide sequence also facilitate coupling. Scaffolds were polymers or repeating units of functionalized monomers and the linking of monomeric subunits were driven by the relief of ring-strain energies e.g., ring-opening metathesis polymerization (ROMP). ROMP produced hydrocarbon polymers of defined length from monomeric strained ring precursors. By appending a reactive functional group to each monomer unit, and subsequently attaching peptides to those functional groups, polymers having multiple appended peptides were produced. One end of the homing peptide chain was conjugated to a functional group comprising a carbon nucleophile, e.g., organoborane or boronate or organostannane group in the presence of a catalyst e.g., in the presence a low valent transition metal complexes, the most preferred transition metal complexes was palladium complexes. The carbon electrophile and carbon nucleophile generated a new

carbon-carbon bond in the presence of a transition metal catalyst. The palladium-catalyzed coupling of organoboranes with carbon electrophiles to yield a new carbon-carbon bond and was known as Suzuki coupling. The palladium-catalyzed coupling of organostannane reagents and carbon electrophiles was known as a Stille coupling reaction. A carbon electrophile was attached to a homing peptide and a carbon nucleophile was attached to a scaffold. Transition metal-catalyzed coupling yield homing peptide multimers having the opposite ends tethered to the scaffold. Functional groups used to conjugate homing peptides and scaffolds were modular in nature and were thus interchangeable. This allowed the catenation of homing peptides using complementary synthetic carbon electrophiles and carbon nucleophiles in place of the natural components of a homing peptide bond: a carbon electrophile (carbonyl) and a nucleophile (amine).(31 pages)

L6 ANSWER 50 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2003:43726 BIOSIS
DOCUMENT NUMBER: PREV200300043726
TITLE: Synthesis of dysidiolide and uses thereof.
AUTHOR(S): **Danishefsky, Samuel J.** [Inventor, Reprint Author]; Magnuson, Steven R. [Inventor]; Rosen, Neal [Inventor]; Sepp-Lorenzino, Laura [Inventor]
CORPORATE SOURCE: Hamden, CT, USA
ASSIGNEE: Sloan-Kettering Institute for Cancer Research; The Trustees of Columbia University in the City of New York
PATENT INFORMATION: US 6482851 20021119
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (**Nov 19 2002**) Vol. 1264, No. 3. http://www.uspto.gov/web/menu/patdata.html. e-file. ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 15 Jan 2003
Last Updated on STN: 15 Jan 2003
AB This invention provides a process for the preparation of a racemic mixture of dysidiolide a method for inhibiting growth of cancerous cells comprising contracting an amount of the racemic mixture of dysidiolide effective to inhibit the growth of said cells. Further provided is a method for treating cancer in a subject which comprises administering to the subject a therapeutically effective amount of the racemic mixture of dysidiolide.

L6 ANSWER 51 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2002:282998 BIOSIS
DOCUMENT NUMBER: PREV200200282998
TITLE: Synthesis of epothilones, intermediates thereto, analogues and uses thereof.
AUTHOR(S): **Danishefsky, Samuel J.** [Inventor]; Bertinato, Peter [Inventor]; Su, Dai-Shi [Inventor]; Meng, Dang Fang [Inventor, Reprint author]; Chou, Ting-Chao [Inventor]; Kamenecka, Ted [Inventor]; Sorensen, Erik J. [Inventor]; Balog, Aaron [Inventor]; Savin, Kenneth A. [Inventor]
CORPORATE SOURCE: New York, NY, USA
ASSIGNEE: Sloan-Kettering Institute for Cancer Research
PATENT INFORMATION: US 6369234 20020409
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (**Apr. 9, 2002**) Vol. 1257, No. 2. http://www.uspto.gov/web/menu/patdata.html. e-file. CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent

LANGUAGE: English
ENTRY DATE: Entered STN: 8 May 2002
Last Updated on STN: 8 May 2002
AB The present invention provides convergent processes for preparing epothilone A and B, desoxyepothilones A and B, and analogues thereof. Also provided are analogues related to epothilone A and B and intermediates useful for preparing same. The present invention further provides novel compositions based on analogues of the epothilones and methods for the treatment of cancer and cancer which has developed a multidrug-resistant phenotype.

L6 ANSWER 52 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2002:278633 BIOSIS
DOCUMENT NUMBER: PREV200200278633
TITLE: Reverse prenyl compounds as immunosuppressants.
AUTHOR(S): Chou, Ting-Chao [Inventor]; Bertino, Joseph R. [Inventor, Reprint author]; **Danishefsky, Samuel J.** [Inventor]; Kahan, Barry D. [Inventor]
CORPORATE SOURCE: Branford, CT, USA
ASSIGNEE: Sloan-Kettering Institute for Cancer Research
PATENT INFORMATION: US 6355639 20020312
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (**Mar. 12, 2002**) Vol. 1256, No. 2. http://www.uspto.gov/web/menu/patdata.html. e-file. CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 8 May 2002
Last Updated on STN: 8 May 2002
AB The present invention provides a method for treating a subject in need of immunosuppression, comprising administering to the subject an effective amount of a compound having structure (I) wherein R1, R6 and R7 are independently hydrogen, OH, NH2, SH, halogen, C1 -C9 linear or branched chain alkyl, alkylmercapto, alkylamino, etc.; wherein R0 and R2 are independently hydrogen, OH, C1 -C9 linear or branched chain alkyl, --CR3 R3 --CH(O)CH2, --CR3 R3 --CHdbdCHR4, etc.; wherein R3 and R4 are independently hydrogen halogen C1 -C9 linear or branched chain alkyl, etc.; wherein R5 is hydrogen, C1 -C9 linear or branched chain alkyl, phenyl, alkylphenyl, dialkylphenyl, alkylmercapto, etc.; and wherein R8 is hydrogen, C1 -C9 linear or branched chain acyl, benzoyl, alkylbenzoyl, etc. Also provided are methods of treating autoimmune disease and preventing organ graft rejection using N-acetylardeemin and related compounds.

L6 ANSWER 53 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:157779 HCAPLUS
DOCUMENT NUMBER: 136:216593
TITLE: Preparation of therapeutic macrocyclic natural product derivatives
INVENTOR(S): **Danishefsky, Samuel J.**; Garbaccio, Robert M.; Baeschlin, Daniel K.; Stachel, Shawn J.; Solit, David; Shtil, Alexander; Rosen, Neal
PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

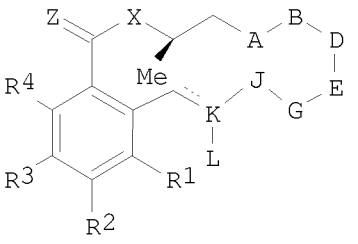
WO 2002016369	A2	20020228	WO 2001-US26577	20010824 <--
WO 2002016369	A3	20020829		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001086768	A	20020304	AU 2001-86768	20010824 <--
US 20020091151	A1	20020711	US 2001-938754	20010824 <--
US 7115651	B2	20061003		
EP 1315732	A2	20030604	EP 2001-966236	20010824 <--
EP 1315732	B1	20060607		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 328888	T	20060615	AT 2001-966236	20010824 <--

PRIORITY APPLN. INFO.:

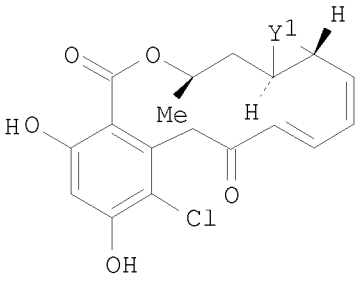
US 2000-228277P	P	20000825
US 2001-304553P	P	20010711
US 2001-938754	A	20010824
WO 2001-US26577	W	20010824

OTHER SOURCE(S): MARPAT 136:216593

GI



I



II

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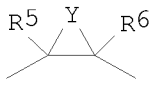


AB The title compds. I (R1, R3 = H, halo, aliphatic, aryl, heteroaliph., heteroaryl, alkylaryl, alkylheteroaryl, NRA, RA = H, protecting group, aliphatic, heteroaliph., aryl, heteroaryl, alkylaryl, alkylheteroaryl; R2, R4 = H, halo, cyano, ORB, SRB, NRB2, CORB, NRBCORB, CO2RB, CONRB2, OCO2RB, aliphatic, heteroaliph., aryl, heteroaryl, alkylaryl, alkylheteroaryl, RB = H, protecting group, aliphatic, heteroaliph., aryl, heteroaryl, alkylaryl, alkylheteroaryl; Z = O, S, NRE, RE = H, protecting group, aliphatic, heteroaliph., aryl, heteroaryl, alkylaryl, alkylheteroaryl, etc.; X = O, S, NRG, RG = H alkyl; A-B = Q, Y = CH2, O, NH, substituted N; CHR5CHR6, CR5:CR6, R5, R6 = H, halo, cyano, aliphatic, heteroaliph., aryl, heteroaryl, alkylaryl, alkylheteroaryl, etc.; D-E = CHR8-CH9, CR8:CR9, R8, R9 = H, alkyl; G-J = CHR10-CH11, CR10=C11, C10, C11 = H, alkyl; KL = CO, C=S, Et, C=CH, CHNH2, etc.) and their derivs. were prepared as therapeutic agents. I represents compds. selected from a group consisting of radicicol, monocillin and their analogs. Thus, radicicol (II, Y1 = O) and

cyclopropyl-radicicol (II, Y1 = CH2) were prepared in a multistep synthesis starting from Me (R)-3-hydroxybutyrate. II and its derivs. were tested for antitumor activity against MCF7 and BT474 cells.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 54 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:368935 HCAPLUS

DOCUMENT NUMBER: 136:385973

TITLE: Synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug resistant phenotype

INVENTOR(S): **Danishefsky, Samuel J.**; Stachel, Shawn J.; Lee, Chul Bom; Chappell, Mark D.; Chou, Ting-chao; Wu, Zhicai

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 125 pp., Cont.-in-part of U.S. Ser. No. 257,072.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

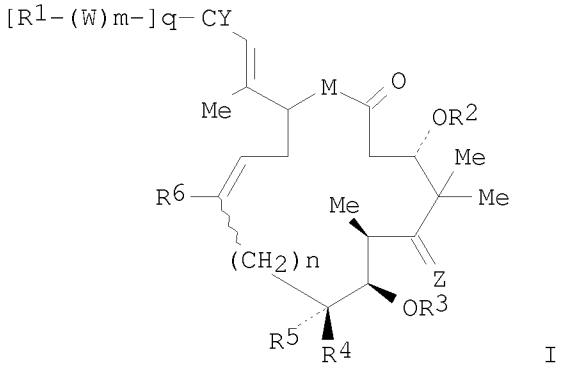
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
US 20020058286	A1	20020516	US 2001-797027		20010301	<--
US 6204388	B1	20010320	US 1999-257072		19990224	<--
PRIORITY APPLN. INFO.:			US 1999-257072	A2	19990224	
			US 1996-32282P	P	19961203	
			US 1997-33767P	P	19970114	
			US 1997-47566P	P	19970522	
			US 1997-47941P	P	19970529	
			US 1997-55533P	P	19970813	
			US 1997-986025	A2	19971203	
			US 1998-75947P	P	19980225	
			US 1998-92319P	P	19980709	
			US 1998-97733P	P	19980824	

OTHER SOURCE(S): MARPAT 136:385973

GI



I

AB The present invention provides convergent processes for preparing epothilones, desoxyepothilones, and analogs, e.g., I [M = NH, O; CY =

aryl, heteroaryl; q = 1-5; W = absent, NH, CO, CS, O, S, C(V)2; V = H, halogen, OH, SH, amino, (un)substituted alkyl, heteroalkyl, aryl, heteroaryl; m = 1-5; W-R1 = single bond, double bond; R1 = H, OR, SR, NR2; CO2R, COR, CONHR, N3, N2, N2R; halogen, un(substituted) cyclic or acyclic aliphatic, heteroaliph., aryl or heteroaryl, polymer, carbohydrate; R = H, un(substituted) cyclic or acyclic aliphatic, heteroaliph., aryl or heteroaryl, protecting group; R2, R3 = H, un(substituted) aliphatic, heteroaliph., aryl, heteroaryl, acyl, aroyl, benzoyl; R4, R5 = H, un(substituted) cyclic or acyclic aliphatic, heteroaliph., aryl or heteroaryl, optionally substituted by one or more of OH, alkoxy, carboxy, carboxaldehyde, N-alkoxyimino, N-alkoxyimino; R6 = H, OR, SR, NR2; CO2R, COR, CONHR, N3, N2, N2R, cyclic acetal, halogen, un(substituted) cyclic or acyclic aliphatic, aryl, heteroaryl; Z = O, N(ORE), NNRFRG; RE, RF, RG = un(substituted) cyclic or acyclic aliphatic; n = 0-3], for the treatment of cancer. Biol. activities of novel compds. based on I and methods for the treatment of cancer and cancer which has developed a multi-drug phenotype are presented. Thus, desoxyepothilone B and desoxyepothilone F were active vs leukemia CCRF-CEM cells (IC50 = 0.095 μM; IC50 = 0.027 μM, resp.).

L6 ANSWER 55 OF 108 MEDLINE on STN DUPLICATE 25
ACCESSION NUMBER: 2002624656 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12359877
TITLE: On the power of chemical synthesis: immunological evaluation of models for multiantigenic carbohydrate-based cancer vaccines.
AUTHOR: Ragupathi Govindaswami; Coltart Don M; Williams Lawrence J; Koide Fusataka; Kagan Ella; Allen Jennifer; Harris Christina; Glunz Peter W; Livingston Philip O; **Danishefsky Samuel J**
CORPORATE SOURCE: Laboratory of Tumor Vaccinology, Clinical Immunology Service, Department of Medicine, Memorial Sloan-Kettering Cancer Center, New York, NY 10021, USA.. ragupatg@mskcc.org
CONTRACT NUMBER: F32 CA 79120 (United States NCI NIH HHS)
GM 19578 (United States NIGMS NIH HHS)
SOURCE: Proceedings of the National Academy of Sciences of the United States of America, **(2002 Oct 15)** Vol. 99, No. 21, pp. 13699-704. Electronic Publication: 2002-10-01.
Journal code: 7505876. ISSN: 0027-8424.
Report No.: NLM-PMC129747.
PUB. COUNTRY: United States
DOCUMENT TYPE: (EVALUATION STUDIES)
Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200212
ENTRY DATE: Entered STN: 17 Oct 2002
Last Updated on STN: 5 Jan 2003
Entered Medline: 4 Dec 2002

AB Synthetic carbohydrate cancer vaccines have been shown to stimulate antibody-based immune responses in both preclinical and clinical settings. The antibodies have been observed to react in vitro with the corresponding natural carbohydrate antigens expressed on the surface of tumor cells, and are able to mediate complement-dependent and/or antibody-dependent cell-mediated cytotoxicity. Furthermore, these vaccines have proven to be safe when administered to cancer patients. Until recently, only monovalent antigen constructs had been prepared and evaluated. Advances in total synthesis have now enabled the preparation of multivalent vaccine constructs, which contain several different tumor-associated carbohydrate antigens. Such constructs could, in principle, serve as superior mimics

of cell surface antigens and, hence, as potent cancer vaccines. Here we report preclinical ELISA-based evaluation of a TF-Le(y)-Tn bearing construct (compound 3) with native mucin glycopeptide architecture and a Globo-H-Le(y)-Tn glycopeptide (compound 4) with a nonnative structure. Mice were immunized with one or the other of these constructs as free glycopeptides or as keyhole lymphet hemocyanin conjugates. Either QS-21 or the related GPI-0100 were coadministered as adjuvants. Both keyhole lymphet hemocyanin conjugates induced IgM and IgG antibodies against each carbohydrate antigen, however, the mucin-based TF-Le(y)-Tn construct was shown to be less antigenic than the unnatural Globo-H-Le(y)-Tn construct. The adjuvants, although related, proved significantly different, in that GPI-0100 consistently induced higher titers of antibodies than QS-21. The presence of multiple glycans in these constructs did not appear to suppress the response against any of the constituent antigens. Compound 4, the more antigenic of the two constructs, was also examined by fluorescence activated cell sorter analysis. Significantly, from these studies it was shown that antibodies stimulated in response to compound 4 reacted with tumor cells known to selectively express the individual antigens. The results demonstrate that single vaccine constructs bearing several different carbohydrate antigens have the potential to stimulate a multifaceted immune response.

L6 ANSWER 56 OF 108 MEDLINE on STN DUPLICATE 26
ACCESSION NUMBER: 2002445525 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12175243
TITLE: Principles of mucin architecture: structural studies on synthetic glycopeptides bearing clustered mono-, di-, tri-, and hexasaccharide glycodomains.
AUTHOR: Coltart Don M; Royyuru Ajay K; Williams Lawrence J; Glunz Peter W; Sames Dalibor; Kuduk Scott D; Schwarz Jacob B; Chen Xiao-Tao; **Danishefsky Samuel J**; Live David H
CORPORATE SOURCE: Department of Biochemistry, Molecular Biology and Biophysics, University of Minnesota Medical School, Minneapolis, Minnesota 55455, USA.
CONTRACT NUMBER: AI-16943 (United States NIAID NIH HHS)
CA-28824 (United States NCI NIH HHS)
F3218804 (United States PHS HHS)
F32CA79120 (United States NCI NIH HHS)
SOURCE: Journal of the American Chemical Society, **(2002 Aug 21)** Vol. 124, No. 33, pp. 9833-44.
Journal code: 7503056. ISSN: 0002-7863.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, NON-P.H.S.)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200210
ENTRY DATE: Entered STN: 4 Sep 2002
Last Updated on STN: 23 Oct 2002
Entered Medline: 22 Oct 2002
AB The structural characteristics of a mucin glycopeptide motif derived from the N-terminal fragment STTAV of the cell surface glycoprotein CD43 have been investigated by NMR. In this study, a series of molecules prepared by total synthesis were examined, consisting of the peptide itself, three glycopeptides having clustered sites of alpha-O-glycosylation on the serine and threonine side chains with the Tn, TF, and STF carbohydrate antigens, respectively, and one with the beta-O-linked TF antigen. Additionally, a glycopeptide having the sequence SSSAVAV, triglycosylated with the Le(y) epitope, was investigated. NMR data for the tri-STF-STTAV glycopeptide were used to solve the structure of this construct through

restrained molecular dynamics calculations. The calculations revealed a defined conformation for the glycopeptide core rooted in the interaction of the peptide and the first N-acetylgalactosamine residue. The similarity of the NMR data for each of the alpha-O-linked glycopeptides demonstrates that this structure persists for each construct and that the mode of attachment of the first sugar and the peptide is paramount in establishing the organization of the core. The core provides a common framework on which a variety of glycans may be displayed. Remarkably, while there is a profound organizational effect on the peptide backbone with the alpha-linked glycans, attachment via a beta-linkage has little apparent consequence.

L6 ANSWER 57 OF 108 MEDLINE on STN DUPLICATE 27
ACCESSION NUMBER: 2002445524 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12175242
TITLE: Highly concise routes to epothilones: the total synthesis and evaluation of epothilone 490.
AUTHOR: Biswas Kaustav; Lin Hong; Njardarson Jon T; Chappell Mark D; Chou Ting-Chao; Guan Yongbiao; Tong William P; He Lifeng; Horwitz Susan B; **Danishefsky Samuel J**
CORPORATE SOURCE: Bioorganic Chemistry, Preclinical Pharmacology Core Facility and Analytical Pharmacology Core Facility, Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, New York 10021, USA.
CONTRACT NUMBER: 1 F32 GM19972-01 (United States NIGMS NIH HHS)
CA-02848 (United States NCI NIH HHS)
CA-28824 (United States NCI NIH HHS)
T32-CA62948 (United States NCI NIH HHS)
SOURCE: Journal of the American Chemical Society, **(2002 Aug 21)** Vol. 124, No. 33, pp. 9825-32.
Journal code: 7503056. ISSN: 0002-7863.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, NON-P.H.S.)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200210
ENTRY DATE: Entered STN: 4 Sep 2002
Last Updated on STN: 23 Oct 2002
Entered Medline: 22 Oct 2002

AB A concise modular laboratory construction of the epothilone class of promising antitumor agents has been accomplished. For the first time in the epothilone area, the new synthesis exploits the power of ring-closing olefin metathesis (RCM) in a stereospecific way. Previous attempts at applying RCM to epothilone syntheses have been repeatedly plagued by complete lack of stereocontrol in the generation of the desired 12,13-olefin geometry in the products. The isolation of epothilone 490 (3) prompted us to reevaluate the utility of the RCM procedure for fashioning the 10,11-olefin, with the Z-12,13-olefin geometry already in place. Olefin metathesis of the triene substrate 12 afforded the product diene macrolide in stereoselective fashion. For purposes of greater synthetic convergency, the C3-(S)-alcohol was fashioned late in the synthesis, using chiral titanium-mediated aldol conditions with the entire O-alkyl fragment as a C15 acetate as the enolate component. Examination of the effects of protecting groups on the RCM process showed that deprotection of the C7 alcohol has a beneficial effect on the reaction yield. Performing the RCM as the last synthetic step in the sequence afforded a 64% yield of only the desired E-olefin. Selective diimide reduction of the new 10,11-olefin yielded 12,13-desoxyepothilone B, our current clinical candidate, demonstrating the utility of this new

RCM-reduction protocol in efficiently generating the epothilone framework. Furthermore, the new olefin was selectively funtionalized to demonstrate the advantage conferred by this route for the construction of new analogues for SAR studies, in cytoxicity and microtubule affinity screens. Also described is the surprisingly poor in vivo performance of epothilone 490 in xenografts in the light of very promising in vitro data. This disappointing outcome was traced to unfavorable pharmacokinetic features of the drug in murine plasma. By the pharmacokinetic criteria, the prognosis for the effectiveness of 3 in humans is, in principle, much more promising.

L6 ANSWER 58 OF 108 MEDLINE on STN DUPLICATE 28
ACCESSION NUMBER: 2002445523 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12175241
TITLE: Explorations in organic chemistry leading to the total synthesis of (+/-)-gelsemine.
AUTHOR: Ng Fay W; Lin Hong; Chiu Pauline; **Danishefsky Samuel J**
CORPORATE SOURCE: Department of Chemistry, Columbia University, Havemeyer Hall, 3000 Broadway, New York, New York 10027, USA.
CONTRACT NUMBER: CA08748 (United States NCI NIH HHS)
HL25848 (United States NHLBI NIH HHS)
T32-CA62948 (United States NCI NIH HHS)
SOURCE: Journal of the American Chemical Society, **(2002 Aug 21)** Vol. 124, No. 33, pp. 9812-24.
Journal code: 7503056. ISSN: 0002-7863.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200210
ENTRY DATE: Entered STN: 4 Sep 2002
Last Updated on STN: 23 Oct 2002
Entered Medline: 22 Oct 2002
AB The total synthesis of (+/-)-gelsemine (1) is described. A defining phase of the effort involved recourse to a strategic oxetane ring (see compound 25). It was constructed anticipating an intramolecular displacement of the carbon (C17)-oxygen (O4) bond (see product 48). A key intermediate in the stereospecific elaboration of the oxetane linkage was enone 22, which was susceptible to two beta-face attacks leading to 24 and, thence, 25. Three sigmatropic rearrangements were employed in building the bridgehead (C20) and the spiroanilide (C7) quaternary centers en route to gelsemine.

L6 ANSWER 59 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN DUPLICATE 29
ACCESSION NUMBER: 2003:54453 BIOSIS
DOCUMENT NUMBER: PREV200300054453
TITLE: Synthesis of the macrolide core of migrastatin.
AUTHOR(S): Gaul, Christoph; **Danishefsky, Samuel J.** [Reprint Author]
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, NY, 10021, USA
s-danishefsky@ski.mskcc.org
SOURCE: Tetrahedron Letters, (**9 December 2002**) Vol. 43, No. 50, pp. 9039-9042. print.
CODEN: TELEAY. ISSN: 0040-4039.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 22 Jan 2003

Last Updated on STN: 22 Jan 2003

AB A concise and efficient synthesis of the macrolactone core of migrastatin, a new natural product with potent anticancer properties, has been achieved. The key features of our synthetic strategy encompass a Lewis acid catalyzed diene aldehyde condensation (LACDAC) to install the three contiguous stereocenters and the trisubstituted (Z)-double bond of migrastatin, and a (E)-selective ring-closing metathesis (RCM) to construct the macrocycle.

L6 ANSWER 60 OF 108 MEDLINE on STN DUPLICATE 30
ACCESSION NUMBER: 2002662513 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12398497
TITLE: Total syntheses of [17]- and [18]dehydrodesoxyepothilones B via a concise ring-closing metathesis-based strategy: correlation of ring size with biological activity in the epothilone series.
AUTHOR: Rivkin Alexey; Njardarson Jon T; Biswas Kaustav; Chou Ting-Chao; **Danishefsky Samuel J**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Preclinical Pharmacology Core Facility and Analytical Pharmacology Core Facility, Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, New York 10021, USA.
CONTRACT NUMBER: CA-08748 (United States NCI NIH HHS)
CA-28824 (United States NCI NIH HHS)
T32-CA62948 (United States NCI NIH HHS)
SOURCE: The Journal of organic chemistry, **(2002 Nov 1)** Vol. 67, No. 22, pp. 7737-40.
Journal code: 2985193R. ISSN: 0022-3263.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, NON-P.H.S.)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200405
ENTRY DATE: Entered STN: 9 Nov 2002
Last Updated on STN: 12 Dec 2002
Entered Medline: 12 May 2004

AB A convergent ring-closing metathesis strategy has been employed for the highly concise syntheses of 10,11-dehydro-13,14-[17]desoxyepothilone B ([17]ddEpoB) and 10,11-dehydro-14,15-[18]desoxyepothilone B ([18]ddEpoB), which are 17- and 18-membered ring homologues of 10,11-dehydro-12,13-desoxyepothilone B ([16]ddEpoB or epothilone 490). We have demonstrated that the ring-closing metathesis (RCM) provides [17]ddEpoB or [18]ddEpoB with a high level of stereocontrol in the generation of the desired olefin in the products. These analogues were evaluated for antitumor activity. The results from the in vitro assays revealed that the [17]ddEpoB analogue is highly active against various tumor cell lines with a potency comparable to that of [16]ddEpoB. This is the first example of a 17-membered ring macrolactone epothilone that has retained its antitumor activity. In contrast, the biological data revealed that [18]ddEpoB is significantly less active than either [17]ddEpoB or the parent [16]ddEpoB.

L6 ANSWER 61 OF 108 MEDLINE on STN DUPLICATE 31
ACCESSION NUMBER: 2002662512 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12398496
TITLE: Probing the SAR of dEpoB via chemical synthesis: a total synthesis evaluation of C26-(1,3-dioxolanyl)-12,13-desoxyepothilone B.
AUTHOR: Chappell Mark D; Harris Christina R; Kuduk Scott D; Balog

Aaron; Wu Zhicai; Zhang Fei; Lee Chul Bom; Stachel Shawn J; **Danishefsky Samuel J**; Chou Ting-Chao; Guan Yongbiao

CORPORATE SOURCE: Laboratories for Bioorganic Chemistry and Laboratories for Preclinical Pharmacology, The Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, New York 10021, USA.

CONTRACT NUMBER: 1 F32 GM19972-01 (United States NIGMS NIH HHS)
CA-08748 (United States NCI NIH HHS)
CA-28824 (United States NCI NIH HHS)
CA-GM 72231 (United States NCI NIH HHS)
F32 CA81704 (United States NCI NIH HHS)

SOURCE: The Journal of organic chemistry, **(2002 Nov 1)** Vol. 67, No. 22, pp. 7730-6.
Journal code: 2985193R. ISSN: 0022-3263.

PUB. COUNTRY: United States

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, NON-P.H.S.)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 200405

ENTRY DATE: Entered STN: 9 Nov 2002
Last Updated on STN: 12 Dec 2002
Entered Medline: 12 May 2004

AB A practical total synthesis of 26-(1,3-dioxolanyl)-12,13-desoxyepothilone B (26-dioxolanyl dEpoB) was accomplished in a highly convergent manner. A novel sequence was developed to produce the vinyl iodide segment 17 in high enantiomeric excess, which was used in a key B-alkyl Suzuki merger. Subsequently, a Yamaguchi macrocyclization formed the core lactone, while a selective oxidation and a late stage Noyori acetalization incorporated the dioxolane functionality. Sufficient amounts of synthetic 26-dioxolane dEpoB were produced using this sequence for an in vivo analysis in mice containing xenograft CCRF-CEM tumors.

L6 ANSWER 62 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN DUPLICATE 32

ACCESSION NUMBER: 2002:582619 BIOSIS

DOCUMENT NUMBER: PREV200200582619

TITLE: Reducing oligosaccharides via glycal assembly: On the remarkable stability of anomeric hydroxyl groups to global deprotection with sodium in liquid ammonia.

AUTHOR(S): Iserloh, Ulrich; Dudkin, Vadim; Wang, Zhi-Guang; **Danishefsky, Samuel J.** [Reprint author]

CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, NY, 10021, USA

SOURCE: Tetrahedron Letters, (**23 September, 2002**) Vol. 43, No. 39, pp. 7027-7030. print.
CODEN: TELEAY. ISSN: 0040-4039.

DOCUMENT TYPE: Article

LANGUAGE: English

ENTRY DATE: Entered STN: 13 Nov 2002
Last Updated on STN: 13 Nov 2002

AB Several partially benzylated 1-hydroxy sugars were rapidly deprotected by sodium/liquid ammonia. The terminal hemiketal linkage of the substrates remained intact under these conditions and does not generate ring-opened alditols. Peracetylated glucose and glucosamine derivatives were obtained in 64-79% isolated yields.

L6 ANSWER 63 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN DUPLICATE 33

ACCESSION NUMBER: 2002:522696 BIOSIS
DOCUMENT NUMBER: PREV200200522696
TITLE: Construction of carbohydrate-based antitumor vaccines: Synthesis of glycosyl amino acids by olefin cross-metathesis.
AUTHOR(S): Biswas, Kaustav; Coltart, Don M.; **Danishefsky, Samuel J.** [Reprint author]
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, NY, 10021, USA
s-danishefsky@ski.mskcc.org
SOURCE: Tetrahedron Letters, (**26 August, 2002**) Vol. 43, No. 35, pp. 6107-6110. print.
CODEN: TELEAY. ISSN: 0040-4039.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 9 Oct 2002
Last Updated on STN: 9 Oct 2002

AB The synthesis of biologically relevant glycosyl amino acids from corresponding O-allyl glycosides is described. The procedure involves a cross-metathesis reaction with Fmoc-L-allylglycine benzyl ester, followed by reduction of the resulting olefin via catalytic hydrogenation, with the concomitant release of the free acid. This method has also been applied to the breast and prostate cancer antigen Globo-H, to afford a hexasaccharide glycosyl amino acid that has been previously incorporated in a polyvalent antitumor vaccine.

L6 ANSWER 64 OF 108 EMBASE DUPLICATE 34
ACCESSION NUMBER: 2002261969 EMBASE
TITLE: On the use of deuterium isotope effects in chemical synthesis.
AUTHOR: Dudley, Gregory B; **Danishefsky, Samuel J (correspondence)**; Sukenick, George
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, 1275 York Ave, New York, NY 10021, United States. s-danishefsky@ski.mskcc.org
AUTHOR: **Danishefsky, Samuel J (correspondence)**
CORPORATE SOURCE: Department of Chemistry, Columbia University, Havemeyer Hall, 3000 Broadway, New York, NY 10027, United States.
s-danishefsky@ski.mskcc.org
AUTHOR: **Danishefsky, Samuel J (correspondence)**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Inst. Cancer Res., 1275 York Ave, New York, NY 10021, United States. s-danishefsky@ski.mskcc.org
SOURCE: Tetrahedron Letters, (5 Aug 2002) Vol. 43, No. 32, pp. 5605-5606.
Refs: 24
ISSN: 0040-4039 CODEN: TELEAY
PUBLISHER IDENT.: S 0040-4039(02)01114-0
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 029 Clinical and Experimental Biochemistry
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: Sep 2007
Last Updated on STN: Sep 2007

AB The decreased kinetic acidity of deuterium relative to hydrogen can be used to gain an advantage in the reductive cyclization of an alkenyllithium species onto a ketone. The intermediate alkenyllithium can add to the carbonyl or abstract an α-proton, giving rise to two products. The yield of the cyclized product can be increased, and the

formation of the uncyclized by-product can be suppressed, by replacing the acidic protons with deuterons prior to cyclization. .COPYRGT. 2002 Elsevier Science Ltd. All rights reserved.

L6 ANSWER 65 OF 108 MEDLINE on STN DUPLICATE 35
ACCESSION NUMBER: 2002664406 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12423091
TITLE: On the introduction of a trifluoromethyl substituent in the epothilone setting: chemical issues related to ring forming olefin metathesis and earliest biological findings.
AUTHOR: Rivkin Alexey; Biswas Kaustav; Chou Ting-Chao; **Danishefsky Samuel J**
CORPORATE SOURCE: Laboratories for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, NY 10021, USA.
CONTRACT NUMBER: CA 08748 (United States NCI NIH HHS)
CA 28824 (United States NCI NIH HHS)
T32 CA 62948 (United States NCI NIH HHS)
SOURCE: Organic letters, **(2002 Nov 14)** Vol. 4, No. 23, pp. 4081-4.
Journal code: 100890393. ISSN: 1523-7060.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, U.S. GOV'T, NON-P.H.S.)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200212
ENTRY DATE: Entered STN: 9 Nov 2002
Last Updated on STN: 27 Dec 2002
Entered Medline: 26 Dec 2002
AB The disclosure herein describes the synthesis of 10,11-dehydro-13,14-desoxy-27-trifluoro-[17]epothilone B via a stereoselective ring-closing metathesis and provides early biological evaluation data pertinent to this compound. [reaction: see text]

L6 ANSWER 66 OF 108 MEDLINE on STN DUPLICATE 36
ACCESSION NUMBER: 2002443635 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12203322
TITLE: The origin of endo stereoselectivity in the hetero-Diels-Alder reactions of aldehydes with ortho-xylylenes: CH-pi, pi-pi, and steric effects on stereoselectivity.
AUTHOR: Ujaque Gregori; Lee Patrick S; Houk K N; Hentemann Martin F; **Danishefsky Samuel J**
CORPORATE SOURCE: Department of Chemistry and Biochemistry University of California, Los Angeles CA 90095-1569 USA.
SOURCE: Chemistry (Weinheim an der Bergstrasse, Germany), **(2002 Aug 2)** Vol. 8, No. 15, pp. 3423-30.
Journal code: 9513783. ISSN: 0947-6539.
PUB. COUNTRY: Germany: Germany, Federal Republic of
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200209
ENTRY DATE: Entered STN: 31 Aug 2002
Last Updated on STN: 28 Sep 2002
Entered Medline: 27 Sep 2002
AB Theoretical studies of stereoselectivity have been carried out with B3LYP and MP2 calculations. The high endo selectivity of hetero-Diels-Alder

reactions of ortho-xylylenes with acetaldehydes is shown to result from attractive CH-pi interactions between alkyl groups of the aldehyde and the aromatic ring in the transition states of the reaction. For the hetero-Diels-Alder reactions of ortho-xylylenes with benzaldehyde, the stereoselectivity is shown to be mainly governed by the attractive pi-pi interactions between the phenyl rings of the benzaldehyde and the ortho-xylylene. MP2 calculations are necessary to reproduce the stabilizing dispersion interactions.

L6 ANSWER 67 OF 108 SCISEARCH COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2002:769692 SCISEARCH
THE GENUINE ARTICLE: 592DG
TITLE: Evaluation of diene hierarchies Diels-Alder reactions en route to xestocyclamine A: Elaboration of an ansa bridge by B-alkyl Suzuki macrocylization (vol 41, PG 1581, 2002)
AUTHOR: Gagnon A (Reprint); **Danishefsky S J**
CORPORATE SOURCE: Univ Zimbabwe, Fac Vet Sci, Harare, Zimbabwe
SOURCE: ANGEWANDTE CHEMIE-INTERNATIONAL EDITION, (**2002**) Vol. 41, No. 17, pp. 3085-3085. ISSN: 1433-7851.
PUBLISHER: WILEY-V C H VERLAG GMBH, PO BOX 10 11 61, D-69451 WEINHEIM, GERMANY.
DOCUMENT TYPE: Errata; Journal
LANGUAGE: English
REFERENCE COUNT: 1
ENTRY DATE: Entered STN: 11 Oct 2002
Last Updated on STN: 11 Oct 2002

L6 ANSWER 68 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:711775 HCAPLUS
TITLE: Issue 9, 2002, pp. 1581 - 1584
AUTHOR(S): Gagnon, A.; **Danishefsky, S. J.**
SOURCE: Angewandte Chemie, International Edition (**2002**), 41(17), 3085
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal; Errata
LANGUAGE: English
AB Unavailable

L6 ANSWER 69 OF 108 MEDLINE on STN DUPLICATE 37
ACCESSION NUMBER: 2002717430 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12478733
TITLE: Application of hitherto unexplored macrocyclization strategies in the epothilone series: novel epothilone analogs by total synthesis.
AUTHOR: Njardarson Jon T; Biswas Kaustav; **Danishefsky Samuel J**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, New York, NY 10021, USA.
CONTRACT NUMBER: CA-02848 (United States NCI NIH HHS)
CA-28824 (United States NCI NIH HHS)
SOURCE: Chemical communications (Cambridge, England), **(2002 Dec 7)** No. 23, pp. 2759-61.
Journal code: 9610838. ISSN: 1359-7345.
PUB. COUNTRY: England: United Kingdom
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, NON-P.H.S.)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English

FILE SEGMENT: Priority Journals
ENTRY MONTH: 200308
ENTRY DATE: Entered STN: 18 Dec 2002
Last Updated on STN: 26 Aug 2003
Entered Medline: 25 Aug 2003

AB A total synthesis of Epothilone 490 and a synthesis of 11-hydroxy dEpoB utilizing a vinyl-boronate cross-metathesis followed by a Suzuki macrocyclization. A mild route to reach aldehydes from terminal olefins, anticipating Nozaki-Kishi macrocyclization is described.

L6 ANSWER 70 OF 108 SCISEARCH COPYRIGHT (c) 2009 The Thomson Corporation on STN DUPLICATE 38
ACCESSION NUMBER: 2002:519323 SCISEARCH
THE GENUINE ARTICLE: 565UB
TITLE: A stereoselective route to guanacastepene A through a surprising epoxidation
AUTHOR: **Danishefsky S J (Reprint)**
CORPORATE SOURCE: Sloan Kettering Inst Canc Res, Bioorgan Chem Lab, 1275 York Ave, New York, NY 10021 USA (Reprint)
AUTHOR: Lin S N; Dudley G B; Tan D S
CORPORATE SOURCE: Sloan Kettering Inst Canc Res, Bioorgan Chem Lab, New York, NY 10021 USA; Columbia Univ, Dept Chem, New York, NY 10027 USA
COUNTRY OF AUTHOR: USA
SOURCE: ANGEWANDTE CHEMIE-INTERNATIONAL EDITION, (**2002**) Vol. 41, No. 12, pp. 2188-2191.
ISSN: 1433-7851.
PUBLISHER: WILEY-V C H VERLAG GMBH, PO BOX 10 11 61, D-69451 WEINHEIM, GERMANY.
DOCUMENT TYPE: Article; Journal
LANGUAGE: English
REFERENCE COUNT: 30
ENTRY DATE: Entered STN: 12 Jul 2002
Last Updated on STN: 12 Jul 2002

L6 ANSWER 71 OF 108 SCISEARCH COPYRIGHT (c) 2009 The Thomson Corporation on STN DUPLICATE 39
ACCESSION NUMBER: 2002:519322 SCISEARCH
THE GENUINE ARTICLE: 565UB
TITLE: Synthesis of the functionalized tricyclic skeleton of guanacastepene A: A tandem epoxide-opening beta-elimination/knoevenagel cyclization
AUTHOR: **Danishefsky S J (Reprint)**
CORPORATE SOURCE: Sloan Kettering Inst Canc Res, Bioorgan Chem Lab, 1275 York Ave, New York, NY 10021 USA (Reprint)
AUTHOR: Tan D S; Dudley G B
CORPORATE SOURCE: Sloan Kettering Inst Canc Res, Bioorgan Chem Lab, New York, NY 10021 USA; Columbia Univ, Dept Chem, New York, NY 10027 USA
COUNTRY OF AUTHOR: USA
SOURCE: ANGEWANDTE CHEMIE-INTERNATIONAL EDITION, (**2002**) Vol. 41, No. 12, pp. 2185-2188.
ISSN: 1433-7851.
PUBLISHER: WILEY-V C H VERLAG GMBH, PO BOX 10 11 61, D-69451 WEINHEIM, GERMANY.
DOCUMENT TYPE: Article; Journal
LANGUAGE: English
REFERENCE COUNT: 42
ENTRY DATE: Entered STN: 12 Jul 2002
Last Updated on STN: 12 Jul 2002

L6 ANSWER 72 OF 108 MEDLINE on STN DUPLICATE 40

ACCESSION NUMBER: 2002146630 MEDLINE
DOCUMENT NUMBER: PubMed ID: 11878938
TITLE: The total synthesis of (+/-)-merrilactone A.
AUTHOR: Birman Vladimir B; **Danishefsky Samuel J**
CORPORATE SOURCE: Department of Chemistry, Columbia University, Havemeyer Hall, New York, New York 10027, USA.
CONTRACT NUMBER: 1F32 NS41726-01 (United States NINDS NIH HHS)
HL25848 (United States NHLBI NIH HHS)
SOURCE: Journal of the American Chemical Society, **(2002 Mar 13)** Vol. 124, No. 10, pp. 2080-1.
Journal code: 7503056. ISSN: 0002-7863.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200207
ENTRY DATE: Entered STN: 7 Mar 2002
Last Updated on STN: 2 Jul 2002
Entered Medline: 1 Jul 2002

AB The total synthesis of the title compound has been accomplished in 20 steps. The key step is a free radical cyclization of vinyl bromide 29 to afford 30. The synthesis also features an efficient Dielsminus signAlder reaction of 2,3-dimethylmaleic anhydride with 1-(tert-butyldimethylsiloxy)-butadiene. The oxetane moiety of merrilactone A is fashioned via a Payne-like rearrangement of a hydroxyepoxide (see 2 right arrow 1).

L6 ANSWER 73 OF 108 SCISEARCH COPYRIGHT (c) 2009 The Thomson Corporation on STN DUPLICATE 41
ACCESSION NUMBER: 2002:408870 SCISEARCH
THE GENUINE ARTICLE: 551NZ
TITLE: Evaluation of diene hierarchies Diels-Alder reactions en route to xestocyclamine A: Elaboration of an ansa bridge by B-alkyl Suzuki macrocyclization
AUTHOR: **Danishefsky S J (Reprint)**
CORPORATE SOURCE: Mem Sloan Kettering Canc Ctr, Bioorgan Chem Lab, 1275 York Ave, Box 106, New York, NY 10021 USA (Reprint)
AUTHOR: Gagnon A
CORPORATE SOURCE: Mem Sloan Kettering Canc Ctr, Bioorgan Chem Lab, New York, NY 10021 USA; Columbia Univ, Dept Chem, New York, NY 10027 USA
COUNTRY OF AUTHOR: USA
SOURCE: ANGEWANDTE CHEMIE-INTERNATIONAL EDITION, (**2002**) Vol. 41, No. 9, pp. 1581-1584.
ISSN: 1433-7851.
PUBLISHER: WILEY-V C H VERLAG GMBH, PO BOX 10 11 61, D-69451 WEINHEIM, GERMANY.
DOCUMENT TYPE: Article; Journal
LANGUAGE: English
REFERENCE COUNT: 56
ENTRY DATE: Entered STN: 31 May 2002
Last Updated on STN: 31 May 2002

L6 ANSWER 74 OF 108 SCISEARCH COPYRIGHT (c) 2009 The Thomson Corporation on STN DUPLICATE 42
ACCESSION NUMBER: 2002:350835 SCISEARCH
THE GENUINE ARTICLE: 543HL
TITLE: An efficient stereoselective total synthesis of DL-sesquicillin, a glucocorticoid antagonist
AUTHOR: **Danishefsky S J (Reprint)**
CORPORATE SOURCE: Sloan Kettering Inst Canc Res, Bioorgan Chem Lab, 1275

York Ave, Box 106, New York, NY 10021 USA (Reprint)
AUTHOR: Zhang F
CORPORATE SOURCE: Sloan Kettering Inst Canc Res, Bioorgan Chem Lab, New York, NY 10021 USA; Columbia Univ, Dept Chem, New York, NY 10027 USA
COUNTRY OF AUTHOR: USA
SOURCE: ANGEWANDTE CHEMIE-INTERNATIONAL EDITION, (**2002**) Vol. 41, No. 8, pp. 1434-1437. ISSN: 1433-7851.
PUBLISHER: WILEY-V C H VERLAG GMBH, PO BOX 10 11 61, D-69451 WEINHEIM, GERMANY.
DOCUMENT TYPE: Article; Journal
LANGUAGE: English
REFERENCE COUNT: 36
ENTRY DATE: Entered STN: 10 May 2002
Last Updated on STN: 10 May 2002

L6 ANSWER 75 OF 108 MEDLINE on STN DUPLICATE 43
ACCESSION NUMBER: 2002076983 MEDLINE
DOCUMENT NUMBER: PubMed ID: 11803062
TITLE: Comparison of antibody titers after immunization with monovalent or tetravalent KLH conjugate vaccines.
AUTHOR: Ragupathi Govindaswami; Cappello Sarah; Yi San San; Canter Dan; Spassova Maria; Bornmann William G; **Danishefsky Samuel J**; Livingston Philip O
CORPORATE SOURCE: Laboratory of Tumor Vaccinology, Clinical Immunology Service, Department of Medicine, Memorial Sloan-Kettering Cancer Center, New York, NY 10021, USA.. ragupatg@mskcc.org
CONTRACT NUMBER: CA 33049 (United States NCI NIH HHS)
P01 CA 52477 (United States NCI NIH HHS)
SOURCE: Vaccine, **(2002 Jan 15)** Vol. 20, No. 7-8, pp. 1030-8.
Journal code: 8406899. ISSN: 0264-410X.
PUB. COUNTRY: England: United Kingdom
DOCUMENT TYPE: (COMPARATIVE STUDY)
Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200205
ENTRY DATE: Entered STN: 25 Jan 2002
Last Updated on STN: 30 May 2002
Entered Medline: 29 May 2002

AB Antigens such as ganglioside GD3, neutral glycolipid Lewis(y) (Le(y)) and mucins MUC1 and MUC2 are over-expressed on the cell surface of many tumors. We have shown previously that conjugation of antigens such as these to keyhole limpet hemocyanin (KLH) and the use of immunological adjuvant QS-21 is the optimal approach for inducing high titer IgM and IgG antibodies. These antibodies are able to bind with natural antigens on the tumor cell surface and mediate complement dependent cytotoxicity and/or antibody dependent cell mediated cytotoxicity. Immunization of patients with monovalent vaccines containing these and a variety of other antigens have demonstrated both the consistent immunogenicity and the safety of these vaccines. Now, in preparation for the use of polyvalent conjugate vaccines in the clinic, we have addressed for the first time with conjugate vaccines against cancer antigens several questions in the pre-clinical setting, including whether immunogenicity of the individual components is decreased in the polyvalent vaccine and issues relating to vaccine formulation and administration. We have immunized groups of mice with GD3-KLH, Le(y)-KLH, MUC1-KLH and MUC2-KLH conjugates and QS-21 separately or mixed and administered at one or four sites. High titer IgM

and IgG antibodies were induced against each of the four antigens whether administered singly in separate mice, at separate sites in the same mice, or mixed and administered at a single site or at four sites, or administered subcutaneously (s.c.) or intraperitoneally (i.p.). These antibodies reacted specifically with the respective antigens and tumor cells expressing these antigens. There was no evidence of suppression of the antibody response against any one of the antigens by the presence of the other conjugates in the vaccine. Immunogenicity of the four individual antigens conjugated to KLH and QS-21 is not affected by mixing the four together and administering them at a single subcutaneous site.

L6 ANSWER 76 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2002:409273 BIOSIS
DOCUMENT NUMBER: PREV200200409273
TITLE: Antitumor efficacy determinants of epothilones.
AUTHOR(S): Chou, Ting-Chao [Reprint author]; Guan, Yongbiao [Reprint author]; Biswas, Kaustav [Reprint author]; Chappell, Mark [Reprint author]; Lin, Hong [Reprint author]; **Danishefsky, Samuel J.** [Reprint author]
CORPORATE SOURCE: Memorial Sloan-Kettering Cancer Center, New York, NY, USA
SOURCE: Proceedings of the American Association for Cancer Research Annual Meeting, (**March, 2002**) Vol. 43, pp. 791. print.
Meeting Info.: 93rd Annual Meeting of the American Association for Cancer Research. San Francisco, California, USA. April 06-10, 2002.
ISSN: 0197-016X.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 31 Jul 2002
Last Updated on STN: 31 Jul 2002

L6 ANSWER 77 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2002:409061 BIOSIS
DOCUMENT NUMBER: PREV200200409061
TITLE: A preclinical study comparing approaches for augmenting the immunogenicity of a heptavalent KLH-conjugate vaccine against epithelial cancers.
AUTHOR(S): Ragupathi, Govindaswami [Reprint author]; Koide, Fusataka [Reprint author]; Kagan, Ella [Reprint author]; Bornmann, William [Reprint author]; Spassova, Maria [Reprint author]; **Danishefsky, Samuel** [Reprint author]; Livingston, Philip [Reprint author]
CORPORATE SOURCE: Memorial Sloan-Kettering Cancer Center, New York, NY, USA
SOURCE: Proceedings of the American Association for Cancer Research Annual Meeting, (**March, 2002**) Vol. 43, pp. 561. print.
Meeting Info.: 93rd Annual Meeting of the American Association for Cancer Research. San Francisco, California, USA. April 06-10, 2002.
ISSN: 0197-016X.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 31 Jul 2002
Last Updated on STN: 31 Jul 2002

L6 ANSWER 78 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN

ACCESSION NUMBER: 2002:409057 BIOSIS
DOCUMENT NUMBER: PREV200200409057
TITLE: Thomsen-Friedenreich cluster (TF(c))-KLH conjugate vaccine plus the immunological adjuvant QS21 in prostate cancer (PC) patients in the minimal disease state.
AUTHOR(S): Slovin, Susan F. [Reprint author]; Ragupathi, Govindaswami [Reprint author]; Fernandez, Celina [Reprint author]; Randall, Erica [Reprint author]; Diani, Meghan [Reprint author]; Verbel, David [Reprint author]; Bullock, Andrea [Reprint author]; Recaldez, Erica [Reprint author]; Schwarz, Jacob [Reprint author]; Kudak, Scott [Reprint author]; **Danishefsky, Sam** [Reprint author]; Livingston, Philip [Reprint author]; Scher, Howard [Reprint author]
CORPORATE SOURCE: Memorial Sloan-Kettering Cancer Center, New York, NY, USA
SOURCE: Proceedings of the American Association for Cancer Research Annual Meeting, (**March, 2002**) Vol. 43, pp. 560. print.
Meeting Info.: 93rd Annual Meeting of the American Association for Cancer Research. San Francisco, California, USA. April 06-10, 2002.
ISSN: 0197-016X.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 31 Jul 2002
Last Updated on STN: 23 Sep 2002

L6 ANSWER 79 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2002:409059 BIOSIS
DOCUMENT NUMBER: PREV200200409059
TITLE: Comparison of the immune response after immunization with monovalent and hexavalent-KLH conjugate vaccines against prostate cancer.
AUTHOR(S): Ragupathi, Govind [Reprint author]; Slovin, Susan F. [Reprint author]; Bhuta, Sonal [Reprint author]; Hood, Chandra [Reprint author]; Spassova, Maria [Reprint author]; Bornmann, William G. [Reprint author]; Scher, Howard I. [Reprint author]; **Danishefsky, Samuel J.** [Reprint author]; Livingston, Philip O. [Reprint author]
CORPORATE SOURCE: Memorial Sloan-Kettering, New York, NY, USA
SOURCE: Proceedings of the American Association for Cancer Research Annual Meeting, (**March, 2002**) Vol. 43, pp. 560. print.
Meeting Info.: 93rd Annual Meeting of the American Association for Cancer Research. San Francisco, California, USA. April 06-10, 2002.
ISSN: 0197-016X.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 31 Jul 2002
Last Updated on STN: 31 Jul 2002

L6 ANSWER 80 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2002:409058 BIOSIS
DOCUMENT NUMBER: PREV200200409058
TITLE: Characterization of affinity purified anti-Tn(c) and anti-TF(c) antibodies obtained from prostate cancer patients vaccinated with Tn(c)-KLH or TF(c)-KLH conjugate

vaccines.
AUTHOR(S): Koide, Fusataka [Reprint author]; Ragupathi, Govind [Reprint author]; Williams, Lawrence J. [Reprint author]; Biswas, Kaustav [Reprint author]; Slovin, Susan F. [Reprint author]; **Danishefsky, Samuel J.** [Reprint author]; Livingston, Philip O. [Reprint author]
CORPORATE SOURCE: Memorial Sloan-Kettering, New York, NY, USA
SOURCE: Proceedings of the American Association for Cancer Research Annual Meeting, (**March, 2002**) Vol. 43, pp. 560. print.
Meeting Info.: 93rd Annual Meeting of the American Association for Cancer Research. San Francisco, California, USA. April 06-10, 2002.
ISSN: 0197-016X.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 31 Jul 2002
Last Updated on STN: 31 Jul 2002

L6 ANSWER 81 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN DUPLICATE 44
ACCESSION NUMBER: 2002:180475 BIOSIS
DOCUMENT NUMBER: PREV200200180475
TITLE: The synthesis of (+-)-gelsemine.
AUTHOR(S): Lin, Hong; Ng, Fay W.; **Danishefsky, Samuel J.** [Reprint author]
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, NY, 10021, USA
SOURCE: Tetrahedron Letters, (**21 January, 2002**) Vol. 43, No. 4, pp. 549-551. print.
CODEN: TELEAY. ISSN: 0040-4039.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 6 Mar 2002
Last Updated on STN: 6 Mar 2002
AB The synthesis of (+-)-gelsemine has been completed from tetracyclic intermediate 2 via a stereospecific (3,3)-rearrangement followed by a one carbon excision to convert a delta-lactam (13) to a gamma-lactam (19).

L6 ANSWER 82 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN DUPLICATE 45
ACCESSION NUMBER: 2002:180474 BIOSIS
DOCUMENT NUMBER: PREV200200180474
TITLE: The synthesis of a key intermediate en route to gelsemine: A program based on intramolecular displacement of the carbon-oxygen bond of a strategic oxetane.
AUTHOR(S): Ng, Fay W.; Lin, Hong; Tan, Qiang; **Danishefsky, Samuel J.** [Reprint author]
CORPORATE SOURCE: Department of Chemistry, Columbia University, Havemeyer Hall, New York, NY, 10027, USA
SOURCE: Tetrahedron Letters, (**21 January, 2002**) Vol. 43, No. 4, pp. 545-548. print.
CODEN: TELEAY. ISSN: 0040-4039.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 6 Mar 2002
Last Updated on STN: 6 Mar 2002
AB The synthesis of key intermediate 30 en route to gelsemine has been accomplished from known aldehyde 10 via oxetane 19 featuring stereospecific Claisen rearrangement and Lewis acid-catalyzed oxetane ring

opening.

L6 ANSWER 83 OF 108 MEDLINE on STN DUPLICATE 46
ACCESSION NUMBER: 2002727764 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12491396
TITLE: The total synthesis of proteasome inhibitors TMC-95A and TMC-95B: discovery of a new method to generate cis-propenyl amides.
AUTHOR: Lin Songnian; **Danishefsky Samuel J**
CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, 1275 York Avenue, New York, NY 10021, USA.
CONTRACT NUMBER: CA28824 (United States NCI NIH HHS)
SOURCE: Angewandte Chemie (International ed. in English), **(2002 Feb 1)** Vol. 41, No. 3, pp. 512-5.
Journal code: 0370543. ISSN: 1433-7851.
PUB. COUNTRY: Germany: Germany, Federal Republic of
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, U.S. GOV'T, NON-P.H.S.)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200303
ENTRY DATE: Entered STN: 20 Dec 2002
Last Updated on STN: 19 Mar 2003
Entered Medline: 18 Mar 2003

L6 ANSWER 84 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2002:394681 BIOSIS
DOCUMENT NUMBER: PREV200200394681
TITLE: Comparison of methods for augmenting the immunogenicity of Tn antigen: Identification of a conjugate vaccine containing glycosylated MUC1 as the optimal approach.
AUTHOR(S): Kagan, Ella [Reprint author]; Ragupathi, Govind; Yi, San San; Reis, Celso A.; Yao, Danfeng; Kahne, Daniel; Clausen, Henrik; **Danishefsky, Samuel J.**; Livingston, Philip O.
CORPORATE SOURCE: Memorial Sloan-Kettering, New York, NY, USA
SOURCE: Proceedings of the American Association for Cancer Research Annual Meeting, (**March, 2002**) Vol. 43, pp. 279-280. print.
Meeting Info.: 93rd Annual Meeting of the American Association for Cancer Research. San Francisco, California, USA. April 06-10, 2002.
ISSN: 0197-016X.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 24 Jul 2002
Last Updated on STN: 29 Aug 2002

L6 ANSWER 85 OF 108 MEDLINE on STN DUPLICATE 47
ACCESSION NUMBER: 2002282474 MEDLINE
DOCUMENT NUMBER: PubMed ID: 11979435
TITLE: Constructing an adenocarcinoma vaccine: immunization of mice with synthetic KH-1 nonasaccharide stimulates anti-KH-1 and anti-Le(y) antibodies.
AUTHOR: Ragupathi Govindaswami; Deshpande Prashant P; Coltart Don M; Kim Hyunjin M; Williams Lawrence J; **Danishefsky Samuel J**; Livingston Philip O
CORPORATE SOURCE: Laboratory of Tumor Vaccinology, Department of Medicine,

Memorial Sloan-Kettering Cancer Center, New York, NY 10021, USA.. ragupatg@mskcc.org
CONTRACT NUMBER: CA61422 (United States NCI NIH HHS)
F32CA79120 (United States NCI NIH HHS)
P01CA52477 (United States NCI NIH HHS)
SOURCE: International journal of cancer. Journal international du cancer, **(2002 May 10)** Vol. 99, No. 2, pp. 207-12.
Journal code: 0042124. ISSN: 0020-7136.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, NON-P.H.S.)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200206
ENTRY DATE: Entered STN: 28 May 2002
Last Updated on STN: 14 Jun 2002
Entered Medline: 13 Jun 2002
AB There is mounting evidence to suggest that immunization-based strategies can be used to mobilize the human immune system against specific carbohydrate antigens displayed on the surface of cancer cells. Following isolation and identification, such antigens can be administered as conjugate vaccines. The tumor-associated carbohydrate antigen KH-1 is 1 such antigen and may serve as a potential target for immunization against adenocarcinoma. However, a serious impediment to the application of a vaccine-based approach involving this antigen is that its availability from natural sources is severely limited. In order to overcome this limitation, we have developed an efficient total synthesis of this complex glycolipid. We have extended our synthesis to reach a structurally related analog in which the ceramide portion of KH-1 is replaced with an allyl substituent. These synthetic advances have led to the preparation of 2 potential vaccine constructs, each based on the conjugation of the KH-1 nonasaccharide and the carrier protein keyhole limpet hemocyanin (KLH). In 1 construct (KH-1-Et-KLH), the nonasaccharide is conjugated to KLH via a simple ethyl linkage, while in the other (KH-1-MMCCH-KLH), conjugation is mediated by a 4-(4-N-maleimidomethyl)cyclohexane-1-carboxyl hydrazide (MMCCH) cross-linker. We report here the immunological properties of these 2 constructs. Mice were immunized with either of the 2 KH-1-KLH vaccine candidates or the KH-1 ceramide, along with the immunological adjuvant QS-21. Immunization with the ceramide served as a negative control and, as expected, failed to stimulate the production of antibodies against the KH-1 glycolipid. The construct in which the KH-1 nonasaccharide is linked to KLH via a simple alkyl chain stimulated significant quantities of IgM antibodies, whereas the construct linked to KLH by MMCCH induced high titers of both IgM and IgG antibodies. Inhibition data demonstrated that antibodies generated in response to immunization with the KH-1-KLH constructs recognize not only the KH-1 antigen but also the Lewis(y) (Le(y)) antigen, which, from a structural perspective, is similar to the 4 residues located at the non-reducing end of the KH-1 nonasaccharide. Thus, the KH-1-KLH constructs elicit an immune response that successfully targets 2 adenocarcinoma markers. As assessed by FACS analysis, the antibodies raised were strongly reactive with the KH-1/Le(y) positive cell line MCF-7 but not with KH-1 and Le(y) negative melanoma cell lines. Based on the results of our study, a KH-1-KLH plus QS-21 vaccine is being prepared for clinical evaluation. Copyright 2002 Wiley-Liss, Inc.

L6 ANSWER 86 OF 108 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2003:232873 BIOSIS
DOCUMENT NUMBER: PREV200300232873

TITLE: Current status of cancer vaccines against cell surface antigens on small cell lung cancer.
AUTHOR(S): Livingston, Philip O. [Reprint Author]; Ragupathi, Govind [Reprint Author]; **Danishefsky, Samuel** [Reprint Author]
CORPORATE SOURCE: Memorial Sloan-Kettering Cancer Center, New York City, NY, 10021, USA
livingsp@mskcc.org
SOURCE: Biotecnologia Aplicada, (**Julio-Diciembre 2002**) Vol. 19, No. 3-4, pp. 192. print.
Meeting Info.: Immunotherapy for the New Century. La Habana, Cuba. December 05-08, 2002.
ISSN: 0864-4551.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 14 May 2003
Last Updated on STN: 14 May 2003

L6 ANSWER 87 OF 108 SCISEARCH COPYRIGHT (c) 2009 The Thomson Corporation on STN
ACCESSION NUMBER: 2002:717681 SCISEARCH
THE GENUINE ARTICLE: 583RM
TITLE: The awesome power of chemical synthesis.
AUTHOR: **Danishefsky S**
CORPORATE SOURCE: Sloan Kettering Inst Canc Res, Bioorgan Chem Lab, SKI, New York, NY 10024 USA; Columbia Univ, New York, NY 10024 USA; Sloan Kettering Inst Canc Res, Dept Chem, CU, New York, NY 10024 USA
COUNTRY OF AUTHOR: USA
SOURCE: ABSTRACTS OF PAPERS OF THE AMERICAN CHEMICAL SOCIETY, (**18 AUG 2002**) Vol. 224, Part 2, pp. U107-U107. MA 045-ORGN.
ISSN: 0065-7727.
PUBLISHER: AMER CHEMICAL SOC, 1155 16TH ST, NW, WASHINGTON, DC 20036 USA.
DOCUMENT TYPE: Conference; Journal
LANGUAGE: English
REFERENCE COUNT: 0
ENTRY DATE: Entered STN: 20 Sep 2002
Last Updated on STN: 20 Sep 2002

L6 ANSWER 88 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:618440 HCAPLUS
TITLE: Awesome power of chemical synthesis
AUTHOR(S): **Danishefsky, Samuel**
CORPORATE SOURCE: Bioorganic Chemistry Laboratory (SKI) and Department of Chemistry (CU), Sloan-Kettering Institute for Cancer Research and Columbia University, New York, NY, 10024, USA
SOURCE: Abstracts of Papers, 224th ACS National Meeting, Boston, MA, United States, August 18-22, 2002 (**2002**), ORGN-045. American Chemical Society: Washington, D. C.
CODEN: 69CZPZ
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English
AB The total synthesis of natural products continues to be a fascinating and fruitful field of study. Extremely challenging problems remain whose solns. underscore the need for further advances in synthetic methodol. Many of these obstacles spur new ideas and new departures in synthetic strategy. Addnl., total synthesis offers a context wherein chemists can

assume a leadership position in moderating creative interactions among diverse disciplines.

L6 ANSWER 89 OF 108 MEDLINE on STN DUPLICATE 48
ACCESSION NUMBER: 2002051515 MEDLINE
DOCUMENT NUMBER: PubMed ID: 11772086
TITLE: Studies directed to the total synthesis of ET 743 and analogues thereof: an expeditious route to the ABFGH subunit.
AUTHOR: Zhou Bishan; Guo Jinsong; **Danishefsky Samuel J**
CORPORATE SOURCE: Department of Chemistry, Columbia University, Havemeyer Hall, New York, New York 10027, USA.
CONTRACT NUMBER: CA-28824 (United States NCI NIH HHS)
HL-25848 (United States NHLBI NIH HHS)
SOURCE: Organic letters, **(2002 Jan 10)** Vol. 4, No. 1, pp. 43-6.
Journal code: 100890393. ISSN: 1523-7060.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200202
ENTRY DATE: Entered STN: 25 Jan 2002
Last Updated on STN: 20 Feb 2002
Entered Medline: 19 Feb 2002
AB [reaction: see text] In model studies directed to the total synthesis of Et743, a strategic S-C bond formation in systems 26 and 27 was demonstrated. It was further shown that Pictet-Spengler cyclization leading to spiro product 33 exhibits very high stereoselection.

L6 ANSWER 90 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:661723 HCAPLUS
DOCUMENT NUMBER: 135:209886
TITLE: Affinity matrix bearing tumor-associated antigens for detection of anti-tumor-associated antigen antibodies
INVENTOR(S): **Danishefsky, Samuel J.**; Lloyd, Kenneth O.; Wang, Zhi-guang; Williams, Lawrence J.
PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001065261	A1	20010907	WO 2001-US6183		20010227 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2401580	A1	20010907	CA 2001-2401580		20010227 <--
US 20020006629	A1	20020117	US 2001-794905		20010227 <--
PRIORITY APPLN. INFO.:			US 2000-185887P	P	20000229

WO 2001-US6183 W 20010227

AB An affinity matrix having a tumor-associated carbohydrate- or glycopeptide-based antigen bound to the matrix is provided. The affinity matrix is used to isolate, characterize, and quantitate functional antibodies or antigen-binding mols. to the tumor-associated carbohydrate- or glycopeptide-based antigen. The invention also provides a method of preparing the affinity matrix. In addition the invention provides for diagnostic and therapeutic uses of the isolated antibodies or antigen-binding mols.

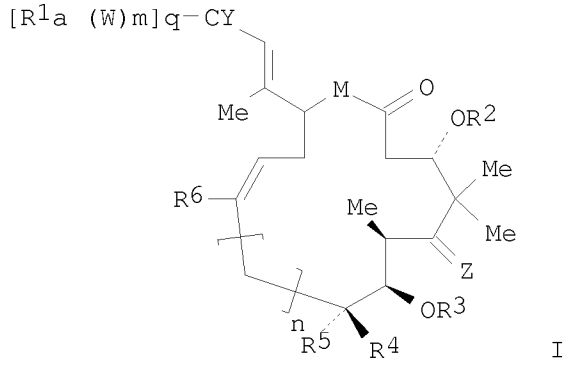
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 91 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:661399 HCAPLUS
DOCUMENT NUMBER: 135:226826
TITLE: Synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug resistant phenotype
INVENTOR(S): **Danishefsky, Samuel J.**; Lee, Chul Bom; Chappell, Mark; Stachel, Shawn; Chou, Ting-chao
PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA
SOURCE: PCT Int. Appl., 234 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064650	A2	20010907	WO 2001-US6643	20010301 <--
WO 2001064650	A3	20020510		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2401800	A1	20010907	CA 2001-2401800	20010301 <--
EP 1259490	A2	20021127	EP 2001-916335	20010301 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004500388	T	20040108	JP 2001-563492	20010301 <--

PRIORITY APPLN. INFO.:
US 2000-185968P P 20000301
US 2000-250447P P 20001130
WO 2001-US6643 W 20010301

OTHER SOURCE(S): CASREACT 135:226826; MARPAT 135:226826
GI



I

AB The present invention provides convergent processes for preparing epothilones, desoxyepothilones, and analogs, e.g., I [M = NH, O; CY = aryl, heteroaryl; q = 1-5; W = absent, NH, CO, CS, O, S, C(V)2; V = H, halogen, OH, SH, amino, (un)substituted alkyl, heteroalkyl, aryl, heteroaryl; m = 1-5; bond W···R1 = single bond, double bond; R1 = OR, SR, NR2; CO2R, COR, CONHR, N3, N2, N2R; halogen, un(substituted) cyclic or acyclic aliphatic, heteroaliph., aryl or heteroaryl, polymer, carbohydrate; R = H, un(substituted) cyclic or acyclic aliphatic, heteroaliph., aryl or heteroaryl, protecting group; R2, R3 = H, un(substituted) aliphatic, heteroaliph., aryl, heteroaryl, acyl, aroyl, benzoyl; R4, R5 = H, un(substituted) cyclic or acyclic aliphatic, heteroaliph., aryl or heteroaryl, optionally substituted by one or more of OH, alkoxy, carboxy, carboxaldehyde, N-alkoxyimino, N-alkoxyimino; R6 = H, OR, SR, NR2; CO2R, COR, CONHR, N3, N2, N2R, cyclic acetal, halogen, un(substituted) cyclic or acyclic aliphatic, aryl, heteroaryl; Z = O, N(ORE), NNRFRG; RE, RF, RG = un(substituted) cyclic or acyclic aliphatic; n = 0-3], for the treatment of cancer. Biol. activities of novel compds. based on I and methods for the treatment of cancer and cancer which has developed a multi-drug phenotype are presented. Thus, 21-oxo-12,13-desoxyepothilone B and 15-azaepothilone B were active vs leukemia CCRF-CEM cells (IC50 = 0.027 μM; IC50 = 0.021 μM, resp.).

L6 ANSWER 92 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:545697 HCAPLUS
DOCUMENT NUMBER: 135:137633
TITLE: Preparation of saframycin-ecteinascidin analogs and their therapeutic applications
INVENTOR(S): **Danishefsky, Samuel J.**; Zhou, Bishan
PATENT ASSIGNEE(S): The Trustees of Columbia University in the City of New York, USA
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053299	A1	20010726	WO 2001-US1877	20010119 <--
WO 2001053299	A9	20021024		

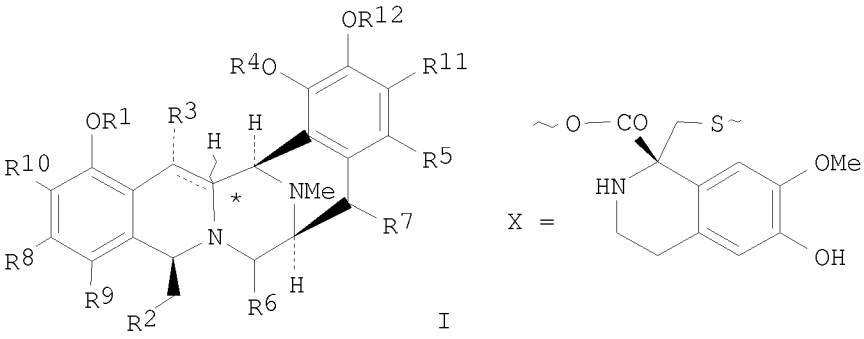
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,

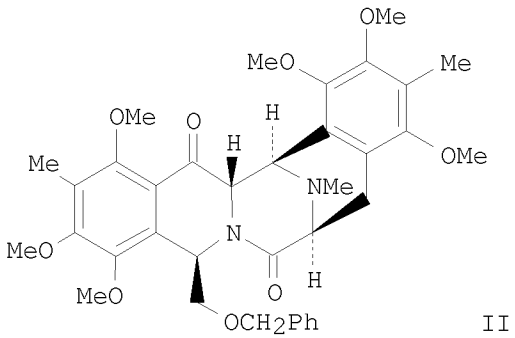
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2397597	A1	20010726	CA 2001-2397597		20010119 <--
US 20020025962	A1	20020228	US 2001-765515		20010119 <--
US 6686470	B2	20040203			
EP 1254140	A1	20021106	EP 2001-903151		20010119 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2003520801	T	20030708	JP 2001-553773		20010119 <--
AU 783562	B2	20051110	AU 2001-31003		20010119 <--
US 20040127709	A1	20040701	US 2003-728580		20031205 <--
US 6936714	B2	20050830			
PRIORITY APPLN. INFO.:			US 2000-177071P	P	20000119
			US 2001-765515	A3	20010119
			WO 2001-US1877	W	20010119

OTHER SOURCE(S): MARPAT 135:137633

GI





AB Compds. of the saframycin-ecteinascidin series such as I [R1,R4 = H, alkyl, acyl; R3 = =O, OH, ether, sulfide, acyl group such as OC(O)Me, OC(O)Bn and OC(O)Et; R5 = H, halogen, OH, ether, acyl, amide; R6 = =O, OH, OMe, CN, acyloxy; R7 = =O, OH, halogen, ether, acyl; R8 and R9 independently = H, Me, OMe, OEt, CF3, Br, F; R8R9 = OCH2O, five or six membered ring; R10,R11 = Me, OMe, OEt, SMe, SEt; R12 = H, alkyl, acyl; chiral center marked * has the R or the S configuration], were prepared for use as antitumor and antimicrobial agents. Thus, saframycin analog II was prepared via a multistep synthetic sequence starting from

2,4-Dimethoxy-3-methylbenzaldehyde, bromoacetal, 2-hydroxy-4-methoxy-3-methylbenzaldehyde and [[(2E)-4-bromo-2-butenyl]oxy](1,1-dimethylethyl)dimethylsilane. Ecteinascidin 743 I (R1 = Ac, R2R3 = X, R4 = R5 = R7 = H, R6 = α-OH, R8R9 = OCH2O, R10-R12 = Me) was tested for cytotoxicity and antimicrobial activity.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 93 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:152700 HCAPLUS

DOCUMENT NUMBER: 134:208131

TITLE: Preparation of novel glycoamino acids and glycoconjugates

INVENTOR(S): **Danishefsky, Samuel J.**; Allen, Jennifer R.; Ragupathi, Govindaswami; Livingston, Philip O.

PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA

SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014395	A2	20010301	WO 2000-US22894	20000818 <--
WO 2001014395	A3	20010907		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1210355	A2	20020605	EP 2000-957619	20000818 <--
EP 1210355	B1	20060510		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003507485	T	20030225	JP 2001-518725	20000818 <--
AT 325803	T	20060615	AT 2000-957619	20000818 <--
ES 2267559	T3	20070316	ES 2000-957619	20000818 <--
HK 1048819	A1	20061110	HK 2002-108876	20021205 <--

PRIORITY APPLN. INFO.: US 1999-150088P P 19990820
WO 2000-US22894 W 20000818

OTHER SOURCE(S): MARPAT 134:208131

AB Compds. represented by the formula A-O(CH2)n-R [R is H, (un)substituted alkyl, alkenyl, aryl, CH2CH(CO2R')NHR", where R' or R" are each independently H, a protecting group, (un)substituted alkyl, a linker, aryl, peptide, protein, lipid or NHR''', where R''' is a protein, peptide, or lipid linked to N directly or through a crosslinker; n is 0-8; and A is a carbohydrate domain of defined structure] were prepared The glycoconjugates of the invention are used for the treatment of cancer, preferably for the prevention of recurrence of cancer, and for inducing antibodies in a subject. The general synthetic methodol. involves the incorporation of an n-alkenyl glycoside protecting group at the reducing end of a carbohydrate acceptor to allow for increased coupling efficiencies and accessibility to complex carbohydrates.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 94 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:757763 HCAPLUS
DOCUMENT NUMBER: 135:302900
TITLE: Synthesis of glycoconjugates of the lewis y epitope and uses thereof
INVENTOR(S): **Danishefsky, Samuel J.**; Behar, Victor; Lloyd, Kenneth O.
PATENT ASSIGNEE(S): Memorial Sloan-Kettering Institute for Cancer Research, USA
SOURCE: U.S., 86 pp., Cont.-in-part of U.S. 5,708,163. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6303120	B1	20011016	US 1995-506251	19950724 <--
US 5543505	A	19960806	US 1994-213053	19940315 <--
US 5708163	A	19980113	US 1995-430355	19950428 <--
CA 2227592	A1	19970206	CA 1996-2227592	19960724 <--
WO 9703995	A1	19970206	WO 1996-US12115	19960724 <--
W: AU, CA, JP, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9665941	A	19970218	AU 1996-65941	19960724 <--
AU 725715	B2	20001019		
EP 854878	A1	19980729	EP 1996-925426	19960724 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11510490	T	19990914	JP 1997-506955	19960724 <--
US 6544952	B1	20030408	US 1998-17611	19980202 <--
US 20020038017	A1	20020328	US 2001-977185	20011012 <--
US 6645935	B2	20031111		

PRIORITY APPLN. INFO.:
US 1994-213053 A2 19940315
US 1995-430355 A2 19950428
US 1995-506251 A 19950724
WO 1996-US12115 W 19960724

AB The present invention provides a method of synthesizing an allyl pentasaccharide having the structure: α-L-Fuc(1→2)-β-D-Gal(1→4)[α-L-Fuc(1→3)]-α-D-GlcNAc(1→3)-β-D-Gal1-allyl, as well as related oligosaccharide ceramides and other glycoconjugates useful as vaccines for inducing antibodies to epithelial cancer cells in an adjuvant therapy therefor, and in a method for preventing recurrence of epithelial cancer.
REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 95 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:195837 HCAPLUS
DOCUMENT NUMBER: 134:222565
TITLE: Synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype
INVENTOR(S): **Danishefsky, Samuel J.**; Bertinato, Peter; Su, Dai-Shi; Meng, Dongfang; Chou, Ting-Chao; Kamenecka, Ted; Sorensen, Erik J.; Balog, Aaron; Savin, Kenneth A.; Kuduk, Scott; Harris, Christina; Zhang, Xiu-Guo; Bertino, Joseph R.
PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA
SOURCE: U.S., 164 pp., Cont.-in-part of Ser. No. US

1997-986025, filed on 3 Dec 1997
CODEN: USXXAM

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 6204388	B1	20010320	US 1999-257072	19990224	<--
US 6242469	B1	20010605	US 1997-986025	19971203	<--
EP 1386922	A2	20040204	EP 2003-22736	19971203	<--
EP 1386922	A3	20040407			
R: BE, CH, DE, FR, GB, IT, LI, NL, SE					
ZA 9901497	A	19990824	ZA 1999-1497	19990224	<--
US 6316630	B1	20011113	US 2000-588925	20000606	<--
US 6300355	B1	20011009	US 2000-662426	20000913	<--
US 6369234	B1	20020409	US 2000-686158	20001011	<--
US 6284781	B1	20010904	US 2000-691615	20001018	<--
US 20020058817	A1	20020516	US 2001-796959	20010301	<--
US 6867305	B2	20050315			
US 20020058286	A1	20020516	US 2001-797027	20010301	<--
US 20030125362	A1	20030703	US 2001-808451	20010314	<--
US 6656961	B2	20031202			
US 20020002194	A1	20020103	US 2001-874514	20010605	<--
US 6849651	B2	20050201			
US 20050033059	A1	20050210	US 2001-4571	20011204	<--
US 6972335	B2	20051206			
US 20030171596	A1	20030911	US 2002-58695	20020128	<--
US 6828340	B2	20041207			
US 20030105330	A1	20030605	US 2002-62376	20020201	<--
US 6603023	B2	20030805			
US 20030069277	A1	20030410	US 2002-135433	20020430	<--
US 20030208080	A1	20031106	US 2002-329090	20021223	<--
US 6965034	B2	20051115			
US 20040044221	A1	20040304	US 2003-374805	20030225	<--
US 6723854	B2	20040420			
US 20040260098	A1	20041223	US 2003-401494	20030328	<--
US 20040019089	A1	20040129	US 2003-431467	20030507	<--
US 20040102495	A1	20040527	US 2003-695582	20031028	<--
US 20050043376	A1	20050224	US 2003-726386	20031202	<--
US 20080004450	A1	20080103	US 2007-652383	20070111	<--

PRIORITY APPLN. INFO.:

US 1996-32282P	P	19961203
US 1997-33767P	P	19970114
US 1997-47566P	P	19970522
US 1997-47941P	P	19970529
US 1997-55533P	P	19970813
US 1997-986025	A2	19971203
US 1998-75947P	P	19980225
US 1998-92319P	P	19980709
US 1998-97733P	P	19980824
EP 1997-954055	A3	19971203
WO 1997-US22381	A	19971203
US 1999-257072	A3	19990224
US 2000-185968P	P	20000301
US 2000-662426	A1	20000913
US 2000-680493	B1	20001005
US 2000-686158	A1	20001011
US 2000-691615	A1	20001018
US 2001-808451	A1	20010314
US 2001-874514	A1	20010605
US 2001-4571	A1	20011204

US 2002-58695	A1	20020128
US 2002-62376	A1	20020201
US 2002-135433	A1	20020430
US 2003-374805	A1	20030225
US 2003-431467	A1	20030507
US 2003-695582	A1	20031028

OTHER SOURCE(S): MARPAT 134:222565

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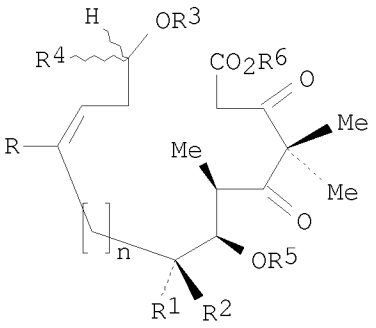


I

AB Syntheses of epothilone A and B, desoxyepothilones A and B, and protected ketoester precursors (I) [R,R1,R2 = independently H, (un)substituted linear or branched chain alkyl; R3 = CHY=CHX, H, linear or branched chain alkyl, Ph, 2-methyl-1,3-thiazolinyl, 2-, 3-, or 4-furanyl, 2-, 3-, or 4-pyridyl, imidazolyl, 2-methyl-1,3-oxazolinyl, 3- or 6-indolyl; X = H, linear or branched chain alkyl, Ph, 2-methyl-1,3-thiazolinyl, 2-, 3-, or 4-furanyl, 2-, 3-, or 4-pyridyl, imidazolyl, 2-methyl-1,3-oxazolinyl, 3- or 6-indolyl; Y = H, linear or branched chain alkyl;X = O, substituted NOH, substituted NNH2; n = 1-2, R4 = linear or branched chain alkyl, (un)substituted aryloxyalkyl, trialkylsilyl, aryldialkylsilyl, diarylalkylsilyl, troarylsilyl; R5 = tertiaryalkyl; R6 = H, t-butyloxycarbonyl, amyloxycarbonyl, (trialkylsilyl)alkyloxycarbonyl, (dialkylarylsilyl)alkoxycarbonyl, benzyl, trialkylsilyl, dialkylarylsilyl, alkylarylsilyl,triarylsilyl, linear or branched acyl, (un)substituted aroyl] and their intermediates are described. Activities of novel compns. based on epothilones and I and methods for the treatment of cancer and cancer which has developed a multidrug-resistant phenotype are presented.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 96 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:742091 HCAPLUS

DOCUMENT NUMBER: 133:305587

TITLE: Methods and compositions using bifunctional hsp-binding derivatives for degradation and/or inhibition of HER-family tyrosine kinases and treatment of cancer

INVENTOR(S): Rosen, Neal; Kuduk, Scott D.; **Danishefsky, Samuel J.**; Zheng, Furzhong F.; Sepp-Lorenzino, Laura; Ouerfelli, Ouathek

PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA

SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061578	A1	20001019	WO 2000-US9512	20000407 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2370007	A1	20001019	CA 2000-2370007	20000407 <--
AU 2000042235	A	20001114	AU 2000-42235	20000407 <--
AU 769235	B2	20040122		
EP 1169319	A1	20020109	EP 2000-921985	20000407 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 20020045570	A1	20020418	US 2001-960665	20010921 <--
US 7271160	B2	20070918		
US 7238682	B1	20070703	US 2001-937192	20010921 <--

PRIORITY APPLN. INFO.: US 1999-128593P P 19990409
WO 2000-US9512 W 20000407

AB Bifunctional mols. comprising two hsp-binding moieties which bind to hsp90 in the pocket to which ansamycin antibiotics bind connected via a linker are effective for inducing the degradation and/or inhibition of HER-family tyrosine kinases. For example, a compound of two geldanamycin moieties joined by a four-carbon linker provides selective degradation of HER-family tyrosine kinases, without substantially affecting other kinases. These compds. can be used for treatment of HER-pos. cancers with reduced toxicity, since these compds. potently kill cancer cells but affect fewer proteins than geldanamycin. Compound preparation is described.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 97 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:626060 HCAPLUS
DOCUMENT NUMBER: 131:257876
TITLE: Preparation of trimeric antigenic O-linked glycopeptide conjugates
INVENTOR(S): **Danishefsky, Samuel J.**; Sames, Dalibor; Hintermann, Samuel; Chen, Xiao Tao; Schwartz, Jacob B.; Glunz, Peter; Ragupathi, Govindaswami; Livingston, Philip O.; Kuduk, Scott; Lloyd, Kenneth O.; Kudryashov, Valery; Williams, Lawrence
PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA
SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9948515	A1	19990930	WO 1999-US6976	19990325 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,				

CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2324616	A1	19990930	CA 1999-2324616	19990325 <--
AU 9933726	A	19991018	AU 1999-33726	19990325 <--
AU 758097	B2	20030313		
EP 1091751	A1	20010418	EP 1999-915135	19990325 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002507577	T	20020312	JP 2000-537562	19990325 <--
US 20040102607	A1	20040527	US 2003-600012	20030619 <--

PRIORITY APPLN. INFO.:	US 1998-79312P	P	19980325
	US 1999-276595	B1	19990325
	WO 1999-US6976	W	19990325

OTHER SOURCE(S): MARPAT 131:257876

AB Novel α-O-linked glycoconjugates such as α-O-linked glycopeptides Me(CH2)mCO2CH2CH[O2C(CH2)nMe]CH2SCH2CH[NHCO(CH2)pMe]CONHCH[CH(OH)RV]CONH(CH2)qNHCOCH[CH(ORA)RW]NHCOCH[CH(ORB)RX]NHCOCH[CH(ORC)RY]NHAc [m, n, p are integers from about 8 to about 20; RV, RW, RX, RY = H, (un)substituted alkyl or phenyl; RA, RB, RC = a carbohydrate domain] were prepared The general preparative approach is exemplified by the synthesis of the mucin motif commonly found on epithelial tumor cell surfaces. The present invention further provides compns. and methods of treating cancer using the α-O-linked glycoconjugates.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 98 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN

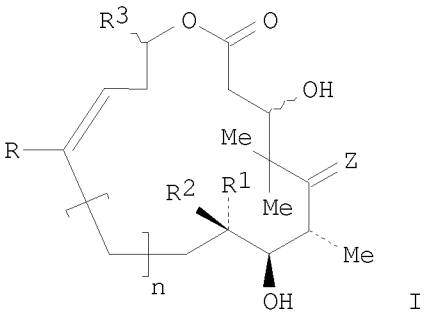
ACCESSION NUMBER:	1999:566025 HCAPLUS
DOCUMENT NUMBER:	131:199557
TITLE:	Synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype
INVENTOR(S):	**Danishefsky, Samuel J.**; Balog, Aaron; Bertinato, Peter; Su, Dai-Shi; Chou, Ting-Chau; Meng, Dongfang; Kamenecka, Ted; Sorensen, Erik J.; Kuduk, Scott; Harris, Christina; Zhang, Xiu-Guo; Bertino, Joseph R.
PATENT ASSIGNEE(S):	Sloan-Kettering Institute for Cancer Research, USA
SOURCE:	PCT Int. Appl., 264 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	5
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943653	A1	19990902	WO 1999-US4008	19990224 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9901497	A	19990824	ZA 1999-1497	19990224 <--
CA 2322157	A1	19990902	CA 1999-2322157	19990224 <--
AU 9927858	A	19990915	AU 1999-27858	19990224 <--
AU 758526	B2	20030320		
EP 1058679	A1	20001213	EP 1999-908420	19990224 <--
EP 1058679	B1	20051019		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO

JP 2002504540	T	20020212	JP 2000-533411		19990224 <--
NZ 506742	A	20030926	NZ 1999-506742		19990224 <--
AT 307123	T	20051115	AT 1999-908420		19990224 <--
IL 138113	A	20070211	IL 1999-138113		19990224 <--
MX 2000008365	A	20021107	MX 2000-8365		20000825 <--
PRIORITY APPLN. INFO.:			US 1998-75947P	P	19980225
			US 1998-92319P	P	19980709
			US 1998-97733P	P	19980824
			WO 1999-US4008	W	19990224

OTHER SOURCE(S): MARPAT 131:199557
GI



I

AB Syntheses of epothilone A and B, desoxyepothilones A and B, and analogs (I) [R,R1,R2 = independently H, (un)substituted linear or branched chain alkyl; R3 = CHY=CHX, H, linear or branched chain alkyl, Ph, 2-methyl-1,3-thiazolinyl, 2-, 3-, or 4-furanyl, 2-, 3-, or 4-pyridyl, imidazolyl, 2-methyl-1,3-oxazolinyl, 3- or 6-indolyl; X = H, linear or branched chain alkyl, Ph, 2-methyl-1,3-thiazolinyl, 2-, 3-, or 4-furanyl, 2-, 3-, or 4-pyridyl, imidazolyl, 2-methyl-1,3-oxazolinyl, 3- or 6-indolyl; Y = H, linear or branched chain alkyl; Z = O, substituted NOH, substituted NNH2; n = 1-2] and their intermediates are described. Activities of novel compns. based on I and methods for the treatment of cancer and cancer which has developed a multidrug-resistant phenotype are presented.

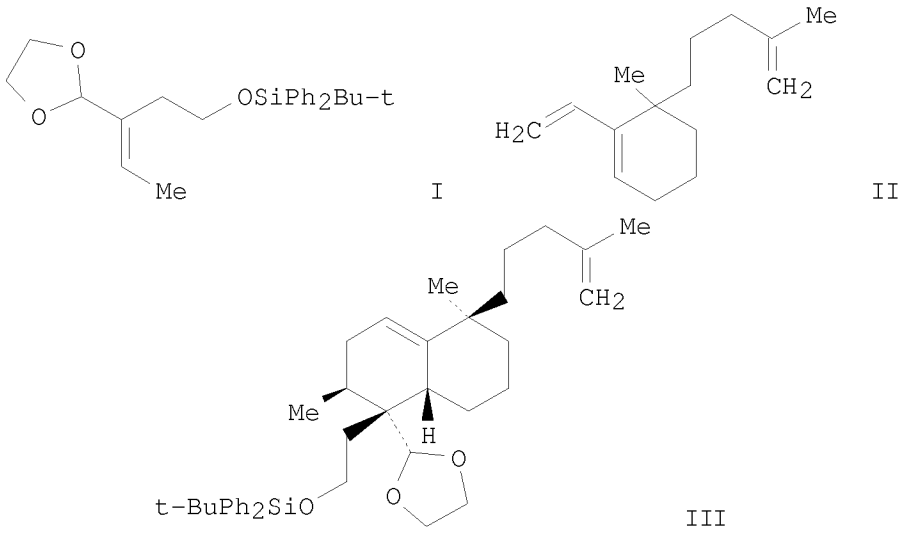
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 99 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:511147 HCAPLUS
DOCUMENT NUMBER: 131:157849
TITLE: Synthesis of racemic dysidiolide for the treatment of cancer
INVENTOR(S): **Danishefsky, Samuel J.**; Magnuson, Steven R.; Rosen, Neal; Sepp-lorenzino, Laura
PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA; The Trustees of Columbia University In the City of New York
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940079	A1	19990812	WO 1999-US2347	19990204 <--
W: AU, CA, JP, MX, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9925802	A	19990823	AU 1999-25802	19990204 <--
US 6482851	B1	20021119	US 2000-630636	20000801 <--
PRIORITY APPLN. INFO.:			US 1998-73699P	A2 19980204
			WO 1999-US2347	W 19990204

OTHER SOURCE(S): CASREACT 131:157849

GI



AB This invention provides a process for the preparation of a racemic mixture of dysidiolide, and a method for inhibiting growth of cancerous cells comprising contracting an amount of the racemic mixture of dysidiolide effective to inhibit the growth of said cells. Further provided is a method for treating cancer in a subject which comprises administering to the subject a therapeutically effective amount of the racemic mixture of dysidiolide. Thus, the Diels-Alder reaction of I (preparation given) and II (preparation given) gave III in 67% yield, which was further transformed into (±)-dysidiolide. The in vivo and in vitro testing showed that synthetic dysidiolide is an active drug in human tumor cell lines.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 100 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:231506 HCAPLUS

DOCUMENT NUMBER: 130:262122

TITLE: Reverse prenyl compounds as immunosuppressants

INVENTOR(S): Chou, Ting-Chao; Bertino, Joseph R.; **Danishefsky, Samuel J.**; Kahan, Barry D.

PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA; The Board of Regents of the University of Texas System

SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2

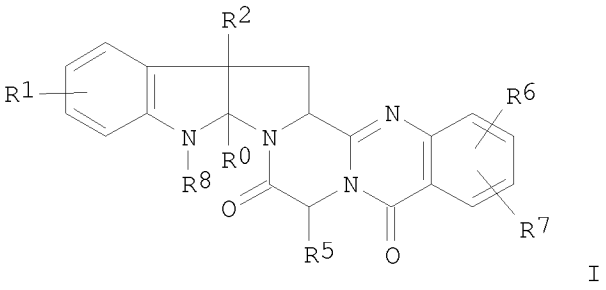
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9915174	A1	19990401	WO 1998-US19507	19980918 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9893983	A	19990412	AU 1998-93983	19980918 <--
US 6355639	B1	20020312	US 2000-463537	20000216 <--

PRIORITY APPLN. INFO.: US 1997-59504P P 19970922
WO 1998-US19507 W 19980918

OTHER SOURCE(S): MARPAT 130:262122
GI



I

AB A method for treating a subject in need of immunosuppression comprises administering to the subject an effective amount of I [R1, R6, R7 = H, OH, NH2, SH, halo, C1-9 linear or branched alkyl, alkylmercapto, alkylamino, etc.; R0, R2 = H, OH, C1-C9 linear or branched alkyl, CR3R3CH(O)CH2, CR3R3-CH=CHR4, etc.; R3, R4 = H, halo, C1-9 linear or branched alkyl, etc.; R5 = H, C1-9 linear or branched alkyl, Ph, alkylphenyl, dialkylphenyl, alkylmercapto, etc.; R8 = H, C1-9 linear or branched acyl, benzoyl, alkylbenzoyl, etc.]. Also provided are methods of treating autoimmune disease and preventing organ graft rejection using N-acetylardeemin and related compds.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 101 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:48614 HCAPLUS
DOCUMENT NUMBER: 130:124934
TITLE: Synthesis of epothilones, intermediates and analogs for use in treatment of cancers with multidrug-resistant phenotype
INVENTOR(S): **Danishefsky, Samuel J.**; Balog, Aaron; Bertinato, Peter; Su, Dai-Shi; Chou, Ting-Chau; Meng, Dong Fang; Kamenecka, Ted; Sorensen, Erik J.
PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA
SOURCE: PCT Int. Appl., 175 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9901124	A1	19990114	WO 1997-US22381	19971203 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2273083	A1	19990114	CA 1997-2273083	19971203 <--
AU 9857929	A	19990125	AU 1998-57929	19971203 <--
AU 756699	B2	20030123		
EP 977563	A1	20000209	EP 1997-954055	19971203 <--
EP 977563	B1	20051012		
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
JP 2001507716	T	20010612	JP 1999-501095	19971203 <--
EP 1386922	A2	20040204	EP 2003-22736	19971203 <--
EP 1386922	A3	20040407		
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
TW 504511	B	20021001	TW 1997-86118854	19980606 <--
US 20050033059	A1	20050210	US 2001-4571	20011204 <--
US 6972335	B2	20051206		
US 20030171596	A1	20030911	US 2002-58695	20020128 <--
US 6828340	B2	20041207		
US 20030208080	A1	20031106	US 2002-329090	20021223 <--
US 6965034	B2	20051115		
US 20040044221	A1	20040304	US 2003-374805	20030225 <--
US 6723854	B2	20040420		
US 20040260098	A1	20041223	US 2003-401494	20030328 <--
US 20040019089	A1	20040129	US 2003-431467	20030507 <--
US 20040102495	A1	20040527	US 2003-695582	20031028 <--
US 20050043376	A1	20050224	US 2003-726386	20031202 <--
US 20080004450	A1	20080103	US 2007-652383	20070111 <--

PRIORITY APPLN. INFO.:

US 1996-32282P	P	19961203
US 1997-33767P	P	19970114
US 1997-47566P	P	19970522
US 1997-47941P	P	19970529
US 1997-55533P	P	19970813
EP 1997-954055	A3	19971203
US 1997-986025	A1	19971203
WO 1997-US22381	W	19971203
US 1998-75947P	P	19980225
US 1998-92319P	P	19980709
US 1998-97733P	P	19980824
US 1999-257072	A1	19990224
US 2000-662426	A1	20000913
US 2000-680493	B1	20001005
US 2000-686158	A1	20001011
US 2000-691615	A1	20001018
US 2001-808451	A1	20010314
US 2001-874514	A1	20010605
US 2001-4571	A1	20011204
US 2002-58695	A1	20020128
US 2002-62376	A1	20020201
US 2002-135433	A1	20020430
US 2003-374805	A1	20030225

	US 2003-431467	A1 20030507
	US 2003-695582	A1 20031028

OTHER SOURCE(S): MARPAT 130:124934

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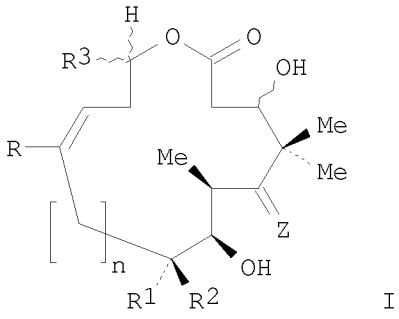


I

AB Syntheses of epothilone A and B, desoxyepothilones A and B, and analogs (I) [R,R1,R2 = independently H, (un)substituted linear or branched chain alkyl; R3 = CHY=CHX, H, linear or branched chain alkyl, Ph, 2-methyl-1,3-thiazolinyl, 2-, 3-, or 4-furanyl, 2-, 3-, or 4-pyridyl, imidazolyl, 2-methyl-1,3-oxazolinyl, 3- or 6-indolyl; X = H, linear or branched chain alkyl, Ph, 2-methyl-1,3-thiazolinyl, 2-, 3-, or 4-furanyl, 2-, 3-, or 4-pyridyl, imidazolyl, 2-methyl-1,3-oxazolinyl, 3- or 6-indolyl; Y = H, linear or branched chain alkyl; Z = O, substituted NOH, substituted NNH2; n = 0-3] and their intermediates are described. Activities of novel compns. based on I and methods for the treatment of cancer and cancer which has developed a multidrug-resistant phenotype are presented.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 102 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1998:706103 HCAPLUS
DOCUMENT NUMBER:	129:330972
ORIGINAL REFERENCE NO.:	129:67511a,67514a
TITLE:	Preparation of α-O-linked glycocopeptides with clustered (2,6)-sialyl T epitopes as prostate antitumor vaccines
INVENTOR(S):	**Danishefsky, Samuel J.**; Sames, Dalibor; Hintermann, Samuel; Chen, Xiao-tao; Schwarz, Jacob B.; Glunz, Peter; Ragupathi, Govindaswami; Livingston, Philip O.; Kuduc, Scott
PATENT ASSIGNEE(S):	Sloan-Kettering Institute for Cancer Research, USA
SOURCE:	PCT Int. Appl., 147 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9846246	A1	19981022	WO 1998-US6035	19980325 <--

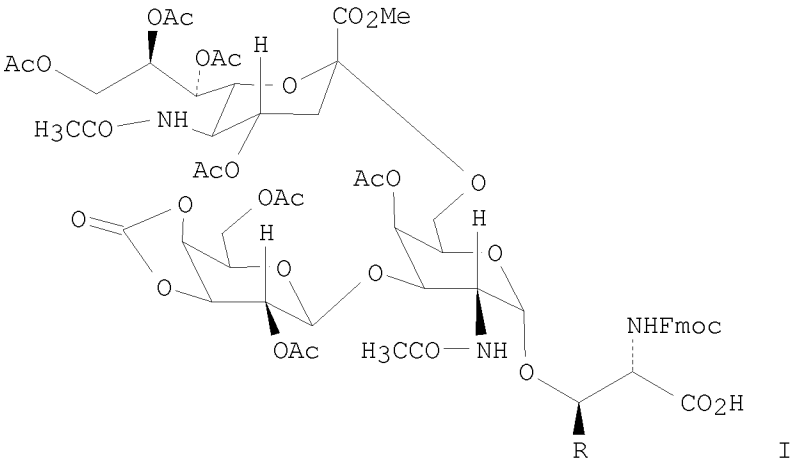
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,

PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,
US, UZ, VN, YU, ZW
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
GA, GN, ML, MR, NE, SN, TD, TG

CA 2286798	A1	19981022	CA 1998-2286798	19980325	<--
AU 9867792	A	19981111	AU 1998-67792	19980325	<--
AU 750701	B2	20020725			
EP 996455	A1	20000503	EP 1998-913180	19980325	<--
R: BE, CH, DE, FR, GB, IT, LI, NL, SE					
JP 2002515060	T	20020521	JP 1998-543934	19980325	<--
US 6660714	B1	20031209	US 1998-83776	19980325	<--
US 20030083235	A1	20030501	US 2002-205021	20020725	<--
US 7160856	B2	20070109			
US 20050222398	A1	20051006	US 2004-898410	20040723	<--

PRIORITY APPLN. INFO.:	US 1997-43713P	P	19970416
	US 1998-83776	A3	19980325
	WO 1998-US6035	W	19980325
	US 2002-205021	A1	20020725

OTHER SOURCE(S): MARPAT 129:330972
GI



I

AB The present invention provides novel α-O-linked glycoconjugates such as α-O-linked glycopeptides, as well as convergent methods for synthesis thereof. The general preparative approach is exemplified by the synthesis of the mucin motif commonly found on epithelial tumor cell surfaces. The present invention further provides compns. and methods of treating prostate cancer using the α-O-linked glycoconjugates. Thus, glycocopeptide I was prepared and tested in mice as prostate antitumor vaccine using LSC cell line.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 103 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:490494 HCAPLUS
DOCUMENT NUMBER: 129:136429
ORIGINAL REFERENCE NO.: 129:27893a,27896a
TITLE: Preparation of acetamidodeoxy oligosaccharides as colon cancer KH-1 and N3 antigens
INVENTOR(S): **Danishefsky, Samuel J.**; Deshpande, Prashant

P.; Kim, In J.; Livingston, Philip; Hyun, Jim Kim; Ragupathi, Govindaswami; Park, Tae Kyo
PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA
SOURCE: PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9830190	A2	19980716	WO 1998-US1201	19980113 <--
WO 9830190	A3	19980911		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, GH, GM, SZ, BE, FR, GR, IE, IT, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2277867	A1	19980716	CA 1998-2277867	19980113 <--
AU 9866477	A	19980803	AU 1998-66477	19980113 <--
AU 747899	B2	20020530		
EP 951484	A2	19991027	EP 1998-908437	19980113 <--
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
US 6238668	B1	20010529	US 1998-42280	19980113 <--
US 20020006900	A1	20020117	US 2001-833327	20010412 <--

PRIORITY APPLN. INFO.:
US 1997-34950P P 19970113
US 1998-42280 A3 19980113
WO 1998-US1201 W 19980113

OTHER SOURCE(S): MARPAT 129:136429

AB The present invention provides processes for the preparation of the oligosaccharides KH-1 and N3 antigens, as well as related analogs thereof, which are useful as anticancer therapeutics. The present invention also provides various intermediates useful in the preparation of KH-1 and N3 and analogs thereof. Addnl., the invention provides various compns. comprising any of the analogs of KH-1 and N3 available through the methods of the invention and pharmaceutical carriers useful in the treatment of subjects suffering from various forms of epithelial cancer. Serol. anal. of title compds. is reported.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 104 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:41839 HCAPLUS
DOCUMENT NUMBER: 128:140959
ORIGINAL REFERENCE NO.: 128:27739a,27742a
TITLE: Synthesis of the breast tumor-associated antigen defined by monoclonal antibody MBr1 and uses thereof
INVENTOR(S): **Danishefsky, Samuel J.**; Bilodeau, Mark T.; Hu, Shuang Hua; Park, Tae Kyo; Randolph, John T.; Kim, In Jong; Livingston, Philip O.
PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA
SOURCE: U.S., 54 pp., Cont.-in-part of U.S. Ser. No. 213,053.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5708163	A	19980113	US 1995-430355	19950428 <--
US 5543505	A	19960806	US 1994-213053	19940315 <--
US 5679769	A	19971021	US 1995-477776	19950607 <--
US 6303120	B1	20011016	US 1995-506251	19950724 <--
CA 2218884	A1	19961031	CA 1996-2218884	19960426 <--
CA 2218884	C	20080708		
WO 9634005	A1	19961031	WO 1996-US6109	19960426 <--
W: AU, CA, JP, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9656721	A	19961118	AU 1996-56721	19960426 <--
AU 716699	B2	20000302		
EP 823913	A1	19980218	EP 1996-913895	19960426 <--
EP 823913	B1	20060111		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11504337	T	19990420	JP 1996-532802	19960426 <--
JP 4166273	B2	20081015		
AT 315572	T	20060215	AT 1996-913895	19960426 <--
ES 2256857	T3	20060716	ES 1996-913895	19960426 <--
US 6090789	A	20000718	US 1997-977215	19971124 <--
US 6544952	B1	20030408	US 1998-17611	19980202 <--
US 20020038017	A1	20020328	US 2001-977185	20011012 <--
US 6645935	B2	20031111		
JP 2008133283	A	20080612	JP 2007-315261	20071205 <--

PRIORITY APPLN. INFO.:	US 1994-213053	A2	19940315
	US 1995-430355	A2	19950428
	US 1995-506251	A2	19950724
	JP 1996-532802	A3	19960426
	WO 1996-US6109	W	19960426

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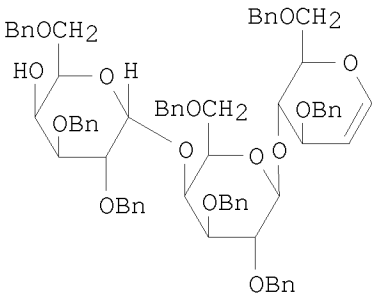

I

AB The present invention provides a process for the synthesis of compound I (Bn = benzyl), as well as related oligosaccharides useful as a vaccine for inducing antibodies to human breast cancer cells in an adjuvant therapy therefor.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 105 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1997:440186 HCAPLUS
DOCUMENT NUMBER:	127:50830
ORIGINAL REFERENCE NO.:	127:9705a,9708a
TITLE:	synthesis and biological activity of analogs of n-acetylardeemin for use as antitumor agents
INVENTOR(S):	**Danishefsky, Samuel**; Depew, Kristopher;

Marsden, Stephen P.; Bornmann, William; Woo, Jonathan C. G.; Chou, Ting-Chao; Schkeryantz, Jeffrey; Zatorski, Andrej
PATENT ASSIGNEE(S): Memorial-Sloan Kettering Cancer Center, USA; Columbia Engineering Enterprise
SOURCE: PCT Int. Appl., 134 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9718215	A1	19970522	WO 1996-US19086	19961115 <--
W: AU, CA, JP, MX, RU, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2209775	A1	19970522	CA 1996-2209775	19961115 <--
CA 2209775	C	20060124		
AU 9711425	A	19970605	AU 1997-11425	19961115 <--
AU 729877	B2	20010215		
EP 815111	A1	19980107	EP 1996-942827	19961115 <--
EP 815111	B1	20071010		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 10512899	T	19981208	JP 1997-519179	19961115 <--
US 6147076	A	20001114	US 1996-749908	19961115 <--
AT 375348	T	20071015	AT 1996-942827	19961115 <--

PRIORITY APPLN. INFO.: US 1995-6750P P 19951115
WO 1996-US19086 W 19961115

OTHER SOURCE(S): MARPAT 127:50830
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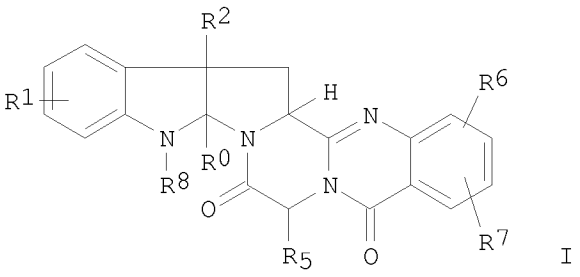


I

AB The present invention provides a compound having structure (I) wherein R1, R6 and R7 are independently hydrogen, OH, NH2, SH, halogen, C1-C9 linear or branched chain alkyl, alkylmercapto, alkylamino, dialkylamino, alkoxy, Ph, etc; wherein R0 and R2 are independently hydrogen, OH, linear or branched chain alkyl, -CR3R3-CH(O)CH2, -CR3R3-CH2CH3, -CR3R3-CH2CH2OH, -CR3R3-CH(OH)R4 or -CR3R3-CH=CHR4, wherein R3 and R4 are independently hydrogen, halogen, C1-C9 linear or branched chain alkyl, Ph, etc; wherein R5 is hydrogen, C1-C9 linear or branched chain alkyl, Ph, etc; an wherein R8 is hydrogen, C1-C9 linear or branched chain acyl, benzoyl, etc; with the proviso that (a) when R2 is -CR3R3-CH(O)CH2, -CR3R3-CH2CH3, -CR3R3-CH2CH2OH, -CR3R3-CH(OH)R4 or -CR3R3-CH=CHR4, then R0 is hydrogen; (b) when R0 is -CR3R3-CH(O)CH2, -CR3R3-CH2CH3, -CR3R3-CH2CH2OH, -CR3R3-CH(OH)R4 or -CR3R3-CH=CHR4, then R2 is OH; and (c) when (i) R0 or R2 is -CR3R3-CH=CHR4, (ii) R3 and R5 are CH3 and (iii) R4 is hydrogen, then R1, R6 and R7 are not all hydrogen. Thus, I (R1,R6,R7 = H, R0 =

βH, R2 = βCH2CH=CH2, R5 = αMe, R8 = Ac) (II) is prepared in 10 steps from L-tryptophan Me ester hydrochloride by N-protection, selenation/dehydrative cyclization, allylation, saponification, acyl-fluorination, amidation with D-alaninemethylester hydrochloride, cyclization to piperazindione, benzoylation, cyclization to piperazinone, and acetylation. II shows a relative toxicity of IC50 17.39υM against DC-3F hamster lung cells and is 3 t0 11 fold collaterally more sensitive to DC-3F/ADII p-glycoprotein MDR cells by increasing transport of MDR substrate and in combination with vinblastine shows marked synergism against tumor cells. Also provided are related compds. and compns., and methods of inhibiting the growth of multidrug resistant cells by means of MDR reversal, collateral sensitivity and quant. synergism.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 106 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:9228 HCAPLUS

DOCUMENT NUMBER: 126:75179

ORIGINAL REFERENCE NO.: 126:14557a,14560a

TITLE: Synthesis of the breast tumor-associated antigen defined by monoclonal antibody mbr1 and uses thereof

INVENTOR(S): **Danishefsky, Samuel J.**; Bilodeau, Mark T.; Hu, Shuang Hua; Park, Tae Kyo; Randolph, John T.; Kim, In Jong; Livingston, Philip O.

PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA

SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

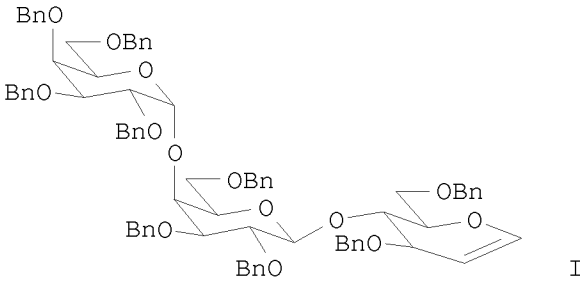
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9634005	A1	19961031	WO 1996-US6109	19960426	<--
W: AU, CA, JP, MX					
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
US 5708163	A	19980113	US 1995-430355	19950428	<--
CA 2218884	A1	19961031	CA 1996-2218884	19960426	<--
CA 2218884	C	20080708			
AU 9656721	A	19961118	AU 1996-56721	19960426	<--
AU 716699	B2	20000302			
EP 823913	A1	19980218	EP 1996-913895	19960426	<--
EP 823913	B1	20060111			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 11504337	T	19990420	JP 1996-532802	19960426	<--
JP 4166273	B2	20081015			

PRIORITY APPLN. INFO.:

US 1995-430355	A	19950428
US 1994-213053	A2	19940315
WO 1996-US6109	W	19960426

OTHER SOURCE(S): MARPAT 126:75179

GI



I

AB Preparation of oligosaccharide I (R = H), as well as related oligosaccharides useful as a vaccine for inducing antibodies to human breast cancer cells in an adjuvant therapy therefor.

L6 ANSWER 107 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:485769 HCAPLUS
DOCUMENT NUMBER: 125:132735
ORIGINAL REFERENCE NO.: 125:24597a,24600a
TITLE: Enediyne quinone imines, methods of preparation, pharmaceutical compositions, and use in treating tumors
INVENTOR(S): **Danishefsky, Samuel J.**; Shair, Matthew D.; Yoon, Taeyoung; Chou, Ting; Mosny, Karoline K.
PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616655	A1	19960606	WO 1995-US15678	19951201 <--
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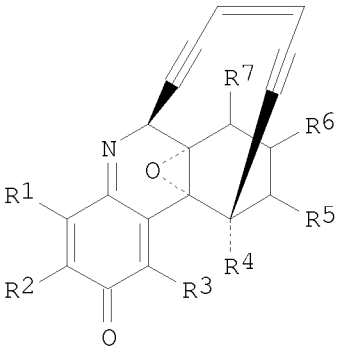
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5622958	A	19970422	US 1994-347952	19941201 <--
AU 9645068	A	19960619	AU 1996-45068	19951201 <--
AU 715168	B2	20000120		
EP 793497	A1	19970910	EP 1995-943647	19951201 <--
EP 793497	B1	20030212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 232386	T	20030215	AT 1995-943647	19951201 <--
US 6020341	A	20000201	US 1997-849658	19971016 <--

PRIORITY APPLN. INFO.: US 1994-347952 A 19941201
WO 1995-US15678 W 19951201
OTHER SOURCE(S): CASREACT 125:132735; MARPAT 125:132735
GI



I

AB Quinone imine enediynes I (R1, R2, R3 = H, Br, Cl, F, NH2, CO2H, OH, linear or branched alkyl, etc.; R4 = H, OH, linear or branched alkoxy, linear or branched alkoxycarbonyl, etc.; R5 = H, Br, Cl, F, O:, OH, SSR, linear or branched alkyl, etc.; R6 = H, Br, Cl, F, CO2H, OH, SSR', linear or branched alkyl, etc.; R7 = H, OH, SSR'', linear or branched alkyl, linear or branched alkoxycarbonyl, linear or branched alkoxy, linear or branched hydroxyalkyl; R, R', R'' = linear or branched alkyl, linear or branched acyl, linear or branched alkoxyalkyl), possessing cytotoxic activity towards cancer cells, are disclosed. Also provided are conjugates of I with cleavable peptides, enzymes, carbohydrates and monoclonal antibodies immunoreactive with cancer cells, as well as compns. comprising the analogs and conjugates, methods of synthesis, and methods for treatment of tumors.

L6 ANSWER 108 OF 108 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:404032 HCAPLUS
DOCUMENT NUMBER: 119:4032
ORIGINAL REFERENCE NO.: 119:843h,844a
TITLE: Catalytic monoclonal antibodies with binding sites that induce asymmetry
INVENTOR(S): Janda, Kim; Lerner, Richard A.; **Danishefsky, Samuel J.**
PATENT ASSIGNEE(S): Scripps Research Institute, USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9305146	A1	19930318	WO 1992-US7626	19920909 <--
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
US 5444155	A	19950822	US 1991-757442	19910910 <--
EP 666905	A1	19950816	EP 1992-919835	19920909 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
JP 2002515721	T	20020528	JP 1993-505486	19920909 <--
PRIORITY APPLN. INFO.:			US 1991-757442 A	19910910
			WO 1992-US7626 W	19920909

OTHER SOURCE(S): MARPAT 119:4032
AB Monoclonal antibodies or paratope-containing portions thereof are disclosed that immunoreact with a meso diester substrate ligand and catalytically hydrolyze a single predetd. ester bond to form 1 of a pair of enantiomers. The antibodies are prepared by immunizing animals with a substrate

phosphonate analog containing a tetrahedrally bonded P atom which mimics the high-energy transition state of ester bond hydrolysis; combination of an ester substrate with the resulting binding site diminishes the activation energy required for hydrolysis. Both the substrate meso diester and the analog contain 2 stereoisomeric centers positioned similarly relative to one another. The substrate analog is not hydrolyzed by, and can inhibit substrate hydrolysis by, the antibody. Thus, disubstituted cyclopent-1-ene-3,5-diol (I) was coupled to keyhole limpet hemocyanin and used to immunize mice for production of monoclonal antibodies which catalyzed selective hydrolysis of cyclopent-1-ene-3,5-diol diacetate to 3(R)-acetoxy-5(S)-hydroxycyclopent-1-ene (II) with a Km of 177 + 10-6M and a kcat of 0.007/min. I was prepared in 5 steps from p-methoxybenzyl 6-bromocaproate, P(OMe)3, and II.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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NEWS 4	JAN 07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS 5	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 6	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 7	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 8	FEB 10	COMPENDEX reloaded and enhanced
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                  and 2009 MeSH terms
 NEWS 14  FEB 23  TOXCENTER updates mirror those of MEDLINE - more
                  precise author group fields and 2009 MeSH terms
 NEWS 15  FEB 23  Three million new patent records blast AEROSPACE into
                  STN patent clusters
 NEWS 16  FEB 25  USGENE enhanced with patent family and legal status
                  display data from INPADOCDB
 NEWS 17  MAR 06  INPADOCDB and INPAFAMDB enhanced with new display
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                  enhanced
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